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DATE: Thursday, June 08, 2006

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☐	L10	restenosis and L9	74
☐	L9	arthritis and L8	285
☐	L8	asthma and L7	408
☐	L7	l1 or l2 or l3 or l4 or l5 or L6	3100
☐	L6	549/59.ccls.	636
☐	L5	548/318.5.ccls.	121
☐	L4	546/290.ccls.	683
☐	L3	514/444.ccls.	620
☐	L2	514/389.ccls.	433
☐	L1	514/345.ccls.	907

END OF SEARCH HISTORY

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2
NEWS 4	JAN 13	IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 5	JAN 13	New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC
NEWS 6	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 7	JAN 17	IPC 8 in the WPI family of databases including WPIFV
NEWS 8	JAN 30	Saved answer limit increased
NEWS 9	FEB 21	STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
NEWS 10	FEB 22	The IPC thesaurus added to additional patent databases on STN
NEWS 11	FEB 22	Updates in EPFULL; IPC 8 enhancements added
NEWS 12	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS 13	FEB 28	MEDLINE/LMEDLINE reload improves functionality
NEWS 14	FEB 28	TOXCENTER reloaded with enhancements
NEWS 15	FEB 28	REGISTRY/ZREGISTRY enhanced with more experimental spectral property data
NEWS 16	MAR 01	INSPEC reloaded and enhanced
NEWS 17	MAR 03	Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 18	MAR 08	X.25 communication option no longer available after June 2006
NEWS 19	MAR 22	EMBASE is now updated on a daily basis
NEWS 20	APR 03	New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS 21	APR 03	Bibliographic data updates resume; new IPC 8 fields and IPC thesaurus added in PCTFULL
NEWS 22	APR 04	STN AnaVist $500 visualization usage credit offered
NEWS 23	APR 12	LINSPEC, learning database for INSPEC, reloaded and enhanced
NEWS 24	APR 12	Improved structure highlighting in FQHIT and QHIT display in MARPAT
NEWS 25	APR 12	Derwent World Patents Index to be reloaded and enhanced during second quarter; strategies may be affected

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
http://download.cas.org/express/v8.0-Discover/

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FILE 'HOME' ENTERED AT 09:54:23 ON 19 APR 2006

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 09:54:32 ON 19 APR 2006
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 APR 2006 HIGHEST RN 880759-42-2
DICTIONARY FILE UPDATES: 17 APR 2006 HIGHEST RN 880759-42-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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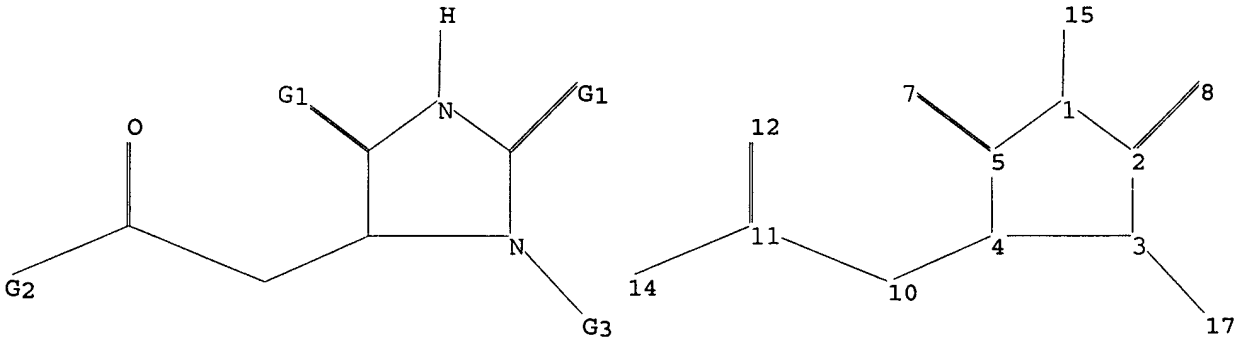
****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10525640\Struc 5.str



chain nodes :
7 8 10 11 12 14 15 17
ring nodes :
1 2 3 4 5
chain bonds :
1-15 2-8 3-17 4-10 5-7 10-11 11-14 11-12
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 2-3 2-8 3-4 3-17 4-5 5-7 11-14 11-12
exact bonds :
1-15 4-10 10-11

G1:O,S

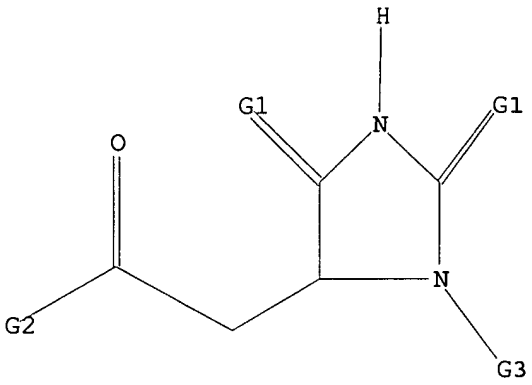
G2:C,N

G3:H,CH3

Match level :
1:Atom 2:Atom 3:CLASS 4:Atom 5:Atom 7:CLASS 8:CLASS 10:CLASS 11:CLASS
12:CLASS 14:CLASS 15:CLASS 17:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 O,S

G2 C,N

G3 H,Me

Structure attributes must be viewed using STN Express query preparation.

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=> l1
SAMPLE SEARCH INITIATED 09:54:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       50 TO ITERATE

100.0% PROCESSED       50 ITERATIONS                                  8 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           576 TO     1424
PROJECTED ANSWERS:                8 TO      329

L2             8 SEA SSS SAM L1

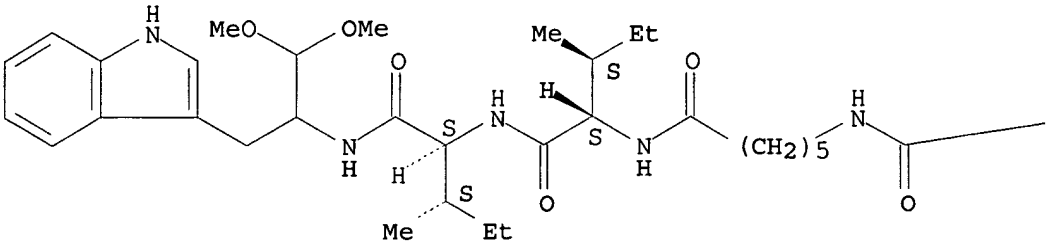
=> d scan

L2    8 ANSWERS   REGISTRY  COPYRIGHT 2006 ACS on STN
IN    L-Isoleucinamide, N-[6-[[6-[[(2,5-dioxo-4-imidazolidinyl)acetyl]amino]-1-
      oxohexyl]amino]-1-oxohexyl]-L-isoleucyl-N-[1-(1H-indol-3-ylmethyl)-2,2-
      dimethoxyethyl]- (9CI)
SQL   5
MF    C42 H66 N8 O9

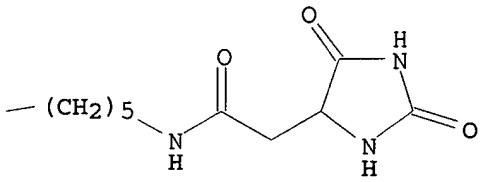
**RELATED SEQUENCES AVAILABLE WITH SEQLINK**

Absolute stereochemistry.
```

PAGE 1-A



PAGE 1-B



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> l1 full
FULL SEARCH INITIATED 09:55:07 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 879 TO ITERATE

100.0% PROCESSED 879 ITERATIONS 241 ANSWERS
SEARCH TIME: 00.00.01

L3 241 SEA SSS FUL L1

=> file medline caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	166.94	167.15

FILE 'MEDLINE' ENTERED AT 09:55:12 ON 19 APR 2006

FILE 'CAPLUS' ENTERED AT 09:55:12 ON 19 APR 2006
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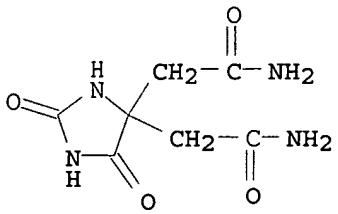
=> l3
L4 93 L3

=> dup rem l4
PROCESSING COMPLETED FOR L4
L5 93 DUP REM L4 (0 DUPLICATES REMOVED)

=> d ibib abs hitstr 81-93

L5 ANSWER 81 OF 93 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1956:64655 CAPLUS
DOCUMENT NUMBER: 50:64655
ORIGINAL REFERENCE NO.: 50:12084b-h
TITLE: Preparation of β-aminotricarballylic acid
AUTHOR(S): Dornow, Alfred; Rombusch, Konrad
CORPORATE SOURCE: Tech. Hochschule, Hannover, Germany
SOURCE: Chemische Berichte (1955), 88, 1334-40
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 50:64655
GI For diagram(s), see printed CA Issue.
AB β-Aminotricarballylic acid (I) was prepared by hydrolysis of esters of hydantoin-5,5-diacetic acid (II). A solution of 17.2 g. AcCHPrCO2Et, 40 g. (NH4)2CO3, and 7.2 g. KCN in 170 ml. 55% EtOH heated 6 hrs. at 58-62°, 0.5 hr. at 80-90° (with alc. distillation), concd, in vacuo to 30 ml., and neutralized with HCl gave 9.9 g. NH.CO.NH.CO.CMeCHPrCO2Et (IIa), m. 86° (from H2O). CO(CH2CO2Et)2 analogously gave 52% II Et ester (III), m. 143° (from 50% alc.), also prepared in 77% yield by refluxing β-ureidotricarballylic acid (IV) in absolute alc. saturated with HCl 3 hrs. II Me ester, prepared similarly in 47% yield from CO(CH2CO2Me)2, m. 156° (from 50% MeOH); esterification of II with absolute MeOH and dry HCl gave 86% of a 2nd modification (V), m. 210° (from 50% MeOH). V was also prepared in 74% yield from IV and MeOH-HCl, or in 53% yield from 0.95 g. I and 0.51 g. KCNO refluxed 0.5 hr. in H20 and the IV esterified. The infrared spectra of these modifications are given and compared. Other esters of II prepared from the corresponding CO(CH2CO2R)2 (VII) were (R, m.p., and % yield): iso-Pr, 200-1° (from 50% EtOH), 48%; Bu, oil, 27%; and sec-Bu, 131-2° (from 50% EtOH), 54%. VII prepared by saturating CO(CH2CO2H)2 in ROH with anhydrous HCl were (R, b.p., % yield): iso-Pr, b1.0 101-2.5°, 42%; Bu, b1.0 138-9% 43%; and sec-Bu, b0.9 117-18°, 45%. Hydantoin-5,5-dipropionic acid, prepared like IIa in 49% yield from CO(CH2CH2CO2H)2 (VIII), m. 171° (from 50% EtOH). II, m. 190-2°, was prepared in 40% yield by refluxing 13.6 g. III 3 hrs. with 100 ml. 20% HCl, or in 74% yield by letting 1.36 g. III stand 7 days in 500 ml. saturated Ba(OH)2. CO(CH2CO2H)2 (14.6 g.), treated like VIII gave 13° II and 4.8 g. 5,5-dimethylhydantoin. II diamide (0.27 g.) from 0.5 g. III and 30 ml. 40% aqueous NH3 5 days at room temperature, m. 248° (decomposition) (from H2O); II dihydrazide (9.6 g.), from 1.35 g. III and 1.0 g. (NH2)2.-H2O refluxed 3 hrs. in alc., m. 153-4° (from 50% EtOH). III (2.72 g.) refluxed in a saturated solution of 7.8 g. Ba(OH)2 8H2O until turbidity and NH3 evolution occur (1.5 hrs.), the Ba++ precipitated with H2SO4, and the solution evaporated in vacuo gave 1.6 g. IV, m. 267° (decomposition) (from H2O). Esters of II (0.01 mole) heated 4-9 hrs. at 150-200° with 11.0 g. Ba(OH)2.8H2O or 2 g. NaOH and 50 ml. H2O gave 54-78% I, m. 227-9° (decomposition) or 200° (rapid heating) (from 80% EtOH). II Me ester and Ba(OH)2 6 hrs. at 180° gave 78% I;° I Me ester.HCl, m. 155-7°; I Et ester.HCl, m. 162-4° I forms blue, voluminous, insol. Cu1.5C6H6O6N with aqueous Cu(OAc)2. The median sedative dose of II for mice is 380 mg./kg. (oral); the lethal dose, 2000 mg./kg.
IT **858222-48-7**, 4,4-Imidazolidinediacetamide, 2,5-dioxo-
(preparation of)
RN 858222-48-7 CAPLUS
CN 4,4-Imidazolidinediacetamide, 2,5-dioxo- (5CI) (CA INDEX NAME)



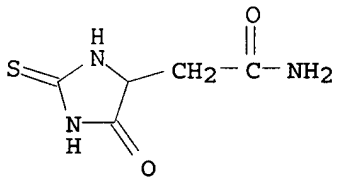
L5 ANSWER 82 OF 93 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1953:54770 CAPLUS
DOCUMENT NUMBER: 47:54770
ORIGINAL REFERENCE NO.: 47:9273c-i
TITLE: Thiohydantoins. I. Preparation of some 2-thiohydantoins from amino acids and acylamino acids
AUTHOR(S): Swan, J. M.
CORPORATE SOURCE: Commonwealth Sci. Ind. Research Organization, Melbourne
SOURCE: Australian J. Sci. Res. (1952), A5, 711-20
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB Valine (I), methionine (II), isoleucine (III), PhCH(NH2)CO2H (IV), tryptophan (V), histidine (VI), and tyrosine (VII), or their Ac derivs. have been converted by warming with Ac2O and NH4SCN (VIII) into the corresponding 1-acetyl-2-thiohydantoins (IX), which were deacetylated by an improved procedure. Warming 1.2 g. I and 0.9 g. VIII in 10 ml. Ac2O containing 10% AcOH, and evaporating the solution, give the corresponding IX derivative, C8H12O2N2S, m. 112° (from EtOH). The same method furnishes C11H10O2N2S, m. 199-201°, from IV; C9H14O2N2S, m. 162-3°, from III; C8H12O2N2S2, m. 102-3°, from II; and C14H13O2N3S, m. 172°, from V. Partial hydrolysis of AcNHCH(CO2Et)2 with alc. KOH gives Et H acetamidomalonate (X), m. 140-1.5°. Warming X with Ac2O, AcOH, and VIII yields Et 1-acetyl-2-thio-5-hydantoincarboxylate, m. 108-9° (from CHCl3-petr. ether). X let stand with concentrated NH3 gives AcNHCH2CONH2, m. 137-7.5°, and 1-acetyl-2-thiohydantoin (XI), m. 179°. PhCH2CONHCH(CO2H)CO2Et with VIII, Ac2O, and AcOH on a steam bath, gives Et 1-phenylacetyl-2-thio-5-hydantoincarboxylate, m. 110°. PhCH2CONHCH(CO2Et)2 with KOH in EtOH at room temperature gives in 12 hrs. the free acid, C11H11O5N, m. 142° (127° by rapid heating). 1-Acetyl-5-(1-acetyl-4-imidazolylmethyl)-2-thiohydantoin, (XII), 187-8°, seps. when VIII, Ac2O, and AcOH are shaken with monoacetylhistidine hydrate or with anhydrous NaOAc and DL-histidine-HCl.2H2O. Hydrolyzing XII by cold EtOH, by refluxing 3 hrs.with N AcOH or by letting stand 3 hrs. in N NaOH gives 5-(1-acetyl-4-imidazolylmethyl)-2-thiohydantoin, m. 228-9°. Shaking with VIII, Ac2O, and AcOH converts N,N'-diacetylcystine into 5,5'-(dithiodimethylene)bis(1-acetyl-2-thiohydantoin), m. 198-202°, and N,N'-diacetyllysine into 5-(4-acetamidobutyl)-2-thiohydantoin, m. 194°. Heating VII with Ac2O, AcOH, and VIII produces 2 isomeric acetylthiohydantoins, (probably O- and N-Ac), m. 144°, λmaximum 279 mμ, ε 18,300, λmin. 249 mμ, ε 6000, and m. 208-9°, λmaximum 279 mμ, ε 15,800, λmin. 249 mμ, ε 3750. IX are hydrolyzed by refluxing with water or N AcOH, or by heating to 70° with 2N NH3, but not with HgCl2 in EtOH to give the following RCH.CO.NH.CS.NH (XIIA) (R and m.p. given): H, 227° (decomposition): Me, 227° (decomposition); iso-Pr, 159-60°; iso-Bu, 172-3°;

MeSCH2CH2, 148-9°; Ph, 223-5°; 3-indolyl, 190-1°; H2NCOCH2, 246°; H2NCOCH2CH2, 190-1°; EtO2C, 227°. N-Acetylthreonine in the cold with Ac2O, AcOH, and VIII gives 5-ethylidene-2-thiohydantoin (XIII), m. 263-4°, also synthesized by heating anhydrous Pb(OAc)2, 1-acetyl or 1-benzoyl-2-thiohydantoin, or XI and AcH in a sealed tube 3 hrs. at 90°, or by heating 2-phenyl-4-ethylidene-5(4H)oxazolone, Ac2O, and VIII in a sealed tube 6 hrs. at 105°. 5-Benzylidene-2-thiohydantoin, 258°, seps. when 2-methyl-, or 2-phenyl-4-benzylidene-5(4H)-oxazolone is heated with VIII and AcOH in a sealed tube 4-24 hrs. at 105°.

IT **64419-95-0**, 5-Hydantoinacetamide, 2-thio-
(preparation of)

RN 64419-95-0 CAPLUS

CN 4-Imidazolidineacetamide, 5-oxo-2-thioxo- (9CI) (CA INDEX NAME)



L5 ANSWER 83 OF 93 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1954:18107 CAPLUS

DOCUMENT NUMBER: 48:18107

ORIGINAL REFERENCE NO.: 48:3266g-i,3267a-c

TITLE: 5-Phenylhydantoin

AUTHOR(S): Klosa, Josef

SOURCE: Arch. Pharm. (1952), 285, 274-80

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 48:18107

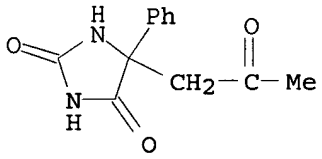
AB 5-Phenylhydantoin (I) and several derivs. have been prepared. I, m. 181-3° (from EtOH), was prepared in 67-g. yield by triturating 100 g. of the BzH-NaHSO3 addition compound with 223 g. (NH4)2CO3 and 56 g. KCN, pouring 500 ml. 60% EtOH over the mixture, heating 5 hrs. at 50° and 1 hr. at 70-90°, cooling, filtering off the inorg. salts, distilling off the EtOH, diluting the aqueous residue with double its volume of H2O, adjusting the pH of the solution to 2-3 with 2N HCl, refluxing 1 hr., filtering hot, and cooling. 2-Ureido-2-phenylacetic acid, m. 161-3° (from H2O), was prepared by warming 10 g. I in 50 ml. N aqueous NaOH 30 min. on the water bath, filtering, cooling, acidifying with concentrated HCl, and letting stand 24 hrs. 2-Amino-2-phenylacetic acid, crystalline powder, m. 252°, was prepared in 0.8-g. yield by refluxing 2 g. I in 10 ml. 33% NaOH 2 hrs., diluting with 10 vols. H2O, cooling, and acidifying with concentrated HCl. Bi(phenylhydantyl) (C18H14N4O4), crystalline powder, m. 343-5°, was prepared in 1.2-g. yield by refluxing 2 g. I with a solution of 2 g. Na in 50 ml. EtOH 1 hr., cooling, diluting with 10 vols. H2O, filtering, and acidifying. 3-Methyl-5-phenylhydantoin, m. 161-3° (from H2O), was prepared in 5.5 g. yield by adding 30 g. Me2SO4 with cooling to 10 g. I in 100 ml. 2N NaOH, and warming 20 min. to 60°. 1,3-Dimethyl-5-phenylhydantoin, m. 107-8° (from H2O), was prepared in 4.4-g. yield by treating 5 g. I in 50 ml. 2N NaOH with 25 ml. Me2SO4 (the pH must be 7-10 during addition), and cooling. 5-Phenyl-5-bromohydantoin (II), m.

210-12°, was prepared in 49 g. yield by adding 75 g. Br in 145 ml. glacial AcOH to 60 g. I in 250 ml. glacial AcOH, heating 4 hrs. on the steam bath, and letting stand. 5-Phenyl-5-piperidinohydantoin, m. 220-2°, was prepared in 8.3-g. yield by adding 12 ml. piperidine to a suspension of 10 g. II in 150 ml. absolute Et2O, refluxing 1 hr., and evaporating to half the original volume 5-Phenyl-5-acetonylhydantoin, m. 206-8° (from EtOH), was prepared in 2.6-g. yield by carefully warming 3 g. II with 30 ml. Me2CO to start the exothermic reaction, letting the reaction proceed without further warming finally heating 30 min. at 40°, cooling, and diluting with 3 vols. H2O.

IT **858204-23-6**, Hydantoin, 5-acetonyl-5-phenyl-
(preparation of)

RN 858204-23-6 CAPLUS

CN Hydantoin, 5-acetonyl-5-phenyl- (5CI) (CA INDEX NAME)



L5 ANSWER 84 OF 93 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1949:17411 CAPLUS

DOCUMENT NUMBER: 43:17411

ORIGINAL REFERENCE NO.: 43:3362g-i,3363a-i,3364a-d

TITLE: Biosynthesis of penicillins. VI. N-2-Hydroxyethyl amides of some polycyclic and heterocyclic acetic acids as precursors

AUTHOR(S): Jones, Reuben G.; Soper, Quentin F.; Behrens, Otto K.; Corse, Joseph W.

SOURCE: Journal of the American Chemical Society (1948), 70, 2843-8
CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

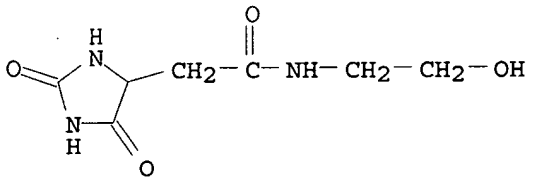
OTHER SOURCE(S): CASREACT 43:17411

AB 2,6-MeC10H6NH2 (78 g.) in 80 mL. concentrated HCl and 200 mL. H2O at 0°, treated at 5° with 35 g. NaNO2 in 50 mL. H2O and, after 0.5 h., with 130 g. ice-cold 42% HBF4, gives 90% of the 2-diazonium fluoroborate, decomposition of which yields 69% 2-methyl-6-fluoronaphthalene (I), m. 77°. I (40 g.) at 210°, treated (15 min.) with 40 g. Br (with illumination with a 100-w. lamp), gives 82% 2-(bromomethyl)-6-fluoronaphthalene (II), b2 125-30°, m. 53°. II (48 g.), added to a refluxing solution of 30 g. KCN in 60 mL. H2O and 200 mL. EtOH, the EtOH removed after refluxing 4 h., 500 mL. H2O added, the solution extracted with ether, and the residue from the ether boiled 5 h. with 40 g. KOH in 40 mL. H2O and 200 mL. EtOH, gives 74% 6-fluoro-2-naphthaleneacetic acid, m. 138-9° (Me ester, b2 163-6°, m. 48-9°). 2,6-MeC10H6NH2 (63 g.) in 100 mL. H2O and 700 g. 48% HBr, treated (3-4 h.) at 5° with 45 g. NaNO2 in 75 mL. H2O and the diazonium solution poured (10 min.) into 170 g. CuBr in 800 mL. 48% HBr at 70-80°, gives 40% 6-bromo-2-methylnaphthalene (III), m. 142° III yields 80% 6-bromo-2-(bromomethyl)naphthalene, m. 124-5° this gives 69% 6-bromo-2-naphthaleneacetic acid, m. 175-6° (Me ester, b2

187-93°, m. 67-9°). 3,2-ClC10H6CHO (32.5 g.), 35 g. hippuric acid, 14.5 g. anhydrous AcONa, and 50 mL. Ac2O, heated on the steam bath 1 h., give 75% 2-phenyl-4-(3-chloro-2-naphthylmethylene)-5(4H)-oxazolone (IV), bright yellow, m. 192° 40 g. IV in 200 mL. 10% NaOH, refluxed 9 h., the mixture diluted to 1500 mL. with H2O, washed with ether, the aqueous solution treated with 20 mL. 12.5 N NaOH and 15 mL. 30% H2O2, allowed to stand overnight, the filtrate acidified with HCl, extracted with ether-C6H6, and the residue esterified, gives 37% Me 3-chloro-2-naphthaleneacetate, b2 163-5°, m. 49-50° the free acid m. 193-4°. 6,2-MeOC10H6Ac (100 g.), 25.5 g. S, and 87 g. morpholine, heated 18 h. at 140°, part of the morpholine removed in vacuo, 250 mL. AcOH and 350 mL. concentrated HCl added, and the mixture refluxed 24 h., give 67% 6-methoxy-2-naphthaleneacetic acid, m. 203-5° (Me ester, b1 192-3°, m. 86°, 73%). 5,6,7,8-Tetrahydro-2-acetonaphthone (50 g.), 13 g. S, and 40 mL. morpholine, refluxed overnight, 400 mL. concentrated HCl and 300 mL. H2O added, and the mixture again refluxed overnight, followed by esterification with EtOH and H2SO4, give Et 5,6,7,8-tetrahydro-2-naphthaleneacetate, b0.5 140-3°. 2-Acetylphenanthrene (13.2 g.), 3.2 g. S, and 10.5 g. morpholine, heated 15 h. at 160°, the mixture treated with 150 mL. AcOH and 36% HCl, and refluxed 24 h., give 81% 2-phenanthreneacetic acid, m. 187-8° the 3-isomer m. 174-5°, 84% (Me ester, b1.5 203-5°, 89%). 8-(Bromomethyl)quinoline (120 g.) in 250 mL. warm EtOH, added (0.5 h.) to 50 g. KCN in 100 mL. warm H2O and the mixture refluxed 1.5 h., gives 78% 8-(cyanomethyl)quinoline, m. 86-7°; hydrolysis with aqueous alc. KOH and esterification give 91% Et 8-quinolineacetate, b3 158-60°. Et 3-quinolinecarboxylate (70 g.), 62 g. AcOEt, and EtONa (12 g. Na and 0.52 mol absolute EtOH) in 100 cc. dry C6H6, refluxed 20 h., the cooled solution poured onto ice, diluted to 5 l. with H2O, treated with 50 mL. 12 N NaOH, washed with two 300 mL. portions of ether, and the aqueous solution neutralized with dilute H2SO4 and extracted with two 500-mL. portions of ether, give 75% Et 3-quinolylformylacetate, m. 84° 27 g. of the keto ester in 125 g. 25% H2SO4, heated 30 min. at 100°, gives 95% 3-acetylquinoline (V). V (7 g.), 5 g. S, 50 mL. (NH4)2S, and 25 mL. H2O, heated 20 h. at 145-50°, the residue extracted with two 300-mL. portions boiling 5% HCl, the solution refluxed 3 h., and the crude acid esterified, give 19% Et 3-quinolineacetate, b2.5 140-2°. pH2NC6H4CH2CO2H (46 g.), 10.5 g. FeSO4, 115 g. C3H5(OH)3, 23 g. PhNO2, and 53 mL. concentrated H2SO4, boiled 5 h., give 37 g. crude acid which, esterified with EtOH and HCl, gives 39% Et 6-quinolineacetate, b3 160° the free acid (VI) m. 218-20°. Et 6-quinolinecarboxylate and AcOEt, condensed with EtONa, give 87% Et 6-quinolineacetate, hydrolysis of which with 25% H2SO4 at 100° gives 90% 6-acetylquinoline, m. 76° the Willgerodt reaction gives 87.5% VI. 3,4 O2N(H2N)C6H3CO2H (108 g.) in 350 mL. concentrated HCl, treated with 125 g. Sn in portions (temperature below 90°), gives 87% (3,4-diaminophenyl)acetic acid-2HCl (VII), m. 222-4° (decomposition); Et ester-2HCl (VIII), m. 185-7° (decomposition); 3 g. VII and 20 mL. 98-100% HCO2H, heated several hrs., give 100% 5-benzimidazoleacetic acid-HCl, m. 240-2° the Et ester m. 65-6°, 75%. VIII (14 g.) in 200 mL. ice H2O, treated with excess COCl2, gives 95% Et 2-hydroxy-5-benzimidazoleacetate, m. 208-9°. NCCH2CO2Et (113 g.) and 15 g. (HOCH2CH2)3N in 100 mL. absolute EtOH, treated with a slow stream of H2S, the mixture poured after 5 days into ice-H2O, and 38 g. of the resulting oil and 23.1 g. ClCH2Ac in 300 cc. anhydrous ether kept 4 days, give 20.6 g. Et 4-methyl-2-thiazoleacetate, b17 136-9°. Thiaxanthydrol (42 g.), 30 g. CH2(CO2H)2, and 80 mL. C5H5N, heated 2 h. at 60-70° and 2 h. at 90-5° and the liquid

poured into 600 mL. 2 N HCl, give 90% 9-thiaxantheneacetic acid, m. 167-8° (Me ester, b2 182-4°). The Ag salt of 2-benzylimidazole (53 g.) and 50 g. BrCH2CO2Et in 200 mL. xylene, refluxed 48 h., give 25.4% of the Et ester, m. 70-70.5°, of 2-benzyl-1-imidazoleacetic acid, m. 173-4°. Me 1-acenaphtheneacetate, b4 176-8°. N-2-Thienylacetyl-DL-valine m. 110-12°. Amides were prepared by heating the Me or Et ester of the various acids with a slight excess of HOCH2CH2NH2 at 100-150° for several hrs.; R in RCH2CONHCH2CH2OH is given, together with S (see part V). 2-C10H7 m. 125-7°, S 1.3; 1-bromo-2-naphthalene m. 155-6°, S 0.5; 6-fluoro-2-naphthalene m. 145-6°, S 1.2; 3-chloro-2-naphthalene m. 150-1°, S 0.3; 6-bromo-2-naphthalene m. 167-8°, S 0.9; 5,6,7,8-tetrahydro-2-naphthalene m. 88-90°, S 0.9; 1-nitro-2-naphthalene m. 154-5°, S 0.9; 6-methoxy-2-naphthalene m. 160°, S 1.1; 1-acenaphthene m. 160°, S 1.1; 9-fluorene m. 127-8°, S 0.7; 2-phenanthrene m. 135-7°, S 0.5; 3-isomer m. 133-5°, S 0.5; 1-pyrrole m. 85-7°, S 0.9; 2-thiophene m. 66-7°, S 1.8; 2-furan oil, S 0.4; 2,6-dihydroxy-5-pyrimidine m. 271-2°, S 1; 2-methyl-4-hydroxy-5-pyrimidine m. 184°, S 0.9; 3,4-methylenedioxyphenyl m. 99-100°, S 1; 2-methyl-4-thiazole m. 93-4°, S 0.85; 4-methyl-2-thiazole m. 80-2°, S 0.9; 2-pyridine m. 93-4°, S 1; 3-isomer m. 94° S 1; 6-methyl-2-pyridine m. 49-50°, S 1; 2-benzyl-1-imidazole m. 177-9°, S 1; 3-quinoline m. 151-2°, S 1; 6-isomer m. 135°, S 1; 8-isomer m. 92-3°, S 1; 2-benzimidazole m. 185-90°, S 1; 5-isomer m. 160-2°, S 1; 2-hydroxy-5-benzimidazole m. 245-6°, S 1; 7-hydroxy-4-coumarin m. 114-16°, S 1; 9-xanthene m. 157-8°, S 0.8; 9-thiaxanthene m. 148-9°, S 0.7; 5-hydantoin m. 160-2°, S 0.9. Only a few of these compds. appeared to be utilized readily by the mold for the formation of new penicillins. Several of the compds. appeared to effect some increase in penicillin yield or to change the differential assay value of the crude penicillin produced in their presence.

IT **858208-01-2**, 5-Hydantoinacetamide, N-2-hydroxyethyl-
(preparation of)
RN 858208-01-2 CAPLUS
CN 5-Hydantoinacetamide, N-2-hydroxyethyl- (5CI) (CA INDEX NAME)



L5 ANSWER 85 OF 93 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1944:39000 CAPLUS
DOCUMENT NUMBER: 38:39000
ORIGINAL REFERENCE NO.: 38:5800b-f
TITLE: Synthesis of 5-(substituted-methyl)-5-phenethylhydantoins
AUTHOR(S): Henze, Henry R.; Holder, Charles B.
SOURCE: Journal of the American Chemical Society (1944), 66, 1545-7
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal

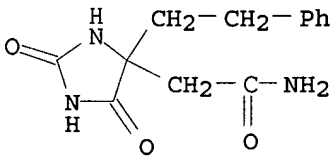
LANGUAGE: Unavailable

AB cf. C. A. 35, 5891.1. 1-Chloro-4-phenyl-2-butanone (40 g.), 31.4 g. KCN, 69.4 g. (NH4)2CO3 and 500 cc. 65% EtOH, heated at 58-60° for 20 h., most of the alc. evaporated (separation of 18.1 g. of a dark red viscous oil) and the solution acidified, give 26% of 5-phenethyl-5-hydantoinacetonitrile (I), m. 188-9° (corrected); petr. ether extraction of the oil yields a compound (II) (C21H20N2(?)), m. 96° (corrected). If the above reaction is carried out with 15.7 g. KCN, there results very little I, a somewhat larger yield of II and 22 g. of a solid whose m. p. increased from 160° to 230° on successive crystns.; it is not soluble in 20% NaOH. Hydrolysis of I with EtOH-HCl gives 47-70% of Et 5-phenethyl-5-hydantoinacetate (III), m. 156.7-7.7° (corrected). Details are given of the reaction of PhCH2CH2COCl and AcCHNaCO2Et and the isolation of a crude Et hydrocinnamoylacetate (IV), b4 127-34°, n20D 1.5058, d204 1.0679; with KCN and (NH4)2CO3 in 55% EtOH IV gives 55% of crude III. III and concentrated NH4OH, allowed to stand 2 wk, give 52% of 5-phenethyl-5-hydantoin-acetamide (V), m. 228.7-9.7° (corrected). Solution of III in a slight excess of 10% NaOH and acidification of the cooled solution with 6 N HCl give 48% of 5-phenethyl-5-hydantoin-acetic acid (VI), m. 213-14.5° (corrected); VI results in 44% yield by refluxing I with 25% HCl for 20 h. or from V with 6 N HCl. II is apparently formed from interaction of 2 mols. of the ketone with KCN and (NH4)2CO3 but not from the action of either alone; II must be heterocyclic, possess aromatic properties and but 1 active H atom; II is quite resistant toward hydrolysis, oxidation and reduction; alc. HCl (heated 20 h. on a steam cone) gives a compound C21H22N2O, m. 153.2-4.2° (corrected). A preliminary report is given of the pharmacol. properties of 5-diethylamino-, 5-dipropylamino- and 5-(4-morpholinyl)-5-phenethylhydantoins.

IT **858786-59-1**, 5-Hydantoinacetamide, 5-phenethyl- (preparation of)

RN 858786-59-1 CAPLUS

CN 5-Hydantoinacetamide, 5-phenethyl- (4CI) (CA INDEX NAME)



L5 ANSWER 86 OF 93 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1941:42326 CAPLUS

DOCUMENT NUMBER: 35:42326

ORIGINAL REFERENCE NO.: 35:6577b-e

TITLE: Preparation of certain 5-acetates and 5-acetamides of 5-phenylhydantoin

AUTHOR(S): Rogers, Burl G.; Henze, Henry R.

SOURCE: Journal of the American Chemical Society (1941), 63, 2190-1
CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

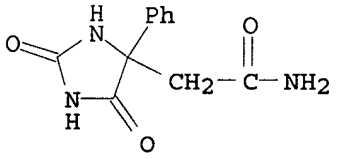
LANGUAGE: Unavailable

AB Heating a mixture of 19.2 g. of BzCH2CO2Et, 13 g. KCN and 45.5 g. (NH4)2CO3 in 350 cc. 60% EtOH for 10 hrs. at 58-62° gives 60% of the Et ester, m. 139-40° (all m. ps. corrected), of 5-phenyl-5-hydantoinacetic acid (I), m. 261.5-2.5° (decomposition) (prepared in 87% yield from the

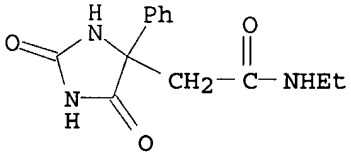
ester by boiling 20 g. with 50 cc. 20% HCl for 3 hrs.). Esters of I were prepared from the alcs. and dry HCl (refluxing 2-3 hrs.): Me m. 223-4°, 63%; Et m. 139-40°, 60%; Pr m. 105.5-7°, 85%; iso-Am m. 126.5-7.5°, 77%; allyl (?) m. 112.5-13.5°, 51%; 2-hydroxyethyl m. 127-8°, 60%; benzyl m. 160-1°, 73%; Ph (prepared from the acid chloride of I and PhOH in C2Cl4 and C5H5N) m. 226-7°, 39%. The amide of I m. 255.5-6.5° (decomposition); the Et ester and 33% aqueous EtNH2 for 10 days at room temperature give the ethylamide, m. 247-8° (decomposition); the acid chloride and 2 equivs. of amine give the diethylamide, m. 223-3.5°; the morpholide, m. 168-70°, resolidifies and then m. 255.5-7°; the anilide m. 269-70°. BzCH2CN (14.5 g.), 13 g. KCN and 45.5 g. (NH4)2CO3 in 65% EtOH, heated 24 hrs. at 58-62°, give 9% (51% on nitrile not recovered) of 5-phenyl-5-hydantoinacetonitrile, m. 251.5-2.5° (decomposition). 5-Phenyl-5-(1-cyanoethyl)hydantoin could not be prepared from MeBzCHCN. MeCHBzCO2Et, KCN and (NH4)2CO3 give 32% of 5-phenyl-5-(1-carbethoxyethyl)hydantoin, m. 241-2°; hydrolysis with 1:1 HCl gives 84% of the free acid, m. 271.5-3°.

IT **858786-58-0**, 5-Hydantoinacetamide, 5-phenyl- **858786-60-4**, 5-Hydantoinacetamide, N-ethyl-5-phenyl- **858786-61-5**, 5-Hydantoinacetamide, N,N-diethyl-5-phenyl-
(preparation of)

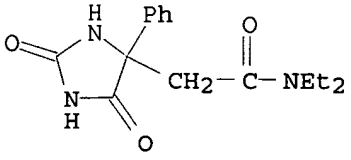
RN 858786-58-0 CAPLUS
CN 5-Hydantoinacetamide, 5-phenyl- (4CI) (CA INDEX NAME)



RN 858786-60-4 CAPLUS
CN 5-Hydantoinacetamide, N-ethyl-5-phenyl- (4CI) (CA INDEX NAME)



RN 858786-61-5 CAPLUS
CN 5-Hydantoinacetamide, N,N-diethyl-5-phenyl- (4CI) (CA INDEX NAME)



L5 ANSWER 87 OF 93 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1937:3033 CAPLUS
DOCUMENT NUMBER: 31:3033
ORIGINAL REFERENCE NO.: 31:401h-i,402a-i,403a-b
TITLE: Synthesis of dehydracetic acid from acetoacetic ester
AUTHOR(S): Arndt, F.; Eistert, B.; Scholz, H.; Aron, E.
SOURCE: Ber. (1936), 69B, 2373-80
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 31:3033

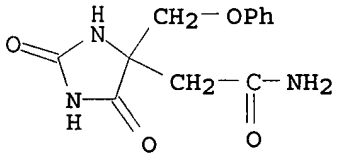
AB Unexpected lack of success has frequently been experienced, both in Arndt's laboratory (Breslau) and elsewhere, in the application of the method of preparing dehydracetic acid (I) described some yrs. ago (A. and Nachtwey, C. A. 19, 286). Systematic investigations were accordingly undertaken, simultaneously and independently, at the Breslau laboratory and the main laboratory of the I. G. Farbenindustrie A.-G. to determine the cause of these difficulties. The results of these investigations are reported jointly in this paper. The trouble has been traced to the material of which the reaction vessels were made. The reaction has to be catalyzed by min. amts. of alkali. In the original expts. of A. and N. and in many later ones, the glass used furnished this necessary alkali, but with improvements in the manufacture of laboratory glassware, this source of alkali was eliminated. It is most reliably supplied by the addition of min. amts. of NaHCO3; glass wool, borax, soft enamel and the like can also be used, although with less favorable results. The length of boiling required for the reaction can be more or less decreased according to the amount of alkali added, but the latter must be kept within very narrow limits for it not only catalyzes the formation of I but also a decomposition of the AcCH2CO2Et (II) to acetone. Thus, with 0.05 g. NaHCO3 the cleavage of 70 g. alc. from 300 g. II can be effected in 4 h. instead of the 8 h. reported by A. and N. but the yield of I (based on the II used up) is only 60% as against their 80%. Where yield is more important than time, it is better to use a smaller amount of alkali (0.001% or less of the weight of II) and when, after about 4 h., approx. 25% of the theor. amount of alc. has distilled over, to distill off all the II still present and use it for another charge. In this way, 80-90% yields of I can now again be obtained. The crude I so prepared is already pure enough, after being pressed, for many purposes; it can readily be further purified by dissolving it in dilute Na2CO3, boiling with charcoal and repptg. with acid. It is best obtained entirely pure and snow-white by distillation in vacuo and subsequent crystallization from alc., although this involves losses. As pointed out by A. and N., the cardinal point in the process is the continuous removal of the liberated alc. This has been shown even more convincingly in expts. in which II was heated to its boiling temperature and higher in sealed tubes; even with alkali and after long heating, practically no I was formed. The mechanism of the reaction originally suggested is still believed to be correct: 2II .dblarw. EtOH + MeC(:CHCO2Et)OCOCH2Ac → I + EtOH. It is the 2nd, irreversible reaction (a Claisen condensation) which requires the alkali for its catalysis. This view has been further confirmed by a study of the so-called thermal condensation of II. According to Oppenheim and Precht (Ber. 9, 324(1876)), the vapor of II passed through an Fe tube filled with pumice and heated to nearly dark redness gives I, although in varying, always moderate yields and in impure state, and the tube soon becomes stopped up by the formation of coke. The present authors studied the influence of various other substances on the vapor of II at 300-600°. Quartz, Al, Cu and V2A steel had no effect on the vapor; glass or porcelain in the absence of Fe (best in tubes of the same

material), on the other hand, gave pure snow-white I in good yields as long as the temperature was not raised higher than about 400°; about 25% of the II was thus transformed. The process can be continued a long time without plugging up of the tube. The formation of "dehydro acids" from β-keto esters is not limited to II. With the experience gained in the work on II there is now available a practical method of preparing I and other dehydro acids which hitherto could be obtained only as byproducts and with uncertainty. The dehydro acids are of importance in that various pyrone and pyridine derivs. can be readily prepared from them; some examples of such reactions are given. Thus, 70 g. crude I boiled with 200 cc. concentrated HCl until foaming ceases, then evaporated to dryness on the water bath and crystallized 3 times from pyridine, gives 33 g. almost pure 2,6-dimethylpyrone. 6-Methylpyronone (from I and 90% H_2SO_4 at 135°) with aqueous $MeNH_2$ at 30° gives 1,6-dimethyl-4-hydroxy-2-pyridone, decomposing 225-6° (120-5 g. from 130 g. pyronone). 1-Ethyl-6-Me homolog, similarly prepared with $EtNH_2$, decomps. 198°. 1-Cyclohexyl-6-Me compound, m. 108°. 1-(p-Dimethylaminophenyl)-6-Me der., decomps. 270-5°. With $PhNH_2$ the methylpyronone undergoes extensive decomposition, yielding a product, m. 199-200°, which does not have the properties expected of a hydroxypyridone; it is not soluble in NaOH without decomposition and does not couple with diazo compds. Dehydrobenzoylacetic acid, m. 171°, is obtained in 90-110 g. yield from 150 g. $BzCH_2CO_2Et$ diluted with 150 cc. o-$C_6H_4Cl_2$ boiled with a trace of $NaHCO_3$ until about 20 cc. alc. has distilled over; 50 g. of this acid added with stirring to a cold mixture of 30 cc. water and 300 cc. concentrated H_2SO_4, then heated as rapidly as possible to 138-40° (by immersing the flask in an oil bath at 160°), kept 1 min. at this temperature, and quickly cooled in ice water, gives 6-phenylpyronone as a brownish, sandy crystalline powder, decomposing 245-6°, which with aqueous NH_4OH at 120° yields 2,4-dihydroxy-6-phenylpyridine, decomposing 315-18°.

IT **873421-97-7**, 5-Hydantoinacetamide, 5-phenoxymethyl-
(preparation of)

RN 873421-97-7 CAPLUS

CN 5-Hydantoinacetamide, 5-phenoxymethyl- (4CI) (CA INDEX NAME)



L5 ANSWER 88 OF 93 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1936:57003 CAPLUS

DOCUMENT NUMBER: 30:57003

ORIGINAL REFERENCE NO.: 30:7542f-h

TITLE: Condensation of ethyl β-methyladipate with ethyl oxalate

AUTHOR(S): Broun, A. S.

SOURCE: Zhurnal Obshchei Khimii (1936), 6, 612-15
CODEN: ZOKHA4; ISSN: 0044-460X

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

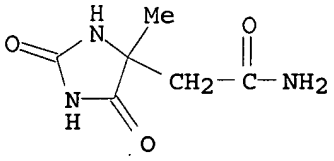
AB Naumov (unpublished work) condensed $(CH_2)_4(CO_2Et)_2$ with $(CO_2Et)_2$ (I) in the presence of Na and a little alc., obtaining about 50% di-Et cyclohexane-2,3-dione-1,4-dicarboxylate, m. 53-4°. A mixture of 54

g. Na, 97 g. I and 15 cc. absolute EtOH was treated dropwise with 126 g. Et β-methyladipate and stirring continued for 4 hrs. After standing overnight, the reaction mixture on the addition of absolute Et2O was refluxed at 40° for 7-8 hrs., giving di-Et 6-methylcyclohexane-2,3-dione-1,4-dicarboxylate, b15 206-7°.

IT **876479-33-3**, 5-Hydantoinacetamide, 5-methyl-
(preparation of)

RN 876479-33-3 CAPLUS

CN 5-Hydantoinacetamide, 5-methyl- (3CI) (CA INDEX NAME)



L5 ANSWER 89 OF 93 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1936:57004 CAPLUS

DOCUMENT NUMBER: 30:57004

ORIGINAL REFERENCE NO.: 30:7542h-i

TITLE: Preparation and resolution of homoaspartic acid

AUTHOR(S): Pfeiffer, Paul; Heinrich, Ernst

SOURCE: Journal fuer Praktische Chemie (Leipzig) (1936), 146, 105-12
CODEN: JPCEAO; ISSN: 0021-8383

DOCUMENT TYPE: Journal

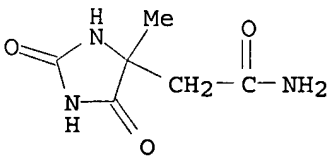
LANGUAGE: Unavailable

AB Heating 13 g. AcCH2CO2Et, 20 g. (NH4)2CO3 and 12 g. KCN in 60 cc. 50% EtOH under 20 atmospheric pressure of CO2 5 hrs. at 70-80° gives Et 5-methylhydantoin-5-acetate, m. 138° (amide, m. 252° (decomposition); hydrazide, m. 104-5°); heating 5 g. ester with 75 cc. 40% KOH for 48 hrs. gives homoaspartic acid (I), m. 233° (decomposition); HCl salt, m. 212° (decomposition); Ca salt, with 3 mols. H2O; Ba salt, with 4 mols. H2O; Pb salt, with 2 mols. H2O; Cu salt, with 3 mols. H2O diamide, from the crude di-Me ester, m. 173°; Ac derivative, m. 156-7°. I in H2O yields a strychnine salt, with 2 mols. H2O, m. 240° (decomposition), αD24 -28.67°; with N NaOH this yields a I with [α]D20 3.55°; the filtrate gives the l-I, [α]D20 -3.47°.

IT **876479-33-3**, 5-Hydantoinacetamide, 5-methyl-
(preparation of)

RN 876479-33-3 CAPLUS

CN 5-Hydantoinacetamide, 5-methyl- (3CI) (CA INDEX NAME)



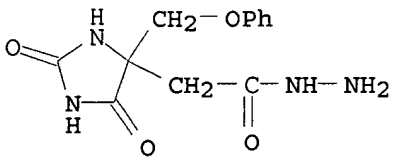
L5 ANSWER 90 OF 93 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1937:3034 CAPLUS
DOCUMENT NUMBER: 31:3034
ORIGINAL REFERENCE NO.: 31:403b-c
TITLE: Synthesis of 3-hydroxychromanon-3-acetic acid
AUTHOR(S): Pfeiffer, Paul; Heinrich, Ernst
SOURCE: Journal fuer Praktische Chemie (Leipzig) (1936), 147, 93-8
CODEN: JPCEAO; ISSN: 0021-8383
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

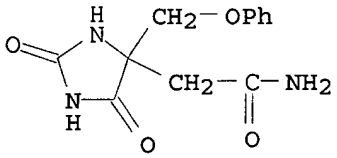
AB Et 5-phenoxymethylhydantoin-5-acetate (I) forms an amide, m. 232°, and a hydrazide, m. 178°. Alkaline hydrolysis of I, followed by HNO2, gives γ-phenoxycitramalic acid, PhOCH2C(OH)(CO2H)CH2CO2H (II), which forms an amide, m. 183-4°. II and AcCl, heated 4 hrs., give the anhydride (III) of II, m. 92°; NH4OH gives the salt PhOCH2C(OH)(CONH2)CH2CO2NH4 or PhOCH2C(OH)(CO2NH4)CH2CONH2. III and AlCl3 at 115-20° for 8 hrs. give 3-hydroxychromanon-3-acetic acid, an oil; Ba salt. α-Phenoxymethylacetylaspartic anhydride, from the aspartic acid and AcCl; ester acid, m. 222-3°.

IT **858786-42-2**, 5-Hydantoinacetic acid, 5-phenoxymethyl-, hydrazide
873421-97-7, 5-Hydantoinacetamide, 5-phenoxymethyl-
(preparation of)

RN 858786-42-2 CAPLUS
CN 5-Hydantoinacetic acid, 5-phenoxymethyl-, hydrazide (4CI) (CA INDEX NAME)



RN 873421-97-7 CAPLUS
CN 5-Hydantoinacetamide, 5-phenoxymethyl- (4CI) (CA INDEX NAME)



L5 ANSWER 91 OF 93 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1936:22334 CAPLUS
DOCUMENT NUMBER: 30:22334
ORIGINAL REFERENCE NO.: 30:2925h-i,2926a
TITLE: A new method for forming hydantoic compounds
AUTHOR(S): Jerzmanowska-Sienkiewiczowa, Z.
SOURCE: Roczniki Chemii (1935), 15, 202-8
CODEN: ROCHAC; ISSN: 0035-7677
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

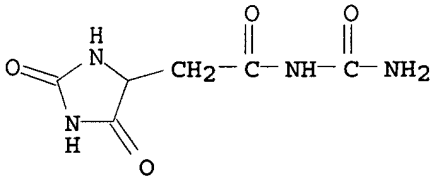
AB Condensation of urea with Et maleate or fumarate results in 2 products: hydantoinacetic acid (I) and its ureide (II). I results from a partial

hydrolysis of II. The reaction proceeds thus: EtCO2CH:CHCO2Et → EtCO2CH:CHCONHCONH2 → CO.NH.CO.NH.CH CH2CO2Et → CO.NH.CO.NH.CHCH2CONHCONH2. 10.4 g. urea is added to 4 g. Na in 100 cc. anhydrous alc., heated until solution results and maintained at 75°, then 10 g. of the maleate (1 mole) or the fumarate (in proper ratio) is added. Heating is carried on 15 min. after the formation of a copious precipitate After cooling, filtering and washing with alc., the precipitate, dissolved in water and strongly acidified with 20% HCl, gives 36-40% of crystals of II, m. 273-4°. The filtrate after the separation of crude II is evaporated and the oily residue, after washing with cold alc., is extracted in a Soxhlet with Me2CO, giving 10-12% of I, m. 213-14°.

IT **198337-26-7**, 5-Hydantoinacetic acid, ureide
(preparation of)

RN 198337-26-7 CAPLUS

CN 4-Imidazolidineacetamide, N-(aminocarbonyl)-2,5-dioxo- (9CI) (CA INDEX NAME)



L5 ANSWER 92 OF 93 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1933:53514 CAPLUS

DOCUMENT NUMBER: 27:53514

ORIGINAL REFERENCE NO.: 27:4789d-f

TITLE: Brazilin and hematoxylin question. XIII. Phenoxycitramalic acid

AUTHOR(S): Pfeiffer, P.; Hoyer, H.

SOURCE: Journal fuer Praktische Chemie (Leipzig) (1933), 138, 69-80
CODEN: JPCEAO; ISSN: 0021-8383

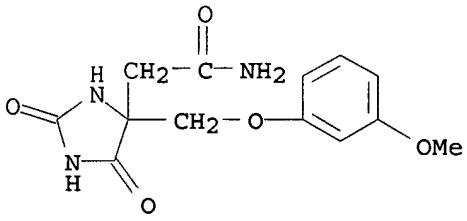
DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

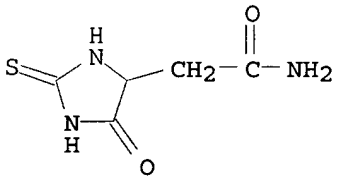
AB cf. C. A. 27, 3940. The following is an improved method for preparing 3-MeOC6H4OCH2C(OH)(CO2H)CH2CO2H (I) (cf. C. A. 23, 4480). 3-Methoxyphenoxyacetamide, m. 110°, results in 3 g. yield from 6 g. 3-MeOC6H4OCH2COCH(CN)CO2Et, KCN and (NH4)2CO3 in 50% EtOH heated 5 hrs. at 80-90°. 3-MeOC6H4OCH2COCH2CO2Et, KCN and (NH4)2CO3, heated in 50% EtOH under 10 atmospheric CO2 5 hrs. at 80-90°, give Et 5-(3-methoxyphenoxymethyl)hydantoin-5-acetate, m. 133-4°; concentrated NH4OH gives the amide, m. 203-4°; boiling 25% KOH (about 18 hrs.) gives α-(3-methoxyphenoxymethyl)aspartic acid, m. about 170° (decomposition); Ba salt, crystals with 1 mol. H2O; HNO2 gives I; Ba salt, crystals with 1 mol. H2O. Et 5-phenoxymethylhydantoin-5-acetate, crystals with 1 mol. H2O, m. 157-8°; free acid, m. 238-9°; heating the ester with 25% KOH gives α-phenoxymethylaspartic acid, m. 230-40° (decomposition); Ba salt, crystals with 1 mol. H2O; HNO2 gives γ-phenoxycitramalic acid, m. 173-4°; Ba salt, crystals with 1 mol. H2O; di-Me ester, m. 67-8°.

IT **857795-83-6**, 5-Hydantoinacetamide, 5-[(m-methoxyphenoxy)methyl]-
(preparation of)

RN 857795-83-6 CAPLUS
CN 5-Hydantoinacetamide, 5-[(m-methoxyphenoxy)methyl]- (3CI) (CA INDEX NAME)



L5 ANSWER 93 OF 93 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1912:22088 CAPLUS
DOCUMENT NUMBER: 6:22088
ORIGINAL REFERENCE NO.: 6:3091b-d
TITLE: Hydantoins. XIV. The Action of Potassium Thiocyanate on Asparagine
AUTHOR(S): Johnson, T. B.; Guest, H. H.
CORPORATE SOURCE: Yale Univ.
SOURCE: American Chemical Journal (1912), 48, 103-11
CODEN: ACJOAZ; ISSN: 0096-4085
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB 3-Acetyl-2-thiohydantoin-4-acctamide(I) was prepared on heating a mixture of anhydrous asparagine and dry KCNS in the presence of Ac2O, prisms, m. 222-3°. From the filtrate, 2-thiohydantoin-4-acetamide, C5H7O2N3S, separated on evaporation On hydrolysis of (I) with HCl, 2-thiohydantoin-4-acetic acid (II) separated, plates, m. 222°. (decompose). On digesting (II) with CH2ClCO2H. hydantoin-4-acetic acid (malyureidic acid) was obtained, blocks, m. 214-5°. Aspartic acid is deaminized by the action of KCNS in the presence of Ac2O, no thiohydantoin being formed.
IT **64419-95-0**, 4-Imidazoleacetamide, tetrahydro-5-keto-2-thioketo- (preparation of)
RN 64419-95-0 CAPLUS
CN 4-Imidazolidineacetamide, 5-oxo-2-thioxo- (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL

	ENTRY	SESSION
CA SUBSCRIBER PRICE	-9.75	-9.75

FILE 'REGISTRY' ENTERED AT 09:57:30 ON 19 APR 2006

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 APR 2006 HIGHEST RN 880759-42-2
DICTIONARY FILE UPDATES: 17 APR 2006 HIGHEST RN 880759-42-2

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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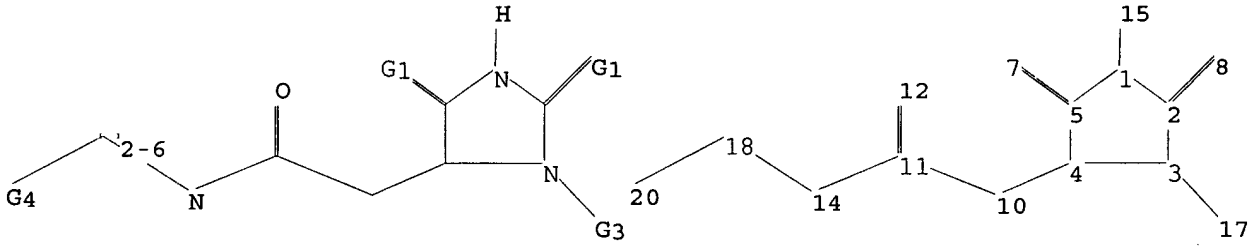
Uploading C:\Program Files\Stnexp\Queries\10525640\Struc 6.str



chain nodes :
7 8 10 11 12 14 15 17 18 20
ring nodes :
1 2 3 4 5

10525640ra.trn

chain bonds :
1-15 2-8 3-17 4-10 5-7 10-11 11-14 11-12 14-18 18-20
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 2-3 2-8 3-4 3-17 4-5 5-7 11-14 11-12 14-18 18-20
exact bonds :
1-15 4-10 10-11

G1:O,S

G2:C,N

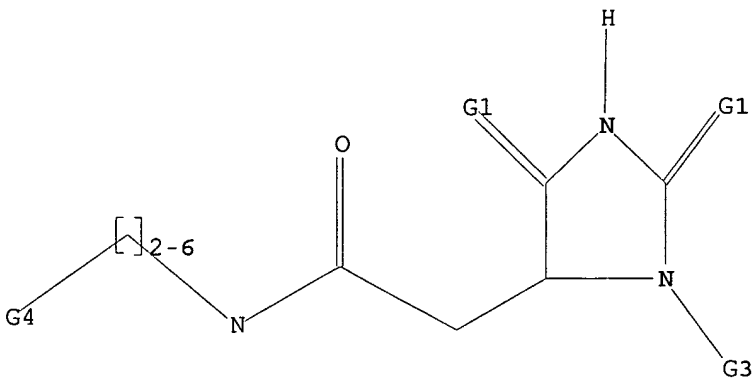
G3:H,CH3

G4:Cb,Cy,Hy

Match level :
1:Atom 2:Atom 3:CLASS 4:Atom 5:Atom 7:CLASS 8:CLASS 10:CLASS 11:CLASS
12:CLASS 14:CLASS 15:CLASS 17:CLASS 18:CLASS 20:CLASS

L6 STRUCTURE UPLOADED

=> d
L6 HAS NO ANSWERS
L6 STR



G1 O,S

G2 C,N

G3 H,Me

G4 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 8 TO ITERATE

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100.0% PROCESSED        8 ITERATIONS                          3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            8 TO      329
PROJECTED ANSWERS:               3 TO      163

L7            3 SEA SSS SAM L6

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100.0% PROCESSED      184 ITERATIONS                         96 ANSWERS
SEARCH TIME: 00.00.01

L8            96 SEA SSS FUL L6

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FULL ESTIMATED COST                                   166.94     407.11

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CA SUBSCRIBER PRICE                                     0.00      -9.75

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=> l8
L9            8 L8

=> d ibib abs hitstr 1-8

L9   ANSWER 1 OF 8  CAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2005:1021606  CAPLUS
DOCUMENT NUMBER:          143:326096
TITLE:                    Preparation of substituted urea and carbamate,
                          phenacyl-2-hydroxy-3-diaminoalkane, and
                          benzamide-2-hydroxy-3-diaminoalkane aspartyl protease
                          and β-secretase inhibitors for treating
                          conditions associated with amyloidosis such as
                          Alzheimer's disease
INVENTOR(S):              John, Varghese; Maillard, Michel; Tucker, John;
                          Aquino, Jose; Hom, Roy; Tung, Jay; Dressen, Darren;
                          Shah, Neerav; Neitz, R. Jeffrey
PATENT ASSIGNEE(S):       Elan Pharmaceuticals, Inc., USA
SOURCE:                   PCT Int. Appl., 532 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:
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PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005087215	A1	20050922	WO 2005-US7775		20050309
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2005261273	A1	20051124	US 2005-75292		20050309
PRIORITY APPLN. INFO.:			US 2004-551192P	P	20040309
			US 2004-575829P	P	20040602
			US 2004-591857P	P	20040729
			US 2004-622589P	P	20041028

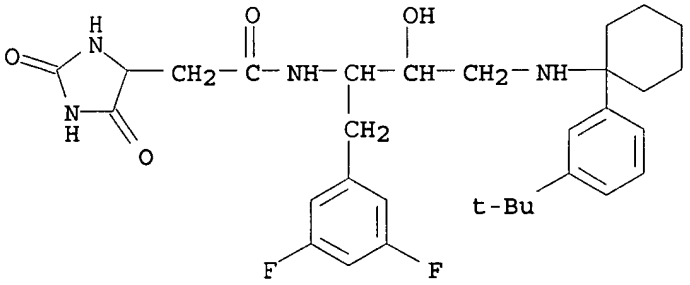
OTHER SOURCE(S): MARPAT 143:326096

AB The invention is related to compds. of formula R2NHCH(R1)CH(OH)CH2NHRc (I) [R1 = (un)substituted benzyl, thien-2-ylmethyl, etc.; R2 = NH2 and derivs., SO2-aryl, hetero/aryl-U, etc.; U = CO, CS, CONH and derivs., etc.; Rc = carbocyclyl or heterocyclyl; with addnl. details given in the claims] particularly acetyl 2-hydroxy-1,3-diaminospirocyclohexanes and derivs., that are useful in treating diseases, disorders, and conditions associated with amyloidosis. Amyloidosis refers to a collection of diseases, disorders, and conditions associated with abnormal deposition of A-β protein. For example, alkylation of (2R,3S)-3-amino-1-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol•2HCl with 4-iodobenzamide gave the coresponding amide. Selected I displayed IC50 values < 5 μM in a cell free inhibition assay utilizing a synthetic APP substrate that can be cleaved by β-secretase. The selectivity of I for β-secretase vs. cathepsin D for 6 examples of I are tabulated. Brain uptake, total polar surface area and/or lipophilicity for 32 examples of I are tabulated.

IT **865375-15-1P**, N-[3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-2-(2,5-dioxoimidazolidin-4-yl)acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of as aspartyl protease and β-secretase inhibitors)

RN 865375-15-1 CAPLUS

CN 4-Imidazolidineacetamide, N-[1-[(3,5-difluorophenyl)methyl]-3-[[1-[3-(1,1-dimethylethyl)phenyl]cyclohexyl]amino]-2-hydroxypropyl]-2,5-dioxo- (9CI) (CA INDEX NAME)

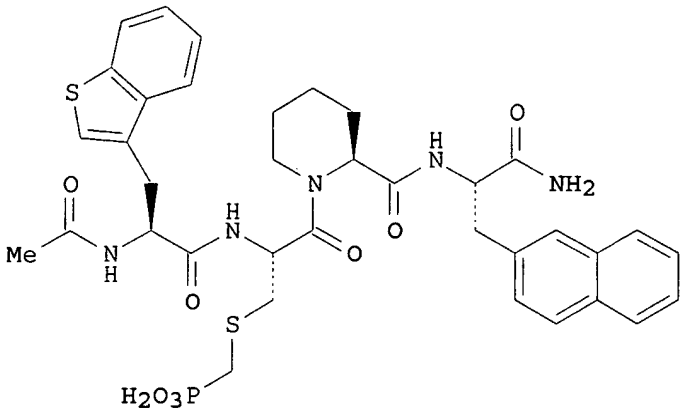


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:612099 CAPLUS
DOCUMENT NUMBER: 143:133696
TITLE: Preparation of peptide phosphonic acid derivatives for the inhibition of undesired cell proliferation
INVENTOR(S): Knolle, Jochen; Schutkowski, Mike; Hummel, Gerd; Tradler, Thomas; Jobron, Laurence; Christner, Claudia; Gibson, Christoph; Zischinsky, Gunther
PATENT ASSIGNEE(S): Jerini A.-G., Germany
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063259	A1	20050714	WO 2004-EP14460	20041218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: EP 2003-29450 A 20031219
OTHER SOURCE(S): MARPAT 143:133696
GI



I

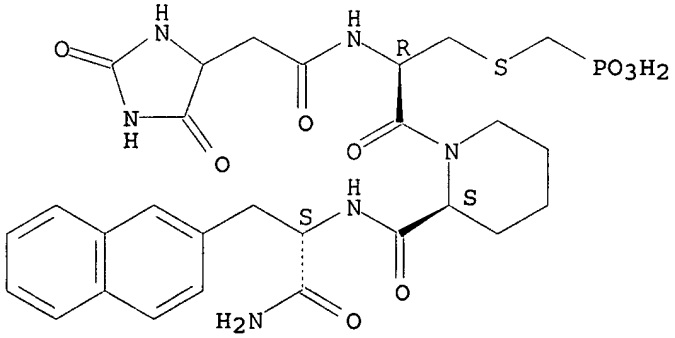
AB The invention relates to phosphonic acid derivs. R1-X1-P(O)(X2-R2)-Y-Z-W1(A1-R3)(A2-R4)-W2(A3-R5)(A4-R6)-W3(A5-R7)(A6-R8)-A7-Q(T)-V(U)-A8-CR9R10-A9-R11 [R1, R2 are independently H or phospho-protecting groups; X1, X2 are independently O, S or NR12; Z is O, S, NR13 or CR4R5; A1-A9 are independently null, O, S, NR16, SO, SO2, CO, C(S), NR17CO, NR18C(S), NR19CONR20, NR21C(S)NR22, NR23S(O), NR24SO2 or NR25CO2; Y is O or CR26R27; Q, V are independently CR28 or N; W1, W2, W3 are independently C or N; R3-R28, T, U are independently null, H, halo, (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, etc.; or T and U may be connected by a single or double bond] and to pharmaceutical compns. containing the compds. for the treatment of diseases involving abnormal or undesired cell proliferation or mitosis. Thus, peptide phosphonic acid derivative I, prepared via peptide coupling in the solid phase, was a potent rotamase inhibitor (IC50 < 1 μM).

IT **858352-64-4P 858648-24-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide phosphonic acid derivs. for inhibition of undesired cell proliferation)

RN 858352-64-4 CAPLUS

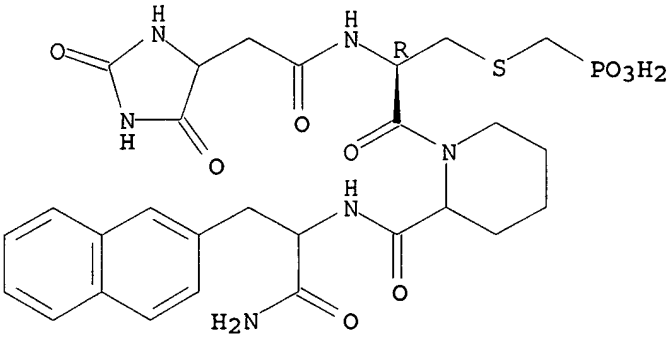
CN L-Alaninamide, N-[(2,5-dioxo-4-imidazolidinyl)acetyl]-S-(phosphonomethyl)-L-cysteinyl-(2S)-2-piperidinecarbonyl-3-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 858648-24-5 CAPLUS
CN Phosphonic acid, [[[(2R)-3-[2-[[[2-amino-1-(2-naphthalenylmethyl)-2-oxoethyl]amino]carbonyl]-1-piperidinyl]-2-[[(2,5-dioxo-4-imidazolidinyl)acetyl]amino]-3-oxopropyl]thio]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

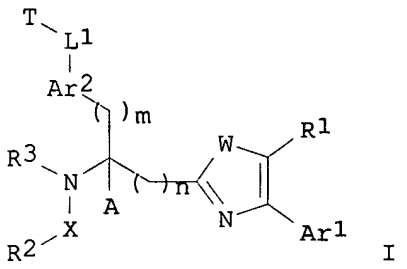


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:701970 CAPLUS
DOCUMENT NUMBER: 141:225511
TITLE: Preparation of substituted azoles as protein tyrosine phosphatase inhibitors for treatment of diabetes and other PTPase mediated conditions
INVENTOR(S): Mjalli, Adnan M. M.; Andrews, Robert C.; Yarragunta, Ravindra R.; Xie, Rongyuan; Ren, Tan; Subramanian, Govindan; Quada, James C., Jr.
PATENT ASSIGNEE(S): Transtech Pharma Inc., USA
SOURCE: PCT Int. Appl., 224 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004071448	A2	20040826	WO 2004-US4076	20040212
WO 2004071448	A3	20041014		
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004186151	A1	20040923	US 2004-777471	20040212
PRIORITY APPLN. INFO.:			US 2003-446924P P	20030212

OTHER SOURCE(S): MARPAT 141:225511
GI



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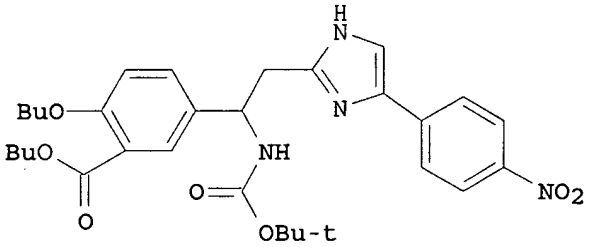


II

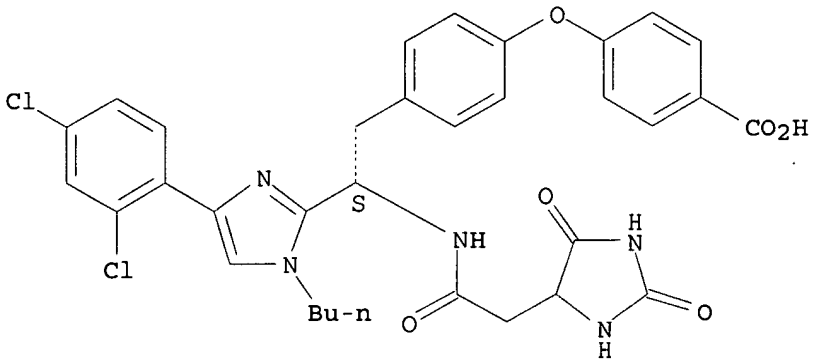
AB Title imidazoles and analogs I [wherein m, n = independently 0, 1; A = H, alkyl, alkenyl, alkynyl; L1 = a bond, O, alkylene, CO, NHCO, NH, NHSO2, etc.; T = H, (un)substituted (cyclo)alkyl, heterocyclyl, (hetero)aryl, etc.; W = O, S, NR4; X = a bond, CO, CH2, SO2; R1 = H, halo, CN, alkyl, (hetero)aryl, heterocyclyl, etc.; R2 = H, perfluoroalkyl, alkylene optionally interrupted by one or more heteroatoms, (hetero)aryl, heterocyclyl, etc.; R3 = H, alkyl, (cyclo)alkylalkylene, (hetero)aryl(alkylene); R4 = H, alkyl, (hetero)aryl(alkyl), heterocyclyl(alkyl), etc.; Ar1 = (un)substituted optionally fused (hetero)aryl; Ar2 = (un)substituted optionally fused (hetero)arylene; and pharmaceutically acceptable slats, solvates, and prodrugs thereof] were prepared as inhibitors of protein tyrosine phosphatases (PTPases). For example, 3-[(tert-butoxycarbonyl)amino]-2-(4-butoxy-3-butoxycarbonylphenyl)-2-ethyl-4-(4-nitrophenyl)imidazole was coupled with 4-nitrophenacyl bromide to give the keto ester, which was treated with ammonium acetate in glacial acetic acid/anhydrous DMF to afford the imidazole II (40%). Compds. of the invention inhibited PTP 1B activity with IC50 values ranging from about 0.01 μM to about 20 μM. Thus, I and pharmaceutical compns. comprising them may be useful for the management, treatment, control, and adjunct treatment of diseases mediated by PTPase activity, such as Type I diabetes, Type II diabetes, immune dysfunction, AIDS, autoimmune diseases, glucose intolerance, obesity, cancer, psoriasis, allergic diseases, infectious diseases, inflammatory diseases, diseases involving the modulated synthesis and/or production of growth hormone or cytokines, of Alzheimer's disease (no data).

IT **745834-40-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PTPase inhibitor; preparation of substituted imidazoles as PTPase inhibitors for treatment of diabetes and other PTPase mediated conditions)

RN 745834-40-6 CAPLUS

CN Benzoic acid, 4-[4-[(2S)-2-[1-butyl-4-(2,4-dichlorophenyl)-1H-imidazol-2-yl]-2-[[(2,5-dioxo-4-imidazolidinyl)acetyl]amino]ethyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

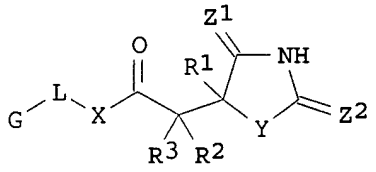


L9 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:203815 CAPLUS
DOCUMENT NUMBER: 140:235715
TITLE: Preparation of 2,5-dioxoimidazolidin-4-yl acetamide derivatives as inhibitors of metalloproteinase MMP12
INVENTOR(S): Henriksson, Krister; Munck Af Rosenschoeld, Magnus
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004020415	A1	20040311	WO 2003-SE1328		20030826
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2495853	AA	20040311	CA 2003-2495853		20030826
AU 2003253557	A1	20040319	AU 2003-253557		20030826
BR 2003013635	A	20050621	BR 2003-13635		20030826
EP 1542977	A1	20050622	EP 2003-791528		20030826
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2006503019	T2	20060126	JP 2004-532506		20030826
US 2005245586	A1	20051103	US 2005-525640		20050225
NO 2005001540	A	20050323	NO 2005-1540		20050323
PRIORITY APPLN. INFO.:			SE 2002-2539	A	20020827
			WO 2003-SE1328	W	20030826

OTHER SOURCE(S): CASREACT 140:235715; MARPAT 140:235715

GI



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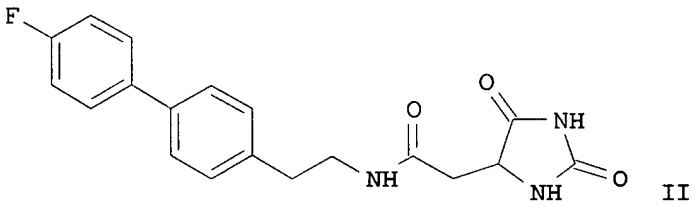

II

AB The title compound I [X= O, CH2, or (substituted)amino; Y = NH or N-Me; Z1, Z2 = each represent an oxygen or sulfur atom, with the proviso that at least one of Z1, Z2 represents an oxygen atom; R1 = H, alkyl, (un)saturated 3-10 membered ring system which may comprise at least one ring heteroatom selected from nitrogen, oxygen and sulfur, etc.; R2, R3 = H or C1-C6 alkyl; R1/R2 or R2/R3 together with carbons atoms to which they are attached form a saturated 5-6 membered ring; L = -CH2C(O)-, -C(O)CH2-, alkyl, alkylene, alkynyl, etc.; G = (un)saturated 5-10 membered ring system which may comprise at least one ring heteroatom selected from nitrogen, oxygen and sulfur, etc.] were prepared as inhibitors of metalloproteinase MMP12 for the treatment of obstructive airways diseases. Thus, reaction of 5-hydantoin acetic acid with ((4'-fluorobiphenyl-4-yl)ethyl)amine yielded compound II. The latter inhibits human MMP12 with an IC50 = 0.22 μM.

IT **669013-57-4P 669013-58-5P 669013-60-9P**
669013-61-0P 669013-62-1P 669013-63-2P
669013-64-3P 669013-65-4P 669013-66-5P
669013-67-6P 669013-68-7P 669013-69-8P
669013-70-1P 669013-72-3P 669013-74-5P
669013-76-7P 669013-77-8P 669013-78-9P
669013-79-0P 669013-80-3P 669013-82-5P
669013-83-6P 669013-84-7P 669013-85-8P
669013-86-9P 669013-87-0P 669013-88-1P
669013-89-2P 669013-90-5P 669013-91-6P
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669014-56-6P 669014-57-7P 669014-58-8P

669014-59-9P 669014-60-2P 669014-61-3P
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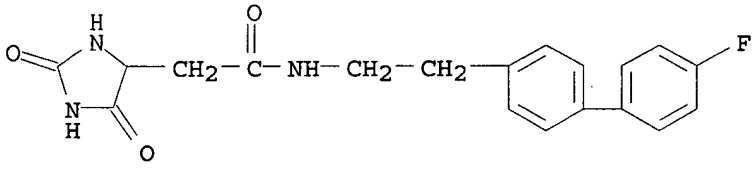
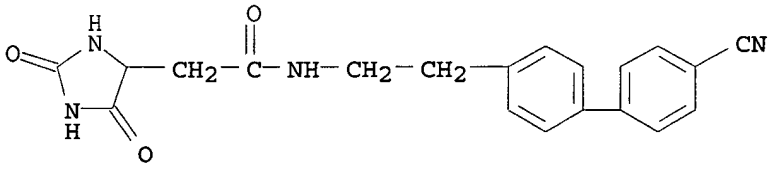
669014-94-2P 669014-95-3P 669014-96-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2,5-dioxoimidazolidin-4-yl acetamide derivs. as inhibitors of metalloproteinase MMP12)

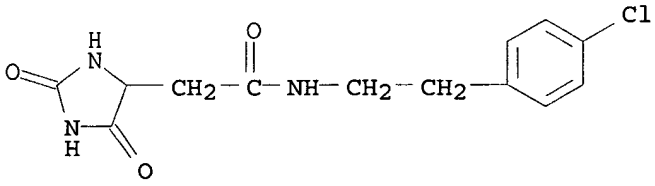
RN 669013-57-4 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-fluoro[1,1'-biphenyl]-4-yl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



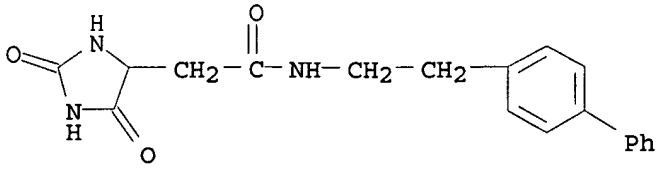
RN 669013-58-5 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-cyano[1,1'-biphenyl]-4-yl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



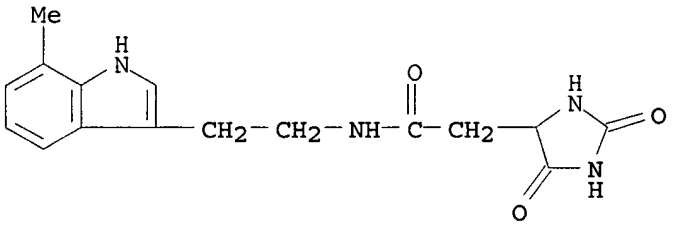
RN 669013-60-9 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4-chlorophenyl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



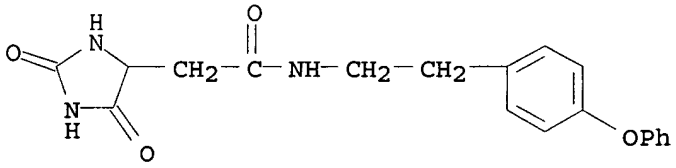
RN 669013-61-0 CAPLUS
CN 4-Imidazolidineacetamide, N-(2-[1,1'-biphenyl]-4-ylethyl)-2,5-dioxo- (9CI) (CA INDEX NAME)



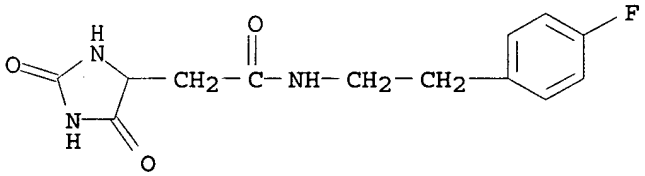
RN 669013-62-1 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(7-methyl-1H-indol-3-yl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



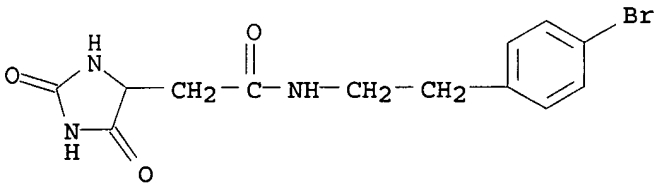
RN 669013-63-2 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-(4-phenoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



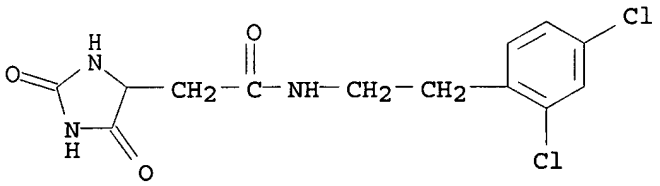
RN 669013-64-3 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4-fluorophenyl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



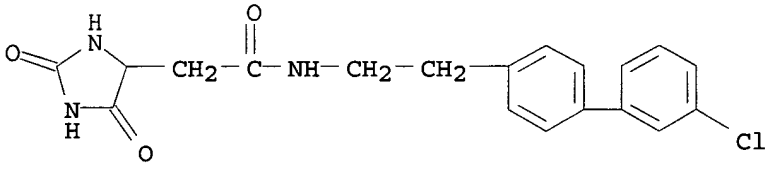
RN 669013-65-4 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4-bromophenyl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



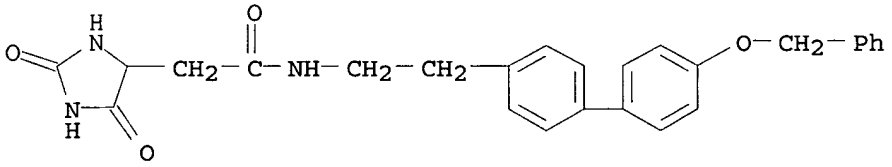
RN 669013-66-5 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(2,4-dichlorophenyl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



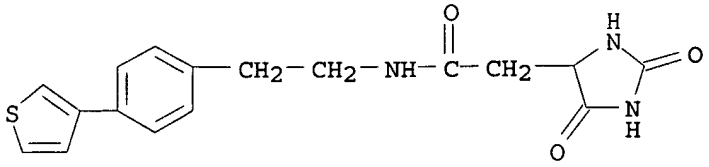
RN 669013-67-6 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3'-chloro[1,1'-biphenyl]-4-yl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



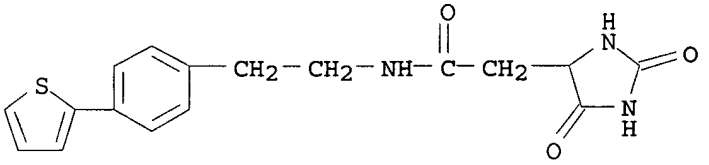
RN 669013-68-7 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-[4'-(phenylmethoxy)[1,1'-biphenyl]-4-yl]ethyl]- (9CI) (CA INDEX NAME)



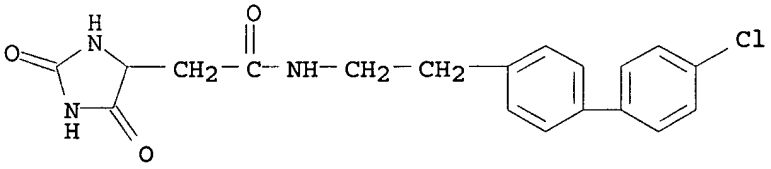
RN 669013-69-8 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-[4-(3-thienyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



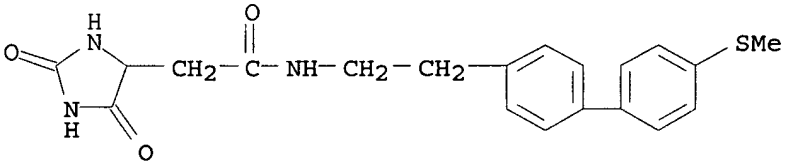
RN 669013-70-1 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-[4-(2-thienyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



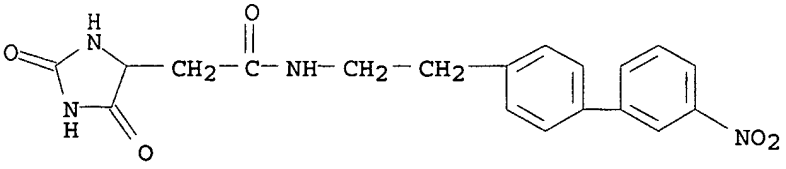
RN 669013-72-3 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-chloro[1,1'-biphenyl]-4-yl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



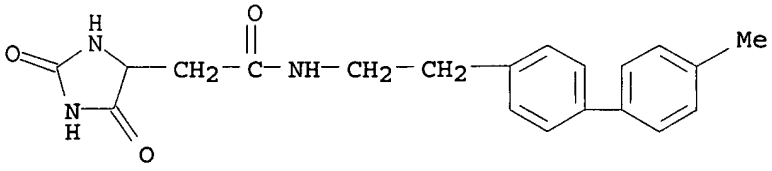
RN 669013-74-5 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4'-(methylthio)[1,1'-biphenyl]-4-yl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



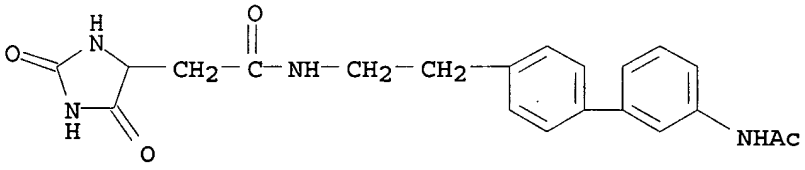
RN 669013-76-7 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3'-nitro[1,1'-biphenyl]-4-yl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



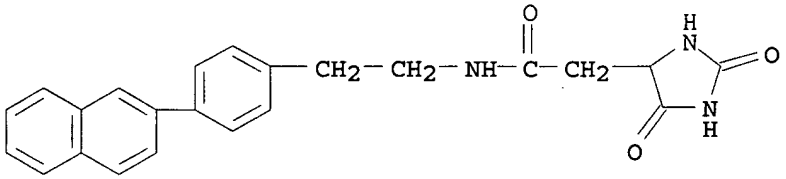
RN 669013-77-8 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-methyl[1,1'-biphenyl]-4-yl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



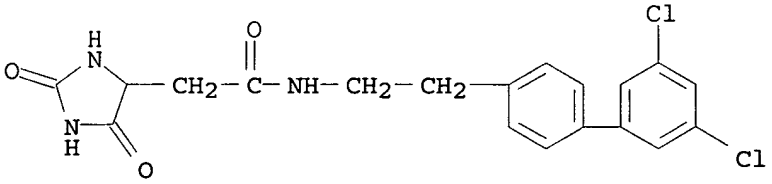
RN 669013-78-9 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[3'-(acetylamino)[1,1'-biphenyl]-4-yl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



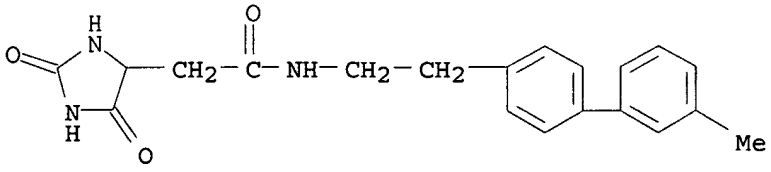
RN 669013-79-0 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(2-naphthalenyl)phenyl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



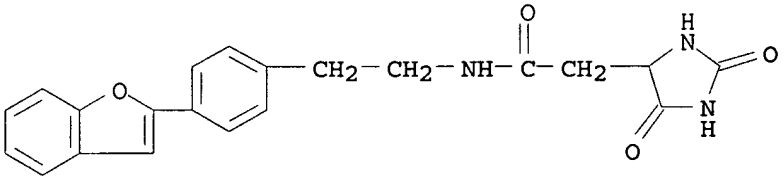
RN 669013-80-3 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3',5'-dichloro[1,1'-biphenyl]-4-yl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



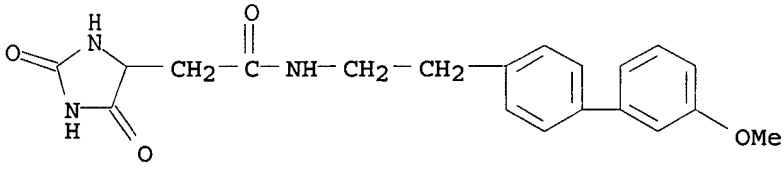
RN 669013-82-5 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3'-methyl[1,1'-biphenyl]-4-yl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



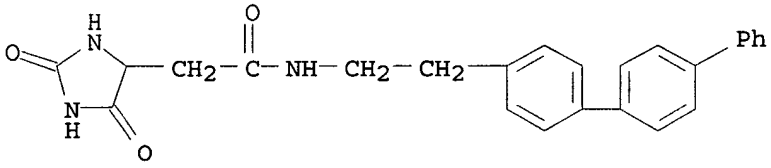
RN 669013-83-6 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(2-benzofuranyl)phenyl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



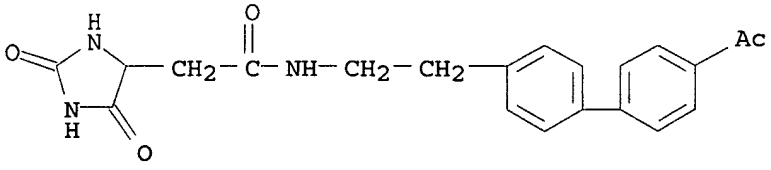
RN 669013-84-7 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3'-methoxy[1,1'-biphenyl]-4-yl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



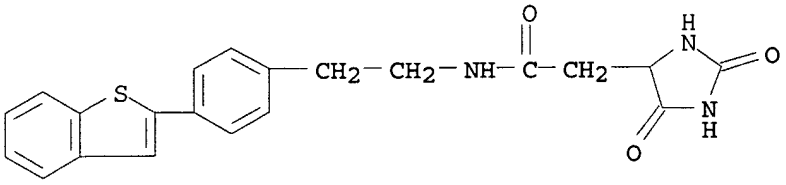
RN 669013-85-8 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-(2-[1,1':4',1''-terphenyl]-4-ylethyl)- (9CI) (CA INDEX NAME)



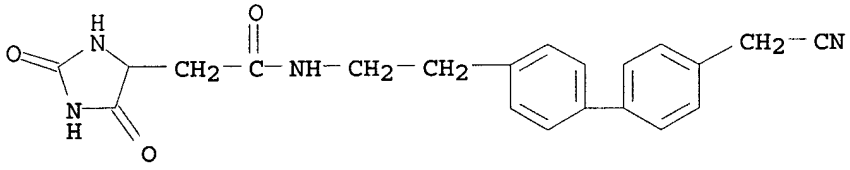
RN 669013-86-9 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-acetyl[1,1'-biphenyl]-4-yl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



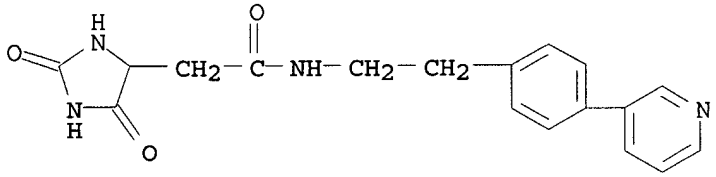
RN 669013-87-0 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4-benzo[b]thien-2-ylphenyl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



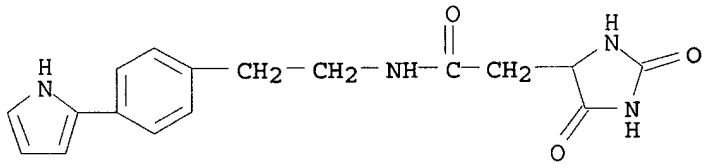
RN 669013-88-1 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4'-(cyanomethyl)[1,1'-biphenyl]-4-yl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



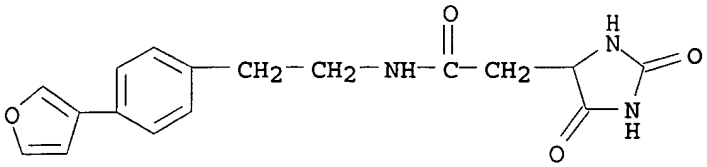
RN 669013-89-2 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-[4-(3-pyridinyl)phenyl]ethyl]-(9CI) (CA INDEX NAME)



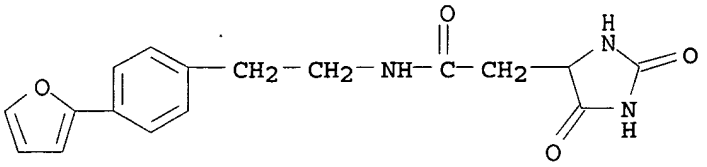
RN 669013-90-5 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-[4-(1H-pyrrol-2-yl)phenyl]ethyl]-(9CI) (CA INDEX NAME)



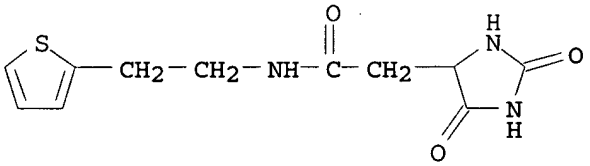
RN 669013-91-6 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(3-furanyl)phenyl]ethyl]-2,5-dioxo-(9CI) (CA INDEX NAME)



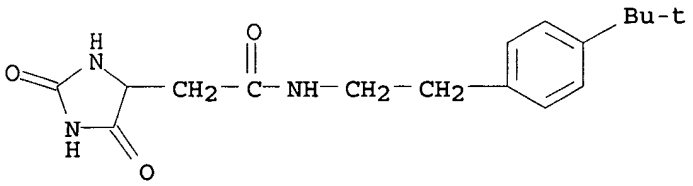
RN 669013-92-7 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(2-furanyl)phenyl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



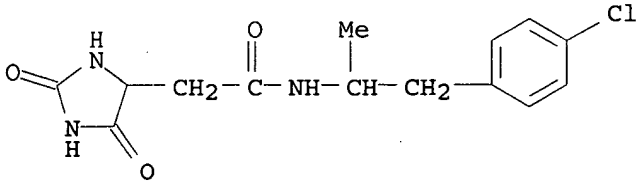
RN 669013-93-8 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-(2-thienyl)ethyl]- (9CI) (CA INDEX NAME)



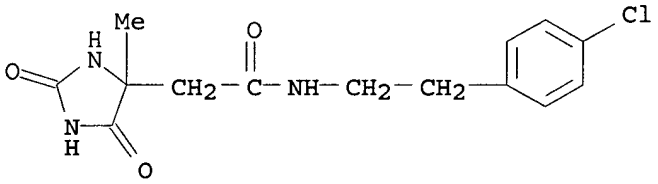
RN 669013-94-9 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(1,1-dimethylethyl)phenyl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



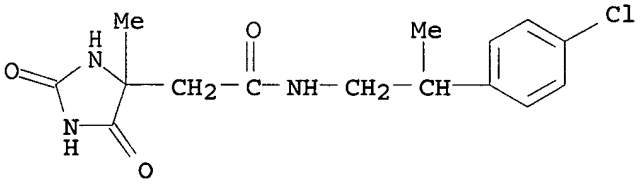
RN 669013-95-0 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4-chlorophenyl)-1-methylethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



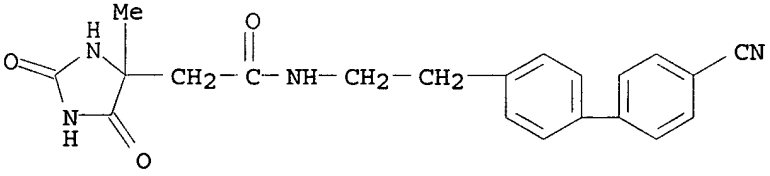
RN 669014-00-0 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4-chlorophenyl)ethyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



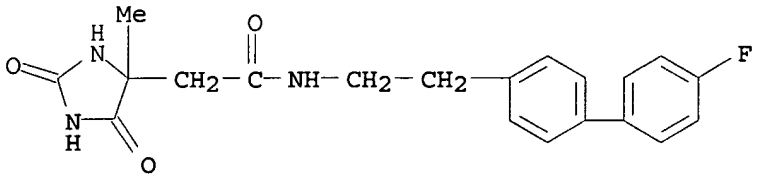
RN 669014-01-1 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4-chlorophenyl)propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



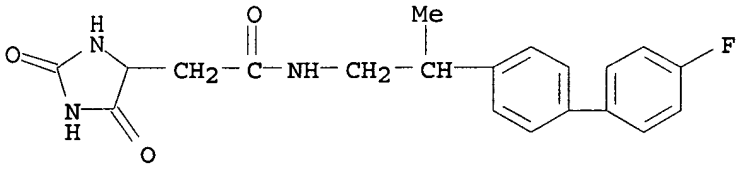
RN 669014-03-3 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-cyano[1,1'-biphenyl]-4-yl)ethyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



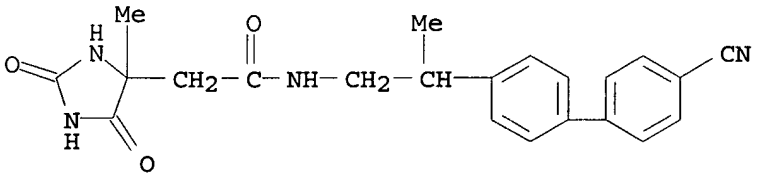
RN 669014-04-4 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-fluoro[1,1'-biphenyl]-4-yl)ethyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



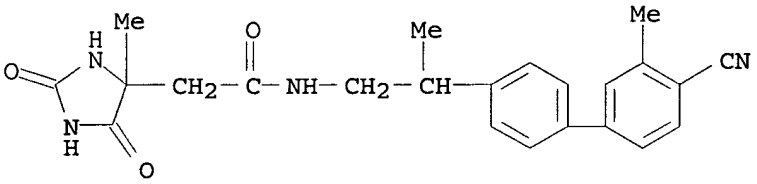
RN 669014-06-6 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-fluoro[1,1'-biphenyl]-4-yl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



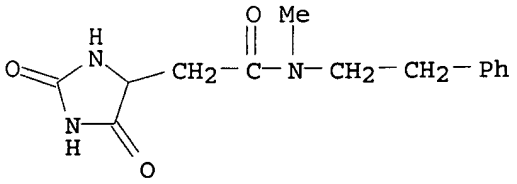
RN 669014-15-7 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-cyano[1,1'-biphenyl]-4-yl)propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



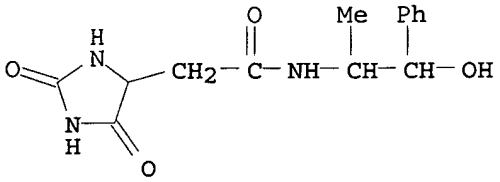
RN 669014-18-0 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-cyano-3'-methyl[1,1'-biphenyl]-4-yl)propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



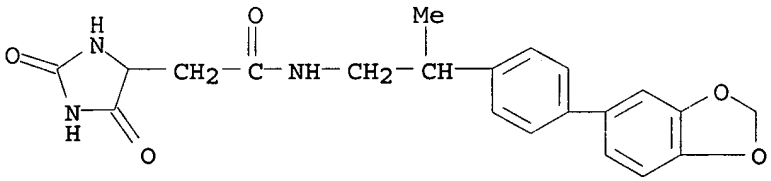
RN 669014-19-1 CAPLUS
CN 4-Imidazolidineacetamide, N-methyl-2,5-dioxo-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



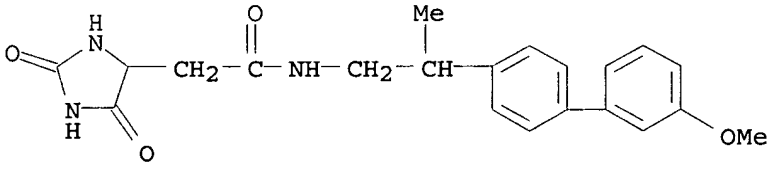
RN 669014-21-5 CAPLUS
CN 4-Imidazolidineacetamide, N-(2-hydroxy-1-methyl-2-phenylethyl)-2,5-dioxo- (9CI) (CA INDEX NAME)



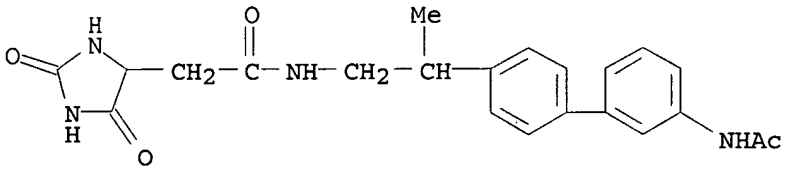
RN 669014-22-6 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(1,3-benzodioxol-5-yl)phenyl]propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



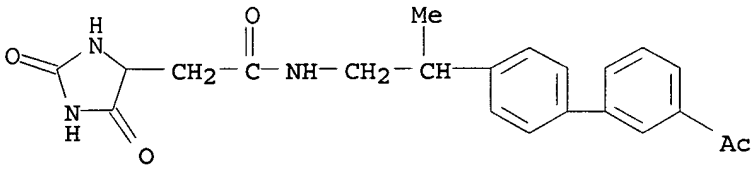
RN 669014-23-7 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3'-methoxy[1,1'-biphenyl]-4-yl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



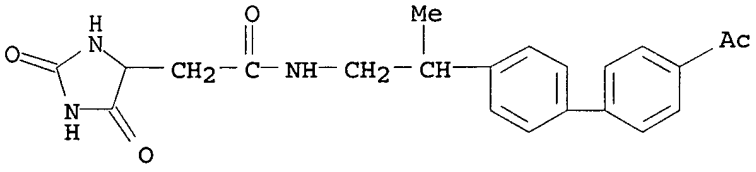
RN 669014-24-8 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[3'-(acetylamino)[1,1'-biphenyl]-4-yl]propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



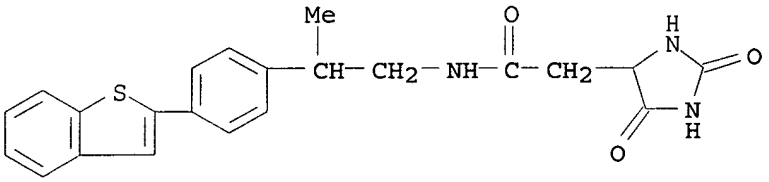
RN 669014-25-9 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3'-acetyl[1,1'-biphenyl]-4-yl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



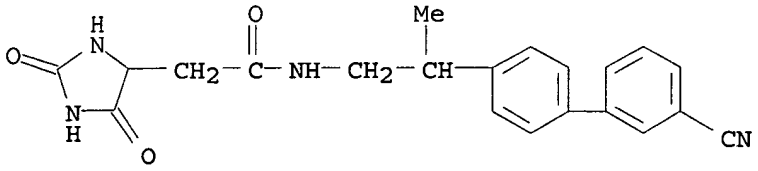
RN 669014-26-0 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-acetyl[1,1'-biphenyl]-4-yl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



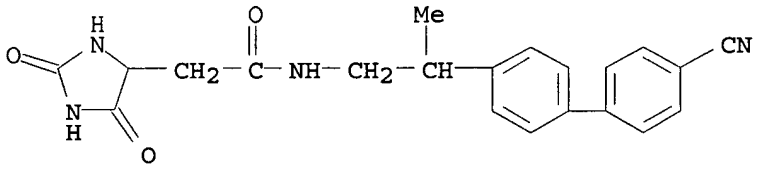
RN 669014-27-1 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4-benzo[b]thien-2-ylphenyl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



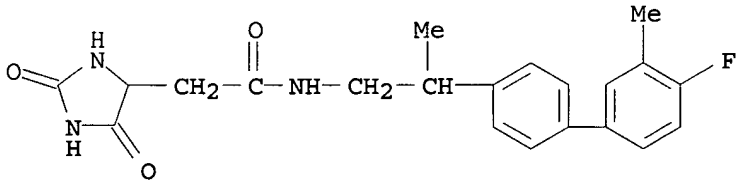
RN 669014-28-2 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3'-cyano[1,1'-biphenyl]-4-yl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



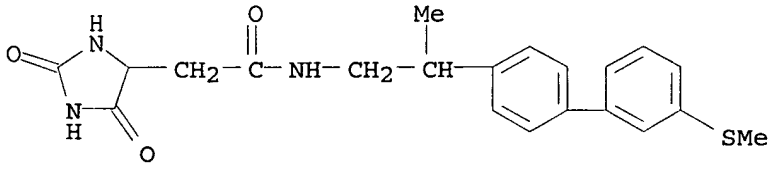
RN 669014-29-3 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-cyano[1,1'-biphenyl]-4-yl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



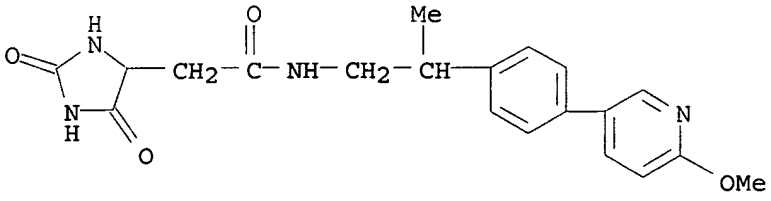
RN 669014-30-6 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-fluoro-3'-methyl[1,1'-biphenyl]-4-yl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



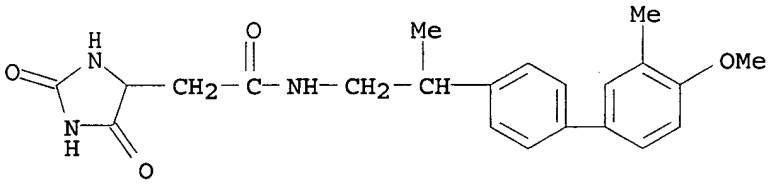
RN 669014-31-7 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[3'-(methylthio)[1,1'-biphenyl]-4-yl]propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



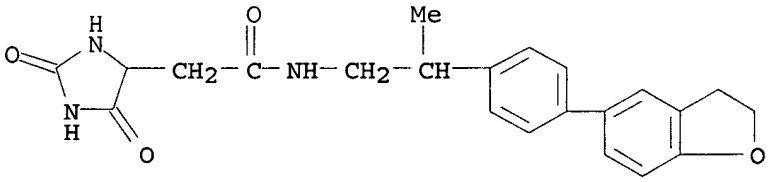
RN 669014-32-8 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(6-methoxy-3-pyridinyl)phenyl]propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



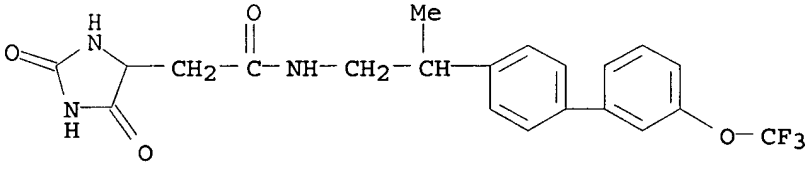
RN 669014-33-9 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-methoxy-3'-methyl[1,1'-biphenyl]-4-yl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



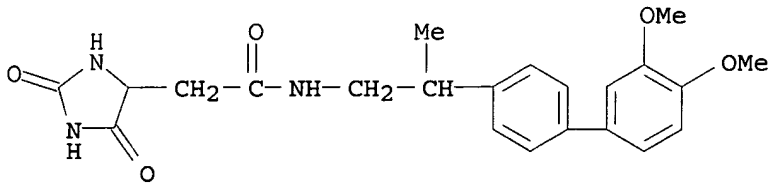
RN 669014-34-0 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(2,3-dihydro-5-benzofuranyl)phenyl]propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



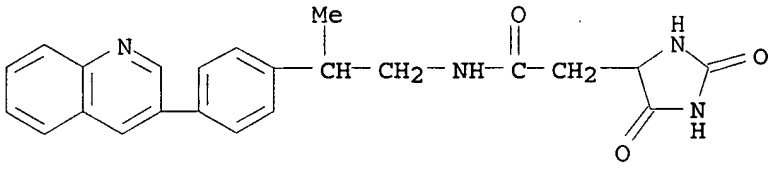
RN 669014-35-1 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-[3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]propyl]- (9CI) (CA INDEX NAME)



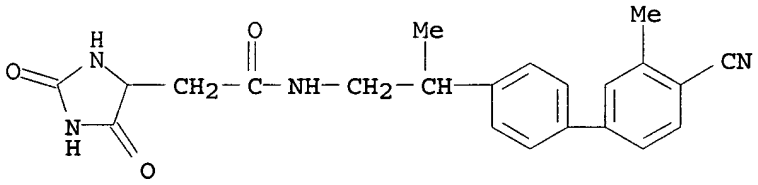
RN 669014-36-2 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3',4'-dimethoxy[1,1'-biphenyl]-4-yl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



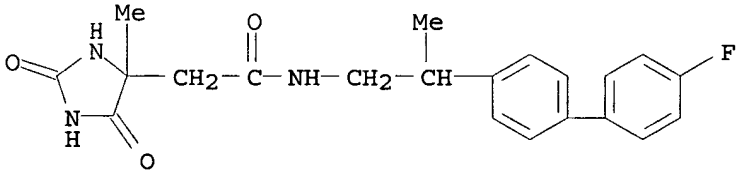
RN 669014-37-3 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-[4-(3-quinolinyl)phenyl]propyl]-(9CI) (CA INDEX NAME)



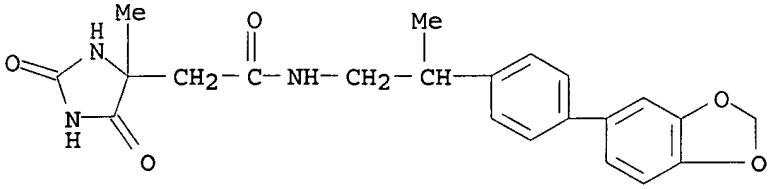
RN 669014-38-4 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-cyano-3'-methyl[1,1'-biphenyl]-4-yl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



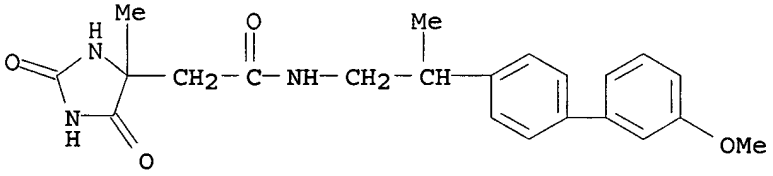
RN 669014-53-3 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-fluoro[1,1'-biphenyl]-4-yl)propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



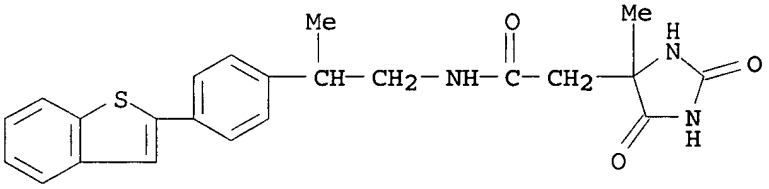
RN 669014-54-4 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(1,3-benzodioxol-5-yl)phenyl]propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



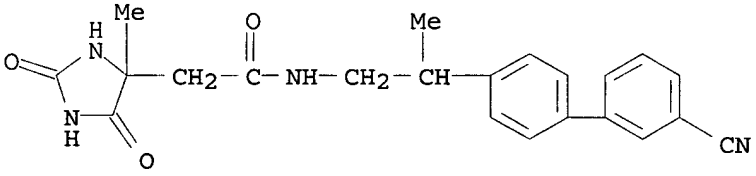
RN 669014-55-5 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3'-methoxy[1,1'-biphenyl]-4-yl)propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



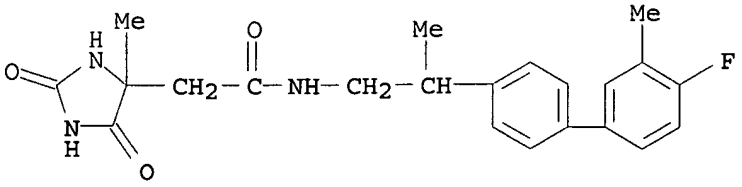
RN 669014-56-6 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4-benzo[b]thien-2-ylphenyl)propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



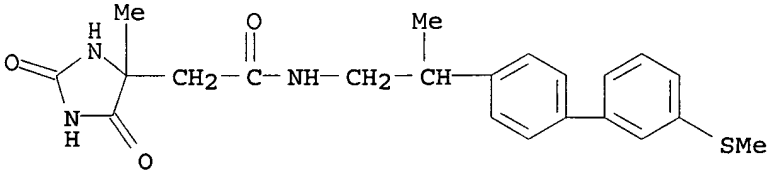
RN 669014-57-7 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3'-cyano[1,1'-biphenyl]-4-yl)propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



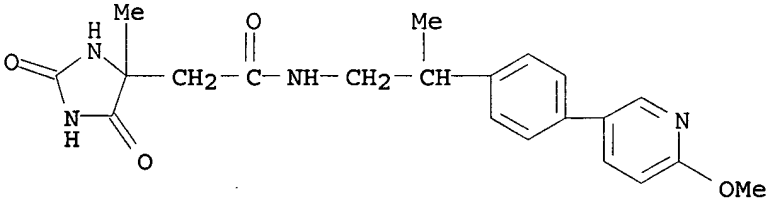
RN 669014-58-8 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-fluoro-3'-methyl[1,1'-biphenyl]-4-yl)propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



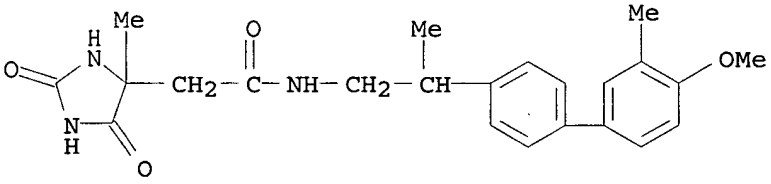
RN 669014-59-9 CAPLUS
CN 4-Imidazolidineacetamide, 4-methyl-N-[2-[3'-(methylthio)[1,1'-biphenyl]-4-yl]propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



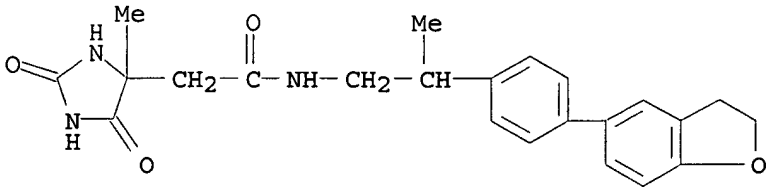
RN 669014-60-2 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(6-methoxy-3-pyridinyl)phenyl]propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



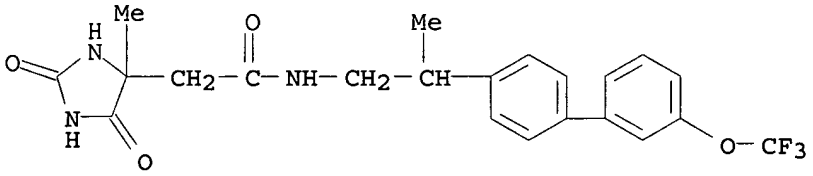
RN 669014-61-3 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-methoxy-3'-methyl[1,1'-biphenyl]-4-yl)propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



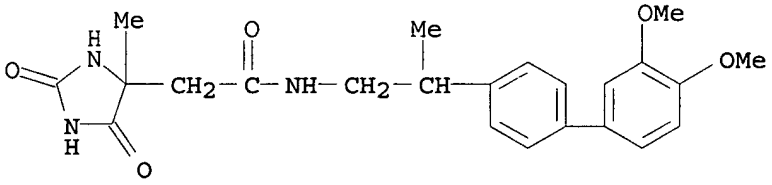
RN 669014-62-4 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(2,3-dihydro-5-benzofuranyl)phenyl]propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



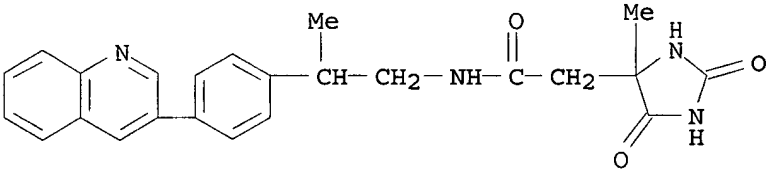
RN 669014-63-5 CAPLUS
CN 4-Imidazolidineacetamide, 4-methyl-2,5-dioxo-N-[2-[3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]propyl]- (9CI) (CA INDEX NAME)



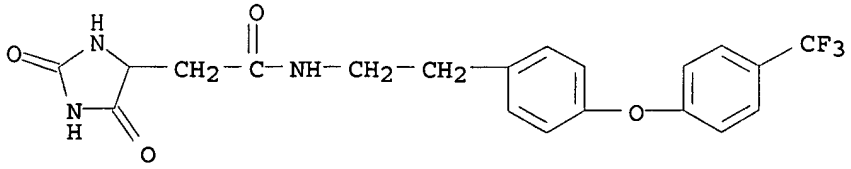
RN 669014-64-6 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3',4'-dimethoxy[1,1'-biphenyl]-4-yl)propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



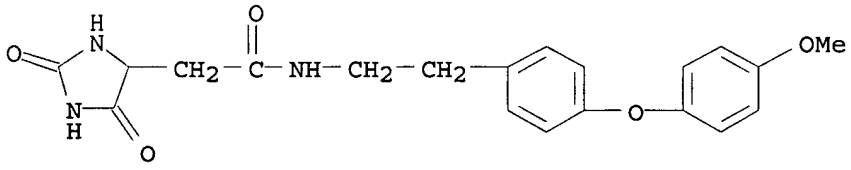
RN 669014-65-7 CAPLUS
CN 4-Imidazolidineacetamide, 4-methyl-2,5-dioxo-N-[2-[4-(3-quinolinyl)phenyl]propyl]- (9CI) (CA INDEX NAME)



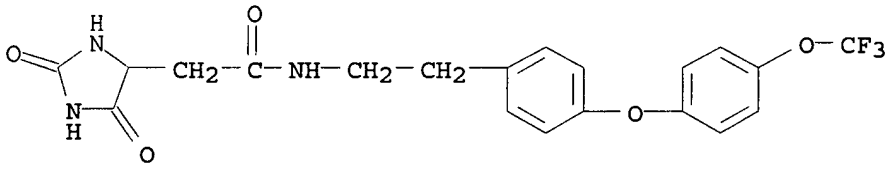
RN 669014-83-9 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-[4-[4-(trifluoromethyl)phenoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)



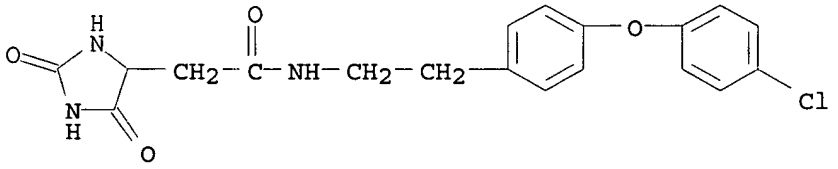
RN 669014-84-0 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(4-methoxyphenoxy)phenyl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



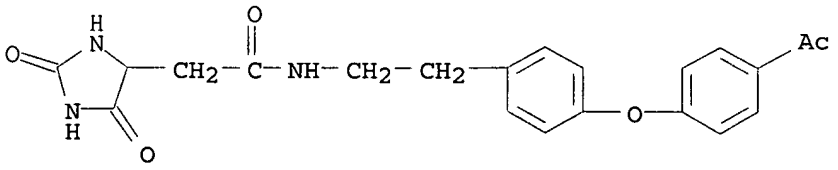
RN 669014-85-1 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-[4-[4-(trifluoromethoxy)phenoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)



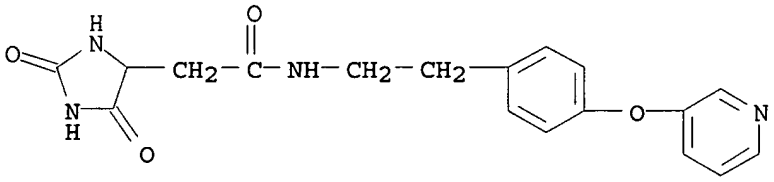
RN 669014-86-2 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(4-chlorophenoxy)phenyl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



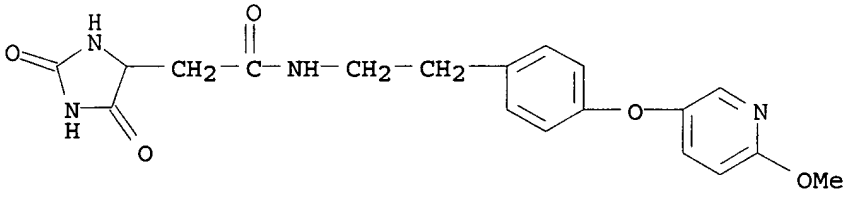
RN 669014-87-3 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(4-acetylphenoxy)phenyl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



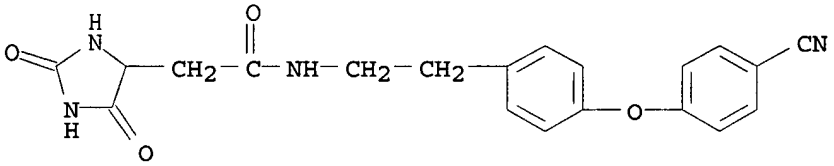
RN 669014-88-4 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-[4-(3-pyridinyloxy)phenyl]ethyl]-
(9CI) (CA INDEX NAME)



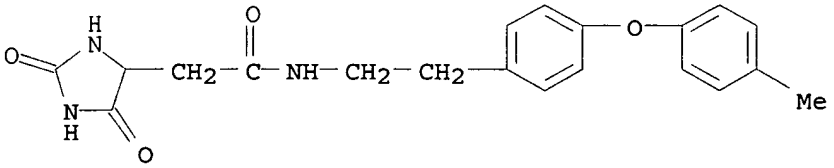
RN 669014-89-5 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-[(6-methoxy-3-
pyridinyl)oxy]phenyl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



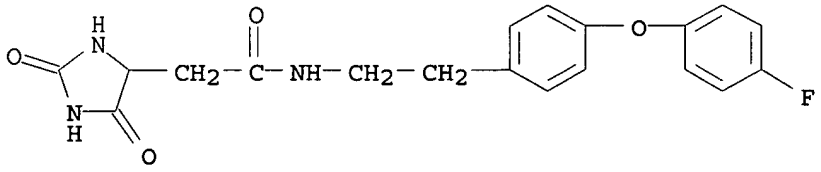
RN 669014-90-8 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(4-cyanophenoxy)phenyl]ethyl]-2,5-dioxo-
(9CI) (CA INDEX NAME)



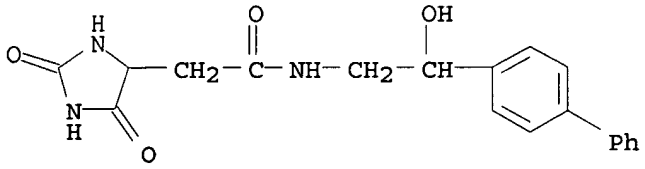
RN 669014-91-9 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(4-methylphenoxy)phenyl]ethyl]-2,5-dioxo-
(9CI) (CA INDEX NAME)



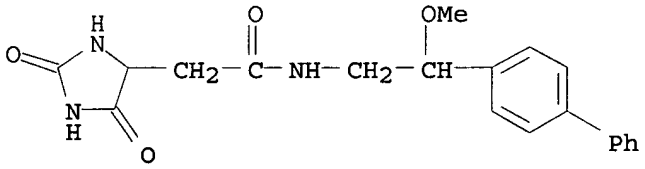
RN 669014-92-0 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(4-fluorophenoxy)phenyl]ethyl]-2,5-dioxo-
(9CI) (CA INDEX NAME)



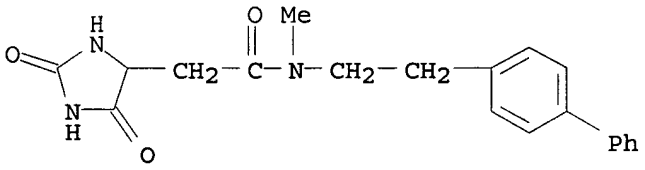
RN 669014-93-1 CAPLUS
CN 4-Imidazolidineacetamide, N-(2-[1,1'-biphenyl]-4-yl-2-hydroxyethyl)-2,5-dioxo- (9CI) (CA INDEX NAME)



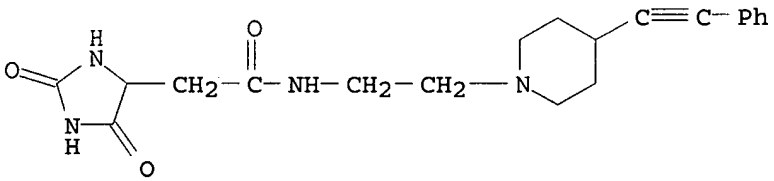
RN 669014-94-2 CAPLUS
CN 4-Imidazolidineacetamide, N-(2-[1,1'-biphenyl]-4-yl-2-methoxyethyl)-2,5-dioxo- (9CI) (CA INDEX NAME)



RN 669014-95-3 CAPLUS
CN 4-Imidazolidineacetamide, N-(2-[1,1'-biphenyl]-4-ylethyl)-N-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



RN 669014-96-4 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-[4-(phenylethynyl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:376819 CAPLUS
DOCUMENT NUMBER: 138:385173
TITLE: Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease
INVENTOR(S): Varghese, John; Maillard, Michel; Jagodzinska, Barbara; Beck, James P.; Gailunas, Andrea; Fang, Larry; Sealy, Jennifer; Tenbrink, Ruth; Freskos, John; Mickelson, John; Samala, Lakshman; Hom, Roy
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 1243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040096	A2	20030515	WO 2002-US36072	20021108
WO 2003040096	A3	20040506		
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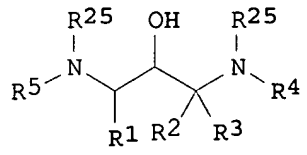
NO 2004002359	A	20040806	NO 2004-2359	20040607

PRIORITY APPLN. INFO.:			
	US 2001-337122P	P	20011108
	US 2001-344086P	P	20011228
	US 2002-345635P	P	20020103
	WO 2002-US36072	W	20021108

OTHER SOURCE(S): MARPAT 138:385173
GI



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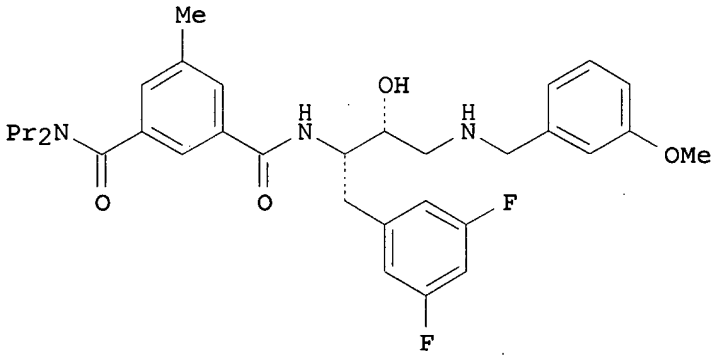


II

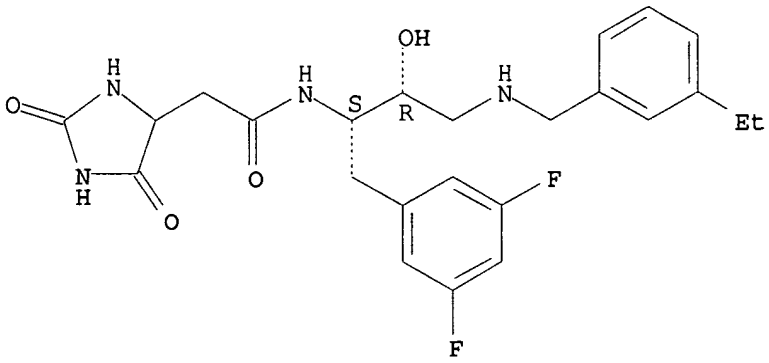
AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, haloalkyl, alkenyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; or R2 and R3 are taken together with the carbon to which they are attached to form a carbocycle of 3-7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of O, S, SO2, (un)substituted NH; R4 = alkyl, haloalkyl, hydroxyalkyl, etc.; R5 = R6X (wherein X = CO, SO2, (un)substituted CH2; R6 = (un)substituted Ph, naphthyl, indanyl, etc.); R25 = H, alkyl, alkoxy, etc.] which have activity as inhibitors of β-secretase and are therefore useful in treating a variety of disorders such as Alzheimer's disease, were prepared E.g., a multi-step synthesis of (1S,2R)-II, starting from (2S)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid, was given. The compds. I showed IC50 of < 20 μM in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 1 of 1-2 series.

IT **527735-74-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

RN 527735-74-6 CAPLUS

CN 4-Imidazolidineacetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-2,5-dioxo- (9CI) (CA INDEX NAME)

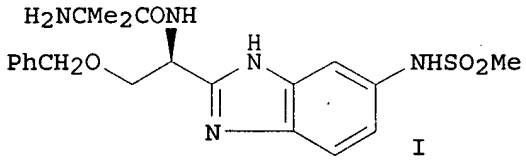
Absolute stereochemistry.



L9 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:150554 CAPLUS
DOCUMENT NUMBER: 138:188073
TITLE: Preparation of dipeptide heterocyclic aromatic compounds as growth hormone secretagogues
INVENTOR(S): Tino, Joseph A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S., 157 pp., Cont.-in-part of U.S. Ser. No. 506,749, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6525203	B1	20030225	US 2000-662448		20000914
US 6518292	B1	20030211	US 2000-506749		20000218
ZA 2001006854	A	20021120	ZA 2001-6854		20010820
US 6660760	B1	20031209	US 2002-282182		20021028
US 2004002525	A1	20040101	US 2002-281818		20021028
US 6969727	B2	20051129			
US 2004029935	A1	20040212	US 2002-281649		20021028
US 6908938	B2	20050621			
US 2004072881	A1	20040415	US 2002-281848		20021028
PRIORITY APPLN. INFO.:			US 1999-124131P	P	19990312
			US 1999-154919P	P	19990921
			US 2000-506749	A2	20000218

OTHER SOURCE(S): MARPAT 138:188073
GI



I

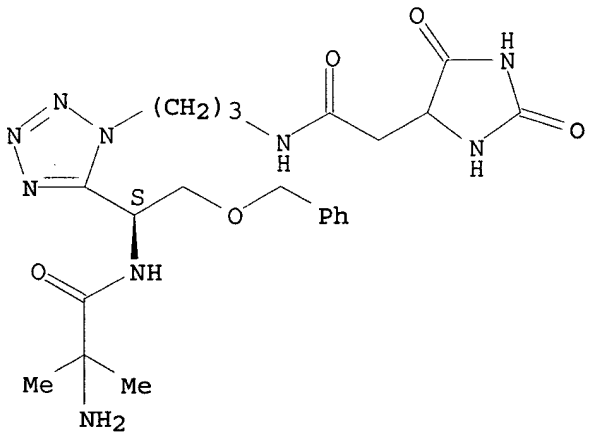
AB R1R1aCXaNR6COYXb [R1 = (un)substituted alkyl, (hetero)aryl(alkyl), etc.;

R1a = H or (cyclo)alkyl; R6 = H, (cyclo)alkyl, alkenyl, aryl; Xa = substituted 2-benzoxazolyl, 2-benzothiazolyl, or 2-benzimidazolyl; Xb = (di)(alkyl)amino, (un)substituted imidazolyl; Y = phenylene, (phenylene-interrupted)alkylene, (un)substituted alkylene, aza- or oxaalkylene, or alkenylene] were prepared as growth hormone production and/or release stimulants. Thus, dipeptide benzimidazole derivative I (Boc = tert-butoxycarbonyl) was prepared by a multistep procedure starting from Boc-D-Ser(CH2Ph)-OH, 4-nitro-o-phenylenediamine, Boc-methylalanine, and MeSO2Cl.

IT **295333-78-7P 295334-02-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dipeptide heterocyclic aromatic compds. as growth hormone secretagogues)

RN 295333-78-7 CAPLUS
CN 4-Imidazolidineacetamide, N-[3-[5-[(1S)-1-[(2-amino-2-methyl-1-oxopropyl)amino]-2-(phenylmethoxy)ethyl]-1H-tetrazol-1-yl]propyl]-2,5-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

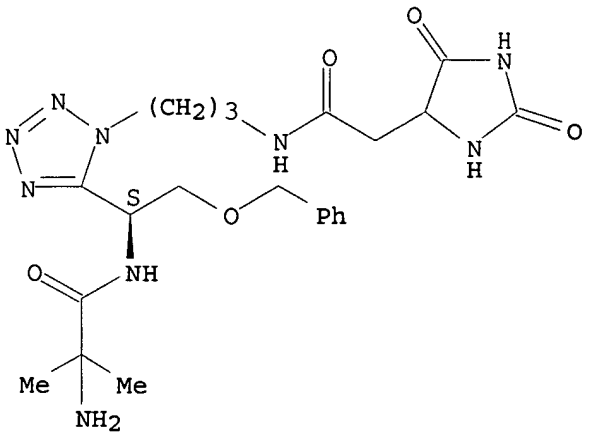
Absolute stereochemistry.



● HCl

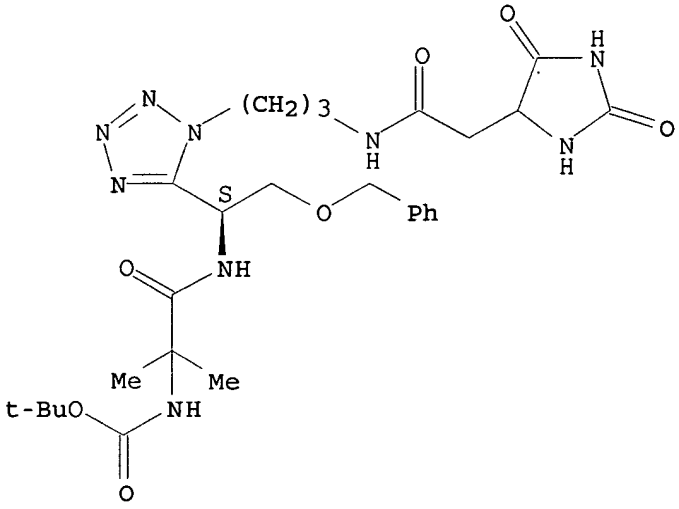
RN 295334-02-0 CAPLUS
CN 4-Imidazolidineacetamide, N-[3-[5-[(1S)-1-[(2-amino-2-methyl-1-oxopropyl)amino]-2-(phenylmethoxy)ethyl]-1H-tetrazol-1-yl]propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **295338-27-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dipeptide heterocyclic aromatic compds. as growth hormone secretagogues)
RN 295338-27-1 CAPLUS
CN Carbamic acid, [2-[[(1S)-1-[1-[3-[[(2,5-dioxo-4-imidazolidinyl)acetyl]amino]propyl]-1H-tetrazol-5-yl]-2-(phenylmethoxy)ethyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:666562 CAPLUS
DOCUMENT NUMBER: 133:252748
TITLE: Preparation of methylalanyl-O-benzyltyrosine derivatives as growth hormone production and/or release stimulants

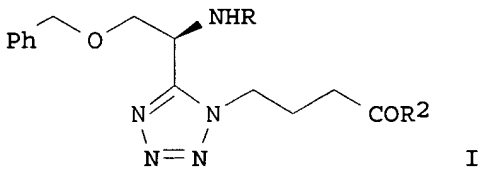
INVENTOR(S): Robl, Jeffrey; Tino, Joseph A.; Hernandez, Andres S.; Li, James J.; Li, Jun; Swartz, Stephen G.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 205 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000054729	A2	20000921	WO 2000-US5704	20000302
WO 2000054729	A3	20010111		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2367461	AA	20000921	CA 2000-2367461	20000302
EP 1175213	A2	20020130	EP 2000-913733	20000302
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200102780	T2	20020821	TR 2001-200102780	20000302
BR 2000008937	A	20020924	BR 2000-8937	20000302
JP 2002539141	T2	20021119	JP 2000-604808	20000302
EE 200100479	A	20021216	EE 2001-479	20000302
ZA 2001006854	A	20021120	ZA 2001-6854	20010820
BG 105843	A	20020531	BG 2001-105843	20010824
LT 4958	B	20021025	LT 2001-87	20010824
LV 12752	B	20031020	LV 2001-132	20010906
NO 2001004407	A	20011108	NO 2001-4407	20010911

PRIORITY APPLN. INFO.:

US 1999-124131P	P	19990312
US 1999-154919P	P	19990921
WO 2000-US5704	W	20000302

OTHER SOURCE(S): MARPAT 133:252748
GI



I

AB R1R1aCXaNR6COYXb [R1 = (un)substituted alkyl, (hetero)aryl(alkyl), etc.; R1a = H or (cyclo)alkyl; R6 = H, (cyclo)alkyl, alkenyl, aryl; Xa = (un)substituted heteroaryl; Xb = (di)(alkyl)amino, (un)substituted imidazolyl, etc.; Y = phenylene, (phenylene-interrupted)alkylene, alkenylene, etc.] were prepared as growth hormone production and/or release stimulants (no data). Thus, (R)-PhCH2OCH2CH(NHCO2CMe3)CO2H was amidated by H2N(CH2)3CO2Me and the product cyclocondensed with Me3SiN3 to give, after deprotection, O-benzyltyrosine derivative I (R = H, R2 = OMe) which was amidated by BocNHCMe2CO2H to give, in 3 addnl. steps, I.CF3CO2H (R =

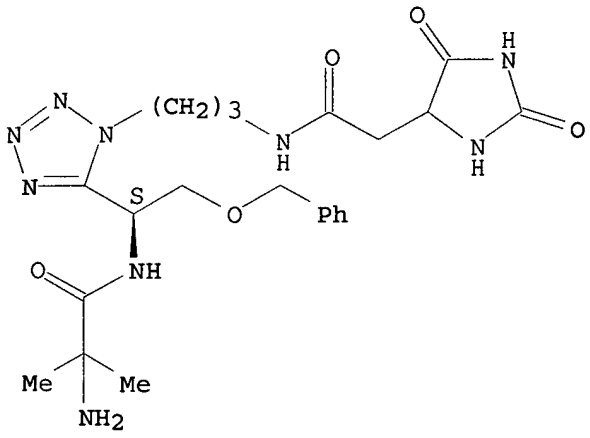
COCMe2NH2, R2 = NHCH2CH2R3, R3 = 3-indolyl).

IT **295333-78-7P 295334-02-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of methylalanyl-O-benzyltyrosine derivs. as growth hormone production and/or release stimulants)

RN 295333-78-7 CAPLUS

CN 4-Imidazolidineacetamide, N-[3-[5-[(1S)-1-[(2-amino-2-methyl-1-oxopropyl)amino]-2-(phenylmethoxy)ethyl]-1H-tetrazol-1-yl]propyl]-2,5-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

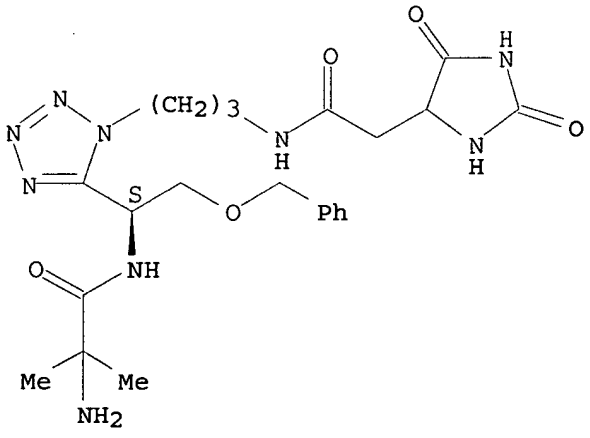


● HCl

RN 295334-02-0 CAPLUS

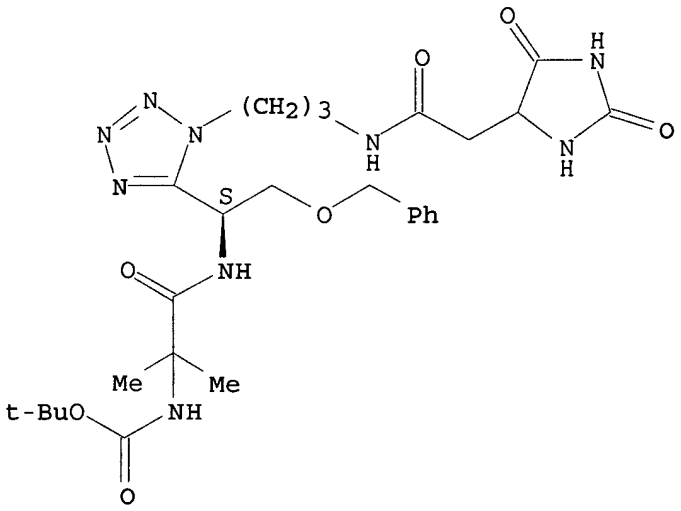
CN 4-Imidazolidineacetamide, N-[3-[5-[(1S)-1-[(2-amino-2-methyl-1-oxopropyl)amino]-2-(phenylmethoxy)ethyl]-1H-tetrazol-1-yl]propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **295338-27-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of methylalanyl-O-benzyltyrosine derivs. as growth hormone production and/or release stimulants)
RN 295338-27-1 CAPLUS
CN Carbamic acid, [2-[[(1S)-1-[1-[3-[[(2,5-dioxo-4-imidazolidinyl)acetyl]amino]propyl]-1H-tetrazol-5-yl]-2-(phenylmethoxy)ethyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



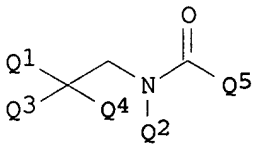
L9 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:388199 CAPLUS
DOCUMENT NUMBER: 125:58489
TITLE: Preparation of N-acylated 2-heterocycloethylamines as nonpeptide antagonists of SP and NKA
INVENTOR(S): Russell, Keith
PATENT ASSIGNEE(S): Zeneca Limited, UK; Astrazeneca AB
SOURCE: Eur. Pat. Appl., 40 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 709375	A2	19960501	EP 1995-307496	19951020
EP 709375	A3	19980826		
EP 709375	B1	20050518		
R: CH, DE, ES, FR, GB, IT, LI				
JP 08208605	A2	19960813	JP 1995-275847	19951024
US 5710169	A	19980120	US 1995-547512	19951024
US 5998444	A	19991207	US 1997-979995	19971126
US 6147083	A	20001114	US 1999-384444	19990827
PRIORITY APPLN. INFO.:			GB 1994-21411 A	19941025
			GB 1995-12367 A	19950617

US 1995-547512 A3 19951024
US 1997-979995 A3 19971126

OTHER SOURCE(S): CASREACT 125:58489; MARPAT 125:58489

GI



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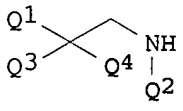


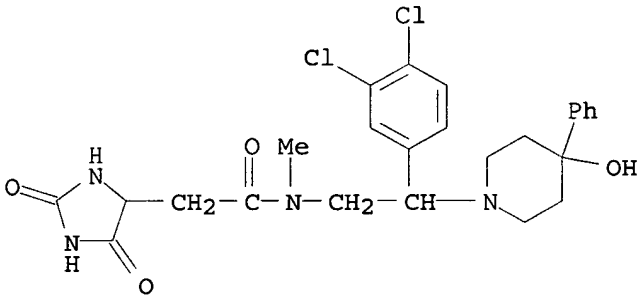
II

AB The title compds. [I; Q1 = (substituted) piperidino, piperazino, pyrrolidino, etc.; Q2, Q3 = H, C1-3 alkyl; Q4 = (substituted) Ph, thienyl, imidazolyl, etc.; Q5 = (substituted) C1-8 alkyl, aryl, formyl, etc.] and its salts, useful for the treatment of asthma, were prepared by acylation of amine II with the corresponding acid or acid chloride. Thus, acylation of the piperidinoethylamine II [Q1 = 4-hydroxy-4-phenylpiperidino; Q2 = Me; Q3 = H; Q4 = 3,4-Cl2C6H3] with 2-MeOC6H4CH2COOH in the presence of 1,1'-carbonyldiimidazole in THF followed by treatment with HCl afforded I.HCl [Q5 = 2-MeOC6H4CH2]. In general, compds. I showed Ki of ≤ 1 μM against SP receptor binding and Ki ≤ 10 μM against neurokinin A (NKA) receptor binding.

IT **178166-64-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-acylated 2-heterocycloethylamines as nonpeptide antagonists of SP and NKA)

RN 178166-64-8 CAPLUS

CN 4-Imidazolidineacetamide, N-[2-(3,4-dichlorophenyl)-2-(4-hydroxy-4-phenyl-1-piperidinyl)ethyl]-N-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	44.57	451.68

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.00	-15.75

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NEWS 3	DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2
NEWS 4	JAN 13	IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 5	JAN 13	New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC
NEWS 6	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 7	JAN 17	IPC 8 in the WPI family of databases including WPIFV
NEWS 8	JAN 30	Saved answer limit increased
NEWS 9	FEB 21	STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
NEWS 10	FEB 22	The IPC thesaurus added to additional patent databases on STN
NEWS 11	FEB 22	Updates in EPFULL; IPC 8 enhancements added
NEWS 12	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS 13	FEB 28	MEDLINE/LMEDLINE reload improves functionality
NEWS 14	FEB 28	TOXCENTER reloaded with enhancements
NEWS 15	FEB 28	REGISTRY/ZREGISTRY enhanced with more experimental spectral property data
NEWS 16	MAR 01	INSPEC reloaded and enhanced
NEWS 17	MAR 03	Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 18	MAR 08	X.25 communication option no longer available after June 2006
NEWS 19	MAR 22	EMBASE is now updated on a daily basis
NEWS 20	APR 03	New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS 21	APR 03	Bibliographic data updates resume; new IPC 8 fields and IPC thesaurus added in PCTFULL
NEWS 22	APR 04	STN AnaVist $500 visualization usage credit offered
NEWS 23	APR 12	LINSPEC, learning database for INSPEC, reloaded and enhanced
NEWS 24	APR 12	Improved structure highlighting in FQHIT and QHIT display in MARPAT
NEWS 25	APR 12	Derwent World Patents Index to be reloaded and enhanced during second quarter; strategies may be affected

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
http://download.cas.org/express/v8.0-Discover/

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NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:57:39 ON 18 APR 2006

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 17:57:47 ON 18 APR 2006
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 APR 2006 HIGHEST RN 880759-42-2
DICTIONARY FILE UPDATES: 17 APR 2006 HIGHEST RN 880759-42-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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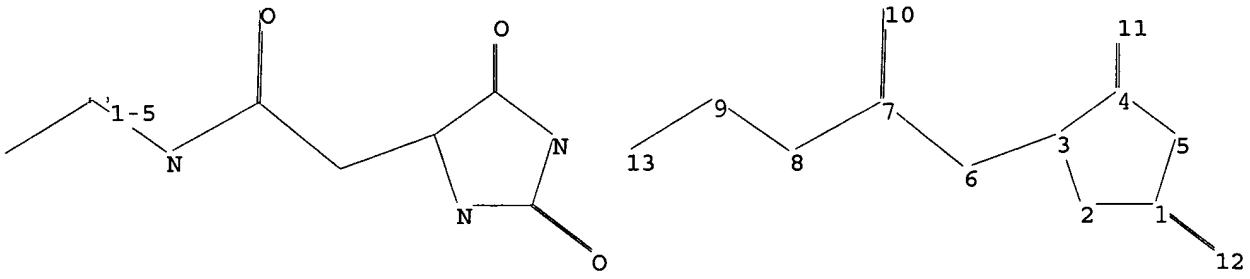

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ring nodes :
1 2 3 4 5
chain bonds :
1-12 3-6 4-11 6-7 7-8 7-10 8-9 9-13
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-12 2-3 3-4 4-5 4-11 7-8 7-10 8-9
exact bonds :
3-6 6-7 9-13

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 13:CLASS

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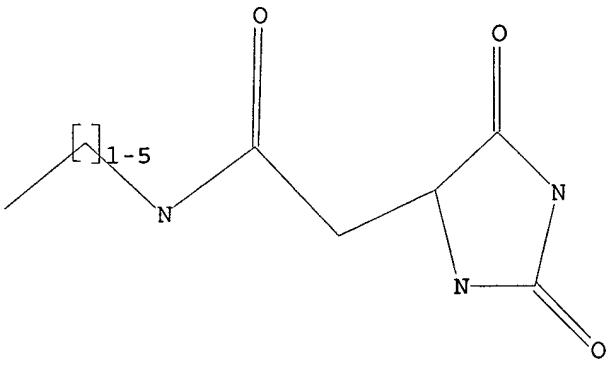
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> l1

10525640.trn

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SAMPLE SEARCH INITIATED 17:58:00 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        9 TO ITERATE

100.0% PROCESSED        9 ITERATIONS                                  6 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            9 TO      360
PROJECTED ANSWERS:               6 TO      266

L2            6 SEA SSS SAM L1

=> l1 full
FULL SEARCH INITIATED 17:58:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      220 TO ITERATE

100.0% PROCESSED      220 ITERATIONS                              143 ANSWERS
SEARCH TIME: 00.00.01

L3          143 SEA SSS FUL L1

=> file medline caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   166.94     167.15

FILE 'MEDLINE' ENTERED AT 17:58:10 ON 18 APR 2006

FILE 'CAPLUS' ENTERED AT 17:58:10 ON 18 APR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

=> l3
L4          37 L3

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                    6.26     173.41

FILE 'REGISTRY' ENTERED AT 18:02:27 ON 18 APR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   17 APR 2006  HIGHEST RN 880759-42-2
DICTIONARY FILE UPDATES:  17 APR 2006  HIGHEST RN 880759-42-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.
```

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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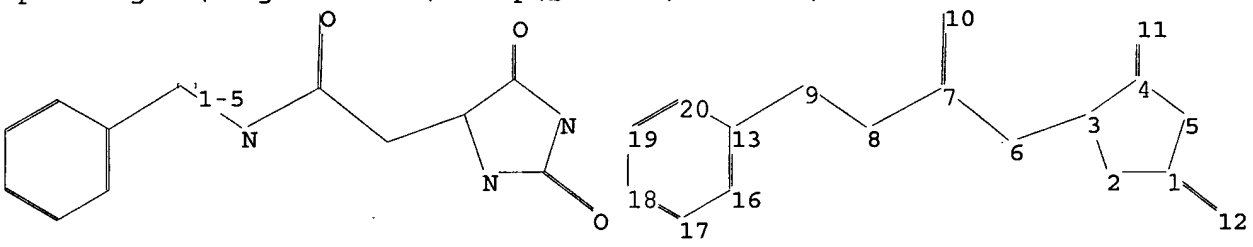
Uploading C:\Program Files\Stnexp\Queries\10525640\Struc 4.str



chain nodes :
6 7 8 9 10 11 12
ring nodes :
1 2 3 4 5 13 16 17 18 19 20
chain bonds :
1-12 3-6 4-11 6-7 7-8 7-10 8-9 9-13
ring bonds :
1-2 1-5 2-3 3-4 4-5 13-16 13-20 16-17 17-18 18-19 19-20
exact/norm bonds :
1-2 1-5 1-12 2-3 3-4 4-5 4-11 7-8 7-10 8-9
exact bonds :
3-6 6-7 9-13
normalized bonds :
13-16 13-20 16-17 17-18 18-19 19-20

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 13:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom

L5 STRUCTURE UPLOADED

10525640.trn

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=> d
L5 HAS NO ANSWERS
L5              STR
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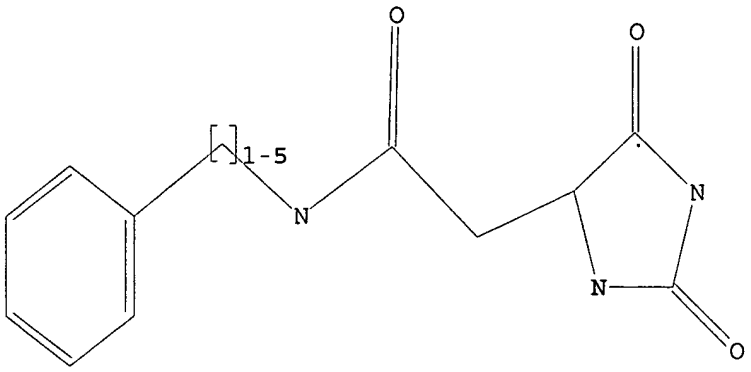

Structure attributes must be viewed using STN Express query preparation.

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=> l5
SAMPLE SEARCH INITIATED 18:03:20 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        8 TO ITERATE

100.0% PROCESSED         8 ITERATIONS                                 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            8 TO      329
PROJECTED ANSWERS:               2 TO      124

L6             2 SEA SSS SAM L5

=> l5 full
FULL SEARCH INITIATED 18:03:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      216 TO ITERATE

100.0% PROCESSED       216 ITERATIONS                                98 ANSWERS
SEARCH TIME: 00.00.01

L7             98 SEA SSS FUL L5

=> file medline caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   167.38     340.79

FILE 'MEDLINE' ENTERED AT 18:03:31 ON 18 APR 2006

FILE 'CAPLUS' ENTERED AT 18:03:31 ON 18 APR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

=> l7
L8             9 L7
```

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=> dup rem l8
PROCESSING COMPLETED FOR L8
L9             9 DUP REM L8 (0 DUPLICATES REMOVED)

=> d ibib abs hitstr 1-9

L9   ANSWER 1 OF 9  CAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2005:1021606  CAPLUS
DOCUMENT NUMBER:          143:326096
TITLE:                    Preparation of substituted urea and carbamate,
                          phenacyl-2-hydroxy-3-diaminoalkane, and
                          benzamide-2-hydroxy-3-diaminoalkane aspartyl protease
                          and β-secretase inhibitors for treating
                          conditions associated with amyloidosis such as
                          Alzheimer's disease
INVENTOR(S):              John, Varghese; Maillard, Michel; Tucker, John;
                          Aquino, Jose; Hom, Roy; Tung, Jay; Dressen, Darren;
                          Shah, Neerav; Neitz, R. Jeffrey
PATENT ASSIGNEE(S):       Elan Pharmaceuticals, Inc., USA
SOURCE:                   PCT Int. Appl., 532 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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     WO 2005087215         A1    20050922    WO 2005-US7775          20050309
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
             SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
     US 2005261273         A1    20051124    US 2005-75292           20050309
PRIORITY APPLN. INFO.:                       US 2004-551192P      P  20040309
                                             US 2004-575829P      P  20040602
                                             US 2004-591857P      P  20040729
                                             US 2004-622589P      P  20041028
OTHER SOURCE(S):          MARPAT 143:326096
AB   The invention is related to compds. of formula R2NHCH(R1)CH(OH)CH2NHRc (I)
     [R1 = (un)substituted benzyl, thien-2-ylmethyl, etc.; R2 = NH2 and
     derivs., SO2-aryl, hetero/aryl-U, etc.; U = CO, CS, CONH and derivs.,
     etc.; Rc = carbocyclyl or heterocyclyl; with addnl. details given in the
     claims] particularly acetyl 2-hydroxy-1,3-diaminospirocyclohexanes and
     derivs., that are useful in treating diseases, disorders, and conditions
     associated with amyloidosis. Amyloidosis refers to a collection of diseases,
     disorders, and conditions associated with abnormal deposition of A-β
     protein. For example, alkylation of (2R,3S)-3-amino-1-[[1-(3-tert-
     butylphenyl)cyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol•2HCl
     with 4-iodobenzamide gave the coresponding amide. Selected I displayed
     IC50 values < 5 μM in a cell free inhibition assay utilizing a
     synthetic APP substrate that can be cleaved by β-secretase. The
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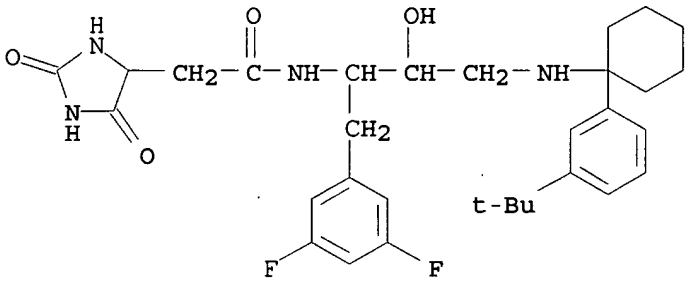
selectivity of I for β-secretase vs. cathepsin D for 6 examples of I are tabulated. Brain uptake, total polar surface area and/or lipophilicity for 32 examples of I are tabulated.

IT **865375-15-1P**, N-[3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-2-(2,5-dioxoimidazolidin-4-yl)acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of as aspartyl protease and β-secretase inhibitors)

RN 865375-15-1 CAPLUS

CN 4-Imidazolidineacetamide, N-[1-[(3,5-difluorophenyl)methyl]-3-[[1-[3-(1,1-dimethylethyl)phenyl]cyclohexyl]amino]-2-hydroxypropyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:701970 CAPLUS
DOCUMENT NUMBER: 141:225511
TITLE: Preparation of substituted azoles as protein tyrosine phosphatase inhibitors for treatment of diabetes and other PTPase mediated conditions
INVENTOR(S): Mjalli, Adnan M. M.; Andrews, Robert C.; Yarragunta, Ravindra R.; Xie, Rongyuan; Ren, Tan; Subramanian, Govindan; Quada, James C., Jr.
PATENT ASSIGNEE(S): Transtech Pharma Inc., USA
SOURCE: PCT Int. Appl., 224 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004071448	A2	20040826	WO 2004-US4076	20040212
WO 2004071448	A3	20041014		

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI

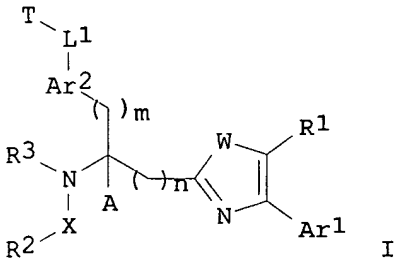
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004186151 A1 20040923 US 2004-777471 20040212

PRIORITY APPLN. INFO.: US 2003-446924P P 20030212

OTHER SOURCE(S): MARPAT 141:225511

GI



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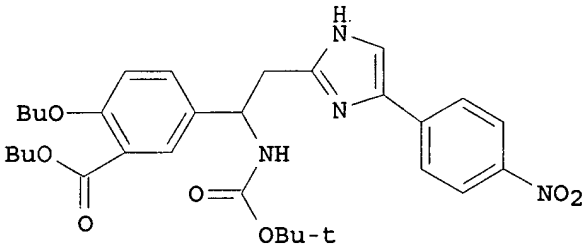


II

AB Title imidazoles and analogs I [wherein m, n = independently 0, 1; A = H, alkyl, alkenyl, alkynyl; L1 = a bond, O, alkylene, CO, NHCO, NH, NHSO2, etc.; T = H, (un)substituted (cyclo)alkyl, heterocyclyl, (hetero)aryl, etc.; W = O, S, NR4; X = a bond, CO, CH2, SO2; R1 = H, halo, CN, alkyl, (hetero)aryl, heterocyclyl, etc.; R2 = H, perfluoroalkyl, alkylene optionally interrupted by one or more heteroatoms, (hetero)aryl, heterocyclyl, etc.; R3 = H, alkyl, (cyclo)alkylalkylene, (hetero)aryl(alkylene); R4 = H, alkyl, (hetero)aryl(alkyl), heterocyclyl(alkyl), etc.; Ar1 = (un)substituted optionally fused (hetero)aryl; Ar2 = (un)substituted optionally fused (hetero)arylene; and pharmaceutically acceptable slats, solvates, and prodrugs thereof] were prepared as inhibitors of protein tyrosine phosphatases (PTPases). For example, 3-[(tert-butoxycarbonyl)amino]-2-(4-butoxy-3-butoxycarbonylphenyl)-2-ethyl-4-(4-nitrophenyl)imidazole was coupled with 4-nitrophenacyl bromide to give the keto ester, which was treated with ammonium acetate in glacial acetic acid/anhydrous DMF to afford the imidazole II (40%). Compds. of the invention inhibited PTP 1B activity with IC50 values ranging from about 0.01 μM to about 20 μM. Thus, I and pharmaceutical compns. comprising them may be useful for the management, treatment, control, and adjunct treatment of diseases mediated by PTPase activity, such as Type I diabetes, Type II diabetes, immune dysfunction, AIDS, autoimmune diseases, glucose intolerance, obesity, cancer, psoriasis, allergic diseases, infectious diseases, inflammatory diseases, diseases involving the modulated synthesis and/or production of growth hormone

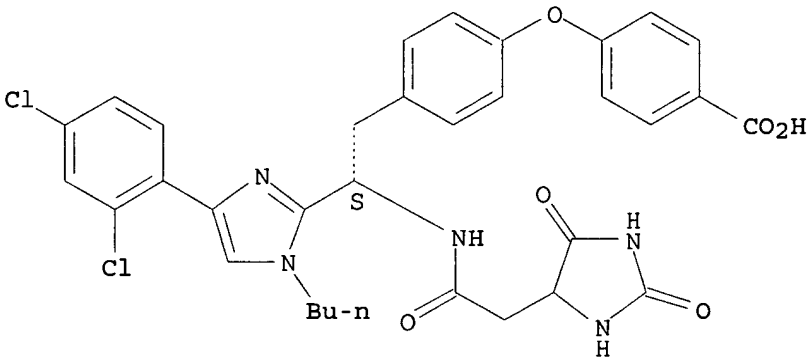
or cytokines, of Alzheimer's disease (no data).

IT **745834-40-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PTPase inhibitor; preparation of substituted imidazoles as PTPase inhibitors for treatment of diabetes and other PTPase mediated conditions)

RN 745834-40-6 CAPLUS

CN Benzoic acid, 4-[4-[(2S)-2-[1-butyl-4-(2,4-dichlorophenyl)-1H-imidazol-2-yl]-2-[[(2,5-dioxo-4-imidazolidinyl)acetyl]amino]ethyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:203815 CAPLUS
DOCUMENT NUMBER: 140:235715
TITLE: Preparation of 2,5-dioxoimidazolidin-4-yl acetamide derivatives as inhibitors of metalloproteinase MMP12
INVENTOR(S): Henriksson, Krister; Munck Af Rosenschoeld, Magnus
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004020415	A1	20040311	WO 2003-SE1328	20030826
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2495853	AA	20040311	CA 2003-2495853	20030826
AU 2003253557	A1	20040319	AU 2003-253557	20030826

BR 2003013635	A	20050621	BR 2003-13635		20030826
EP 1542977	A1	20050622	EP 2003-791528		20030826
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2006503019	T2	20060126	JP 2004-532506		20030826
US 2005245586	A1	20051103	US 2005-525640		20050225
NO 2005001540	A	20050323	NO 2005-1540		20050323
PRIORITY APPLN. INFO.:			SE 2002-2539	A	20020827
			WO 2003-SE1328	W	20030826

OTHER SOURCE(S): CASREACT 140:235715; MARPAT 140:235715

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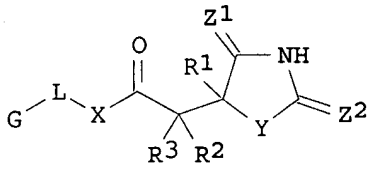

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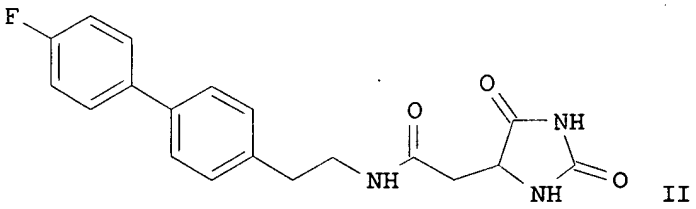

II

AB The title compound I [X= O, CH2, or (substituted)amino; Y = NH or N-Me; Z1, Z2 = each represent an oxygen or sulfur atom, with the proviso that at least one of Z1, Z2 represents an oxygen atom; R1 = H, alkyl, (un)saturated 3-10 membered ring system which may comprise at least one ring heteroatom selected from nitrogen, oxygen and sulfur, etc.; R2, R3 = H or C1-C6 alkyl; R1/R2 or R2/R3 together with carbons atoms to which they are attached form a saturated 5-6 membered ring; L = -CH2C(O)-, -C(O)CH2-, alkyl, alkylene, alkynyl, etc.; G = (un)saturated 5-10 membered ring system which may comprise at least one ring heteroatom selected from nitrogen, oxygen and sulfur, etc.] were prepared as inhibitors of metalloproteinase MMP12 for the treatment of obstructive airways diseases. Thus, reaction of 5-hydantoin acetic acid with ((4'-fluorobiphenyl-4-yl)ethyl)amine yielded compound II. The latter inhibits human MMP12 with an IC50 = 0.22 μM.

IT **669013-57-4P 669013-58-5P 669013-60-9P**
669013-61-0P 669013-63-2P 669013-64-3P
669013-65-4P 669013-66-5P 669013-67-6P
669013-68-7P 669013-69-8P 669013-70-1P
669013-72-3P 669013-74-5P 669013-76-7P
669013-77-8P 669013-78-9P 669013-79-0P
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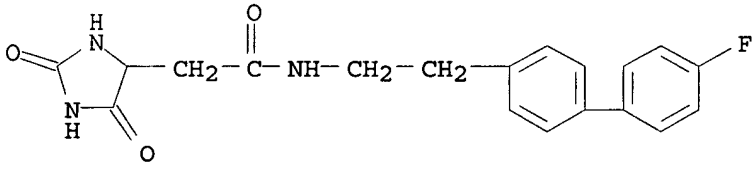
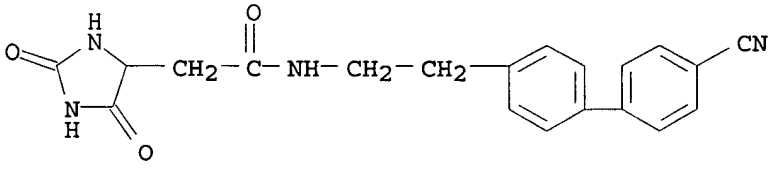
669014-54-4P 669014-55-5P 669014-56-6P
669014-57-7P 669014-58-8P 669014-59-9P
669014-60-2P 669014-61-3P 669014-62-4P
669014-63-5P 669014-64-6P 669014-65-7P
669014-83-9P 669014-84-0P 669014-85-1P
669014-86-2P 669014-87-3P 669014-88-4P
669014-89-5P 669014-90-8P 669014-91-9P
669014-92-0P 669014-93-1P 669014-94-2P
669014-95-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2,5-dioxoimidazolidin-4-yl acetamide derivs. as inhibitors of metalloproteinase MMP12)

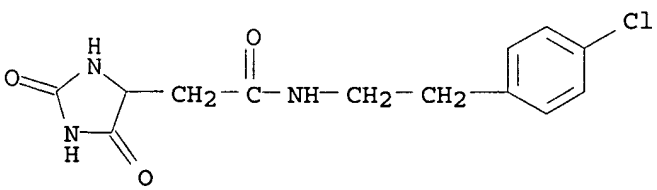
RN 669013-57-4 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-fluoro[1,1'-biphenyl]-4-yl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



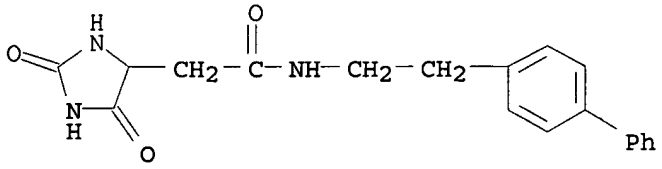
RN 669013-58-5 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-cyano[1,1'-biphenyl]-4-yl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



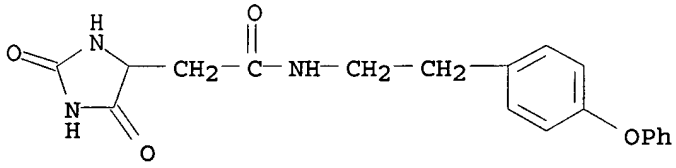
RN 669013-60-9 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4-chlorophenyl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



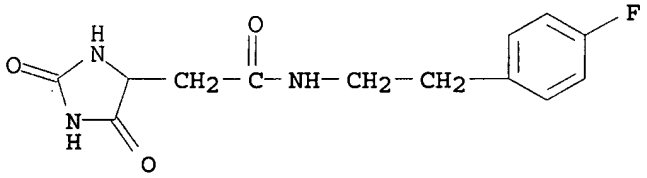
RN 669013-61-0 CAPLUS
CN 4-Imidazolidineacetamide, N-(2-[1,1'-biphenyl]-4-ylethyl)-2,5-dioxo- (9CI) (CA INDEX NAME)



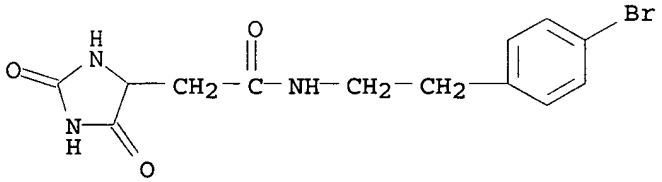
RN 669013-63-2 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-(4-phenoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



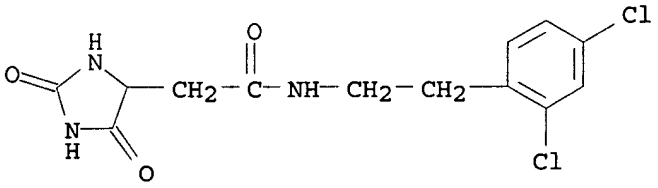
RN 669013-64-3 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4-fluorophenyl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



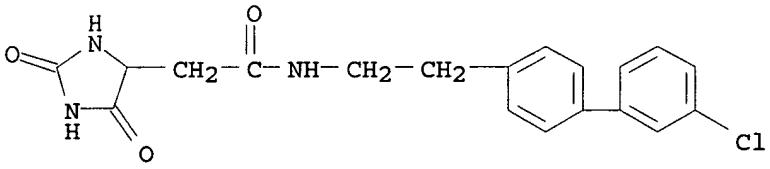
RN 669013-65-4 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4-bromophenyl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



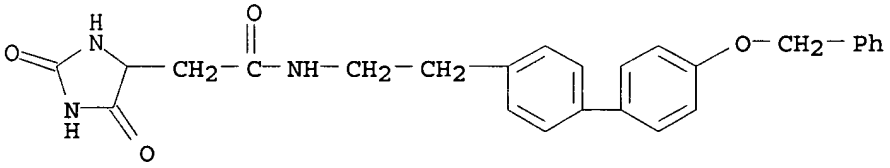
RN 669013-66-5 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(2,4-dichlorophenyl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



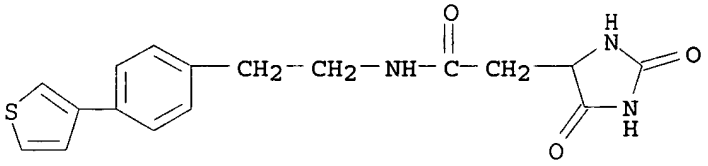
RN 669013-67-6 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3'-chloro[1,1'-biphenyl]-4-yl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



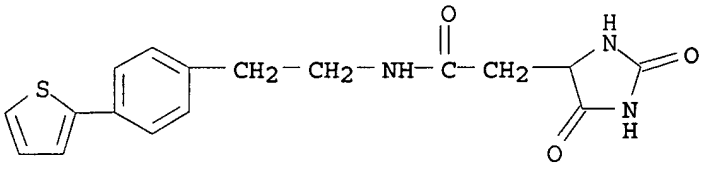
RN 669013-68-7 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-[4'-(phenylmethoxy)[1,1'-biphenyl]-4-yl]ethyl]- (9CI) (CA INDEX NAME)



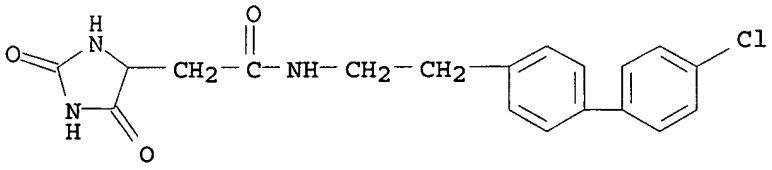
RN 669013-69-8 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-[4-(3-thienyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



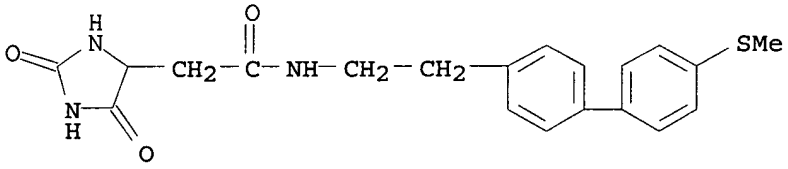
RN 669013-70-1 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-[4-(2-thienyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



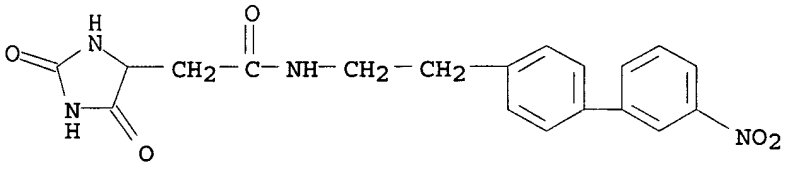
RN 669013-72-3 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-chloro[1,1'-biphenyl]-4-yl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



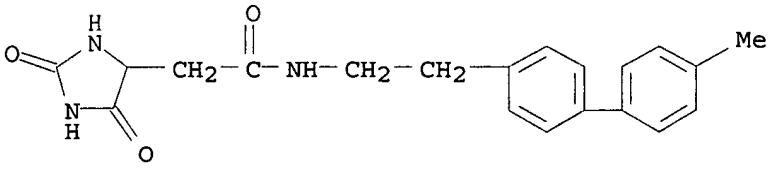
RN 669013-74-5 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4'-(methylthio)[1,1'-biphenyl]-4-yl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



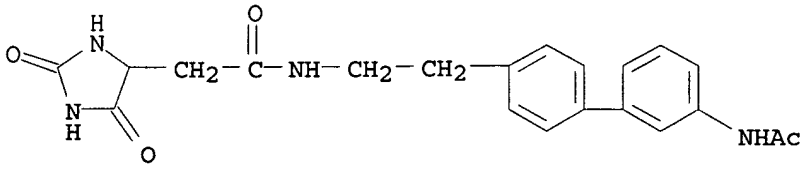
RN 669013-76-7 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3'-nitro[1,1'-biphenyl]-4-yl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



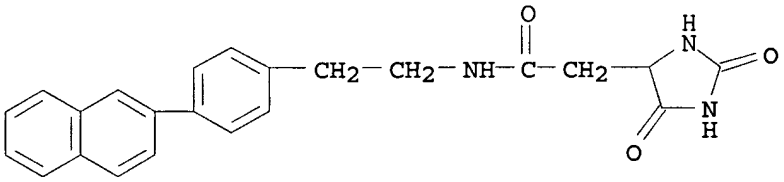
RN 669013-77-8 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-methyl[1,1'-biphenyl]-4-yl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



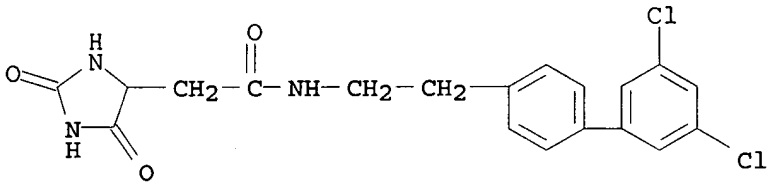
RN 669013-78-9 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[3'-(acetylamino)[1,1'-biphenyl]-4-yl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



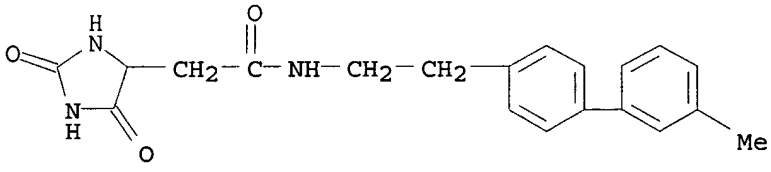
RN 669013-79-0 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(2-naphthalenyl)phenyl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



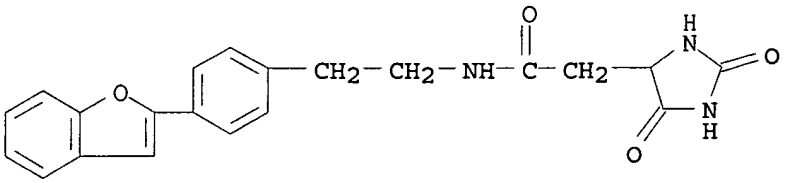
RN 669013-80-3 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3',5'-dichloro[1,1'-biphenyl]-4-yl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



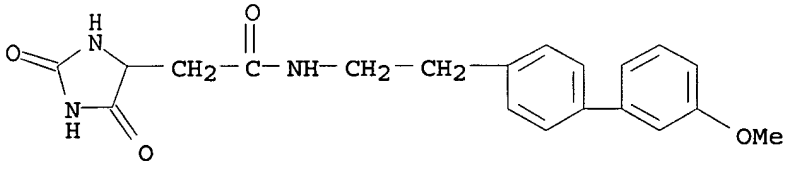
RN 669013-82-5 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3'-methyl[1,1'-biphenyl]-4-yl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



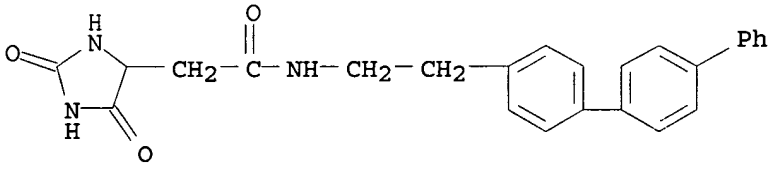
RN 669013-83-6 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(2-benzofuranyl)phenyl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



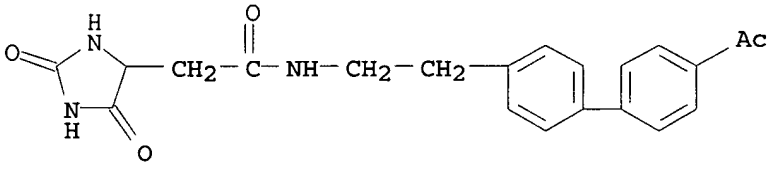
RN 669013-84-7 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3'-methoxy[1,1'-biphenyl]-4-yl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



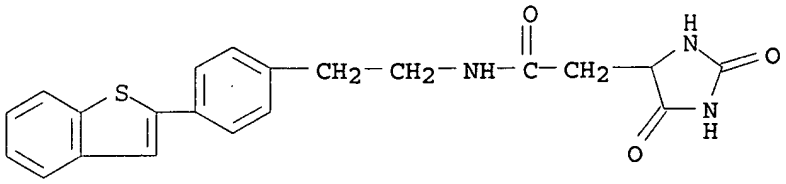
RN 669013-85-8 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-(2-[1,1':4',1''-terphenyl]-4-ylethyl)- (9CI) (CA INDEX NAME)



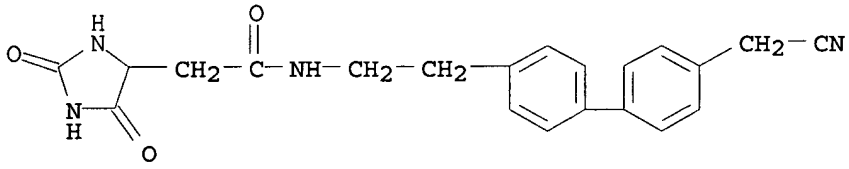
RN 669013-86-9 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-acetyl[1,1'-biphenyl]-4-yl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



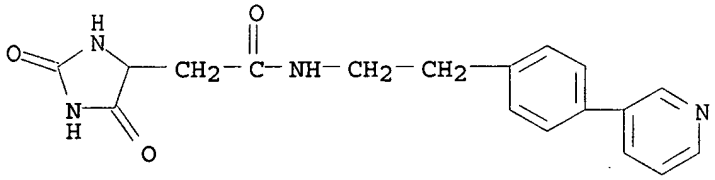
RN 669013-87-0 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4-benzo[b]thien-2-ylphenyl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



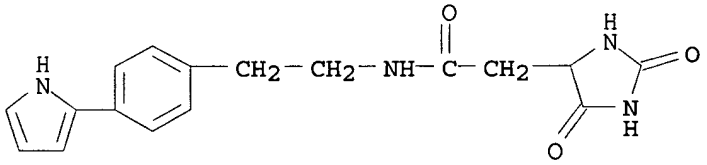
RN 669013-88-1 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4'-(cyanomethyl)[1,1'-biphenyl]-4-yl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



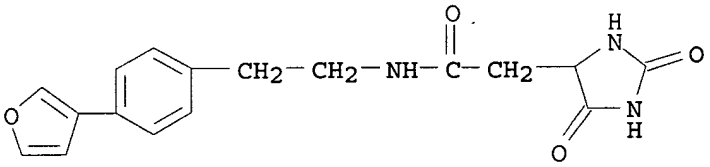
RN 669013-89-2 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-[4-(3-pyridinyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



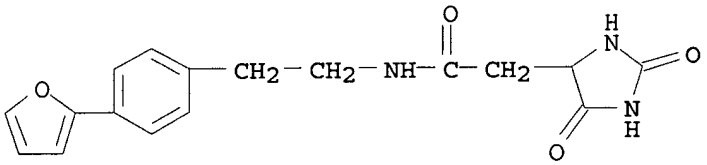
RN 669013-90-5 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-[4-(1H-pyrrol-2-yl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



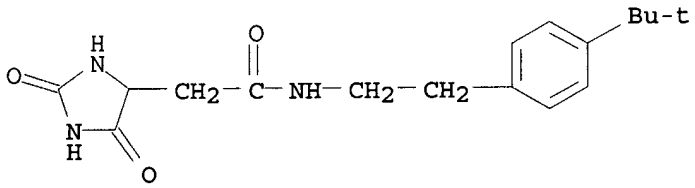
RN 669013-91-6 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(3-furanyl)phenyl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



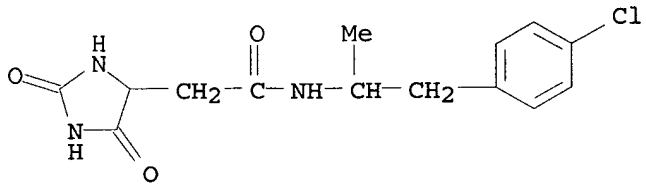
RN 669013-92-7 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(2-furanyl)phenyl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



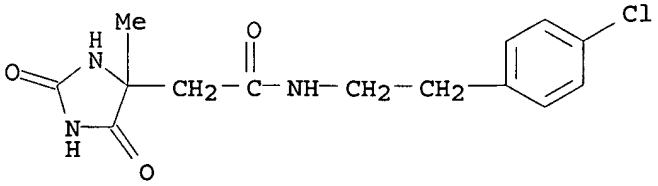
RN 669013-94-9 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(1,1-dimethylethyl)phenyl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



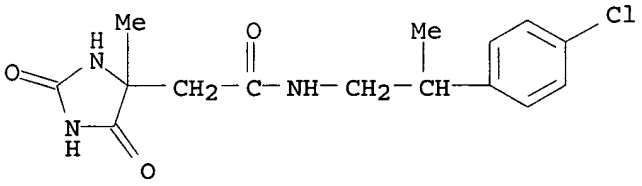
RN 669013-95-0 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4-chlorophenyl)-1-methylethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



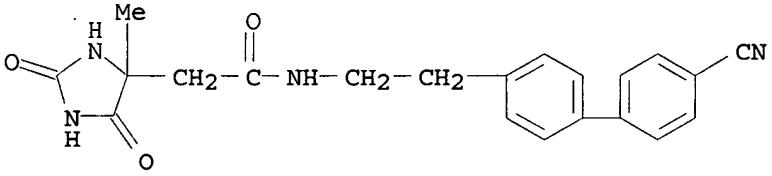
RN 669014-00-0 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4-chlorophenyl)ethyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



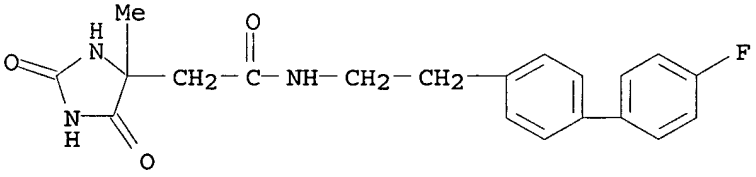
RN 669014-01-1 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4-chlorophenyl)propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



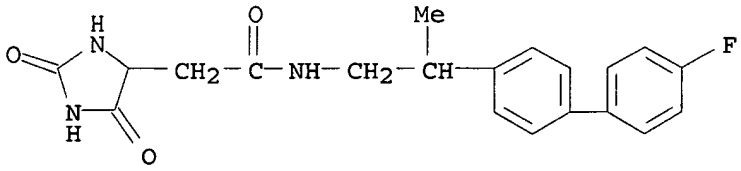
RN 669014-03-3 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-cyano[1,1'-biphenyl]-4-yl)ethyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



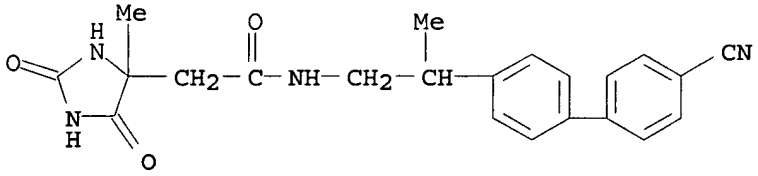
RN 669014-04-4 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-fluoro[1,1'-biphenyl]-4-yl)ethyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



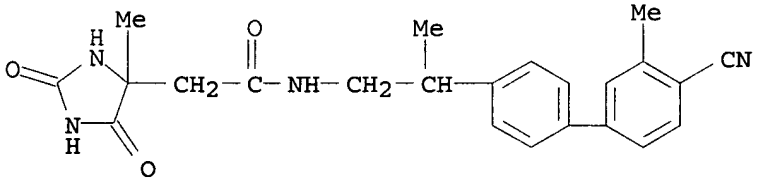
RN 669014-06-6 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-fluoro[1,1'-biphenyl]-4-yl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



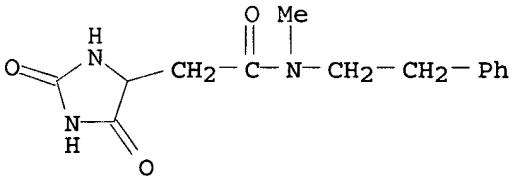
RN 669014-15-7 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-cyano[1,1'-biphenyl]-4-yl)propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



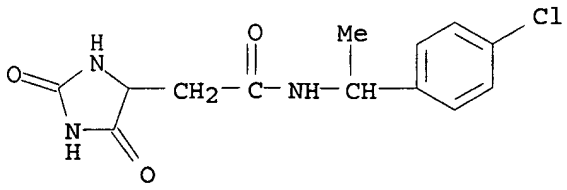
RN 669014-18-0 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-cyano-3'-methyl[1,1'-biphenyl]-4-yl)propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



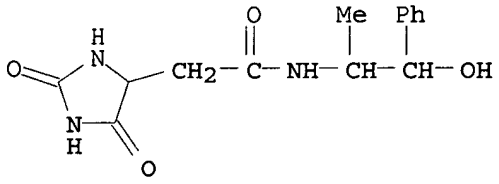
RN 669014-19-1 CAPLUS
CN 4-Imidazolidineacetamide, N-methyl-2,5-dioxo-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



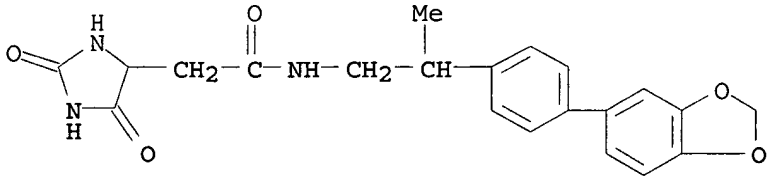
RN 669014-20-4 CAPLUS
CN 4-Imidazolidineacetamide, N-[1-(4-chlorophenyl)ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



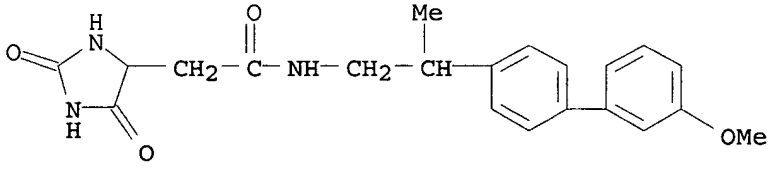
RN 669014-21-5 CAPLUS
CN 4-Imidazolidineacetamide, N-(2-hydroxy-1-methyl-2-phenylethyl)-2,5-dioxo- (9CI) (CA INDEX NAME)



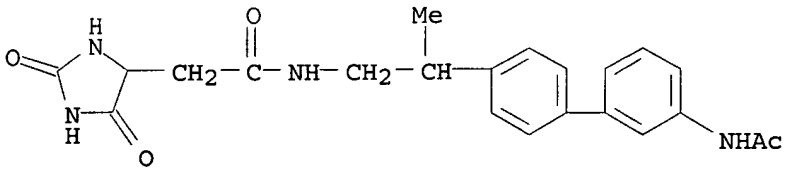
RN 669014-22-6 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(1,3-benzodioxol-5-yl)phenyl]propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



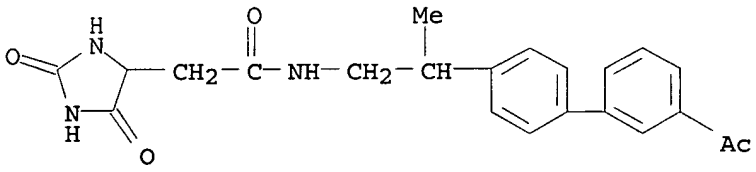
RN 669014-23-7 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3'-methoxy[1,1'-biphenyl]-4-yl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



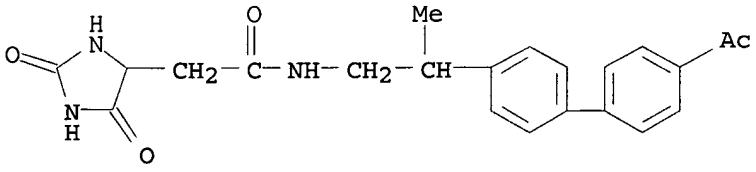
RN 669014-24-8 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[3'-(acetylamino)[1,1'-biphenyl]-4-yl]propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



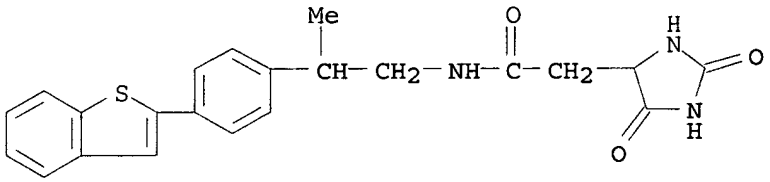
RN 669014-25-9 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3'-acetyl[1,1'-biphenyl]-4-yl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



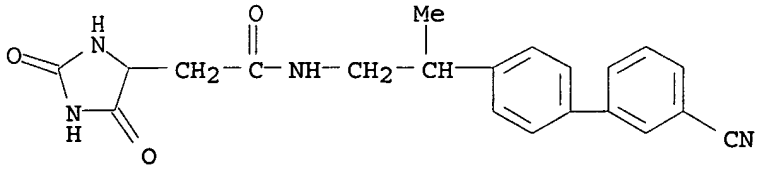
RN 669014-26-0 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-acetyl[1,1'-biphenyl]-4-yl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



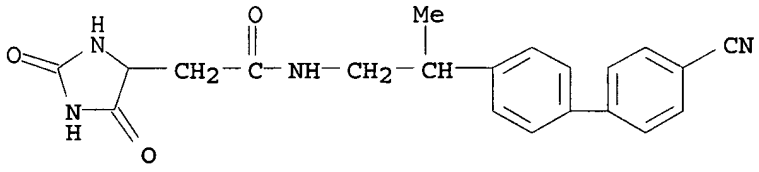
RN 669014-27-1 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4-benzo[b]thien-2-ylphenyl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



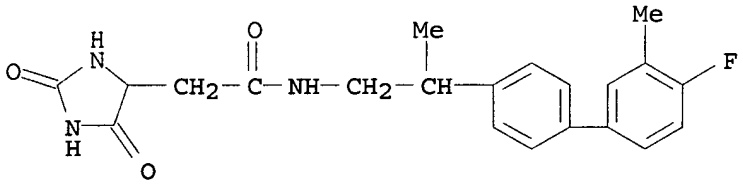
RN 669014-28-2 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3'-cyano[1,1'-biphenyl]-4-yl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



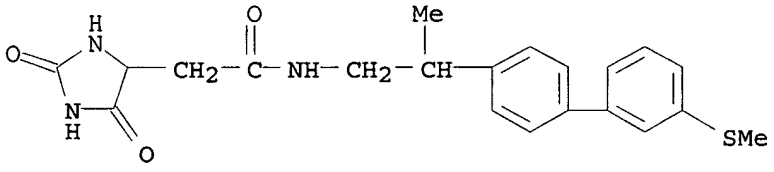
RN 669014-29-3 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-cyano[1,1'-biphenyl]-4-yl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



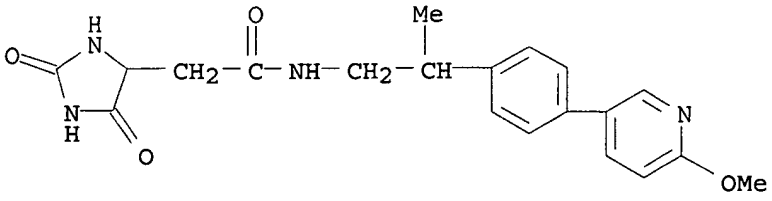
RN 669014-30-6 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-fluoro-3'-methyl[1,1'-biphenyl]-4-yl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



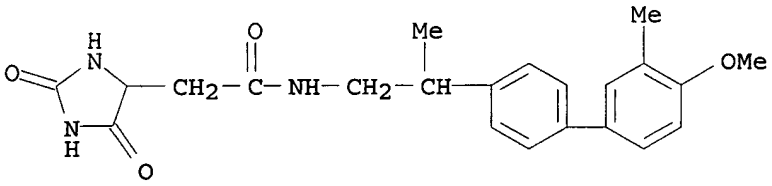
RN 669014-31-7 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[3'-(methylthio)[1,1'-biphenyl]-4-yl]propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



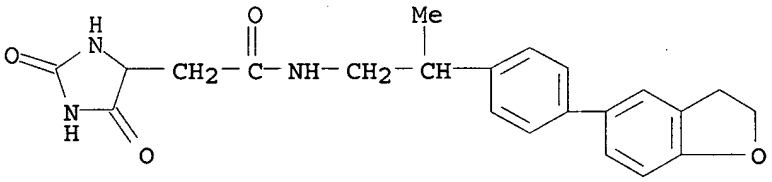
RN 669014-32-8 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(6-methoxy-3-pyridinyl)phenyl]propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



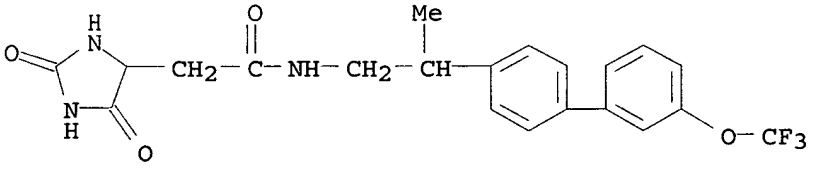
RN 669014-33-9 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-methoxy-3'-methyl[1,1'-biphenyl]-4-yl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



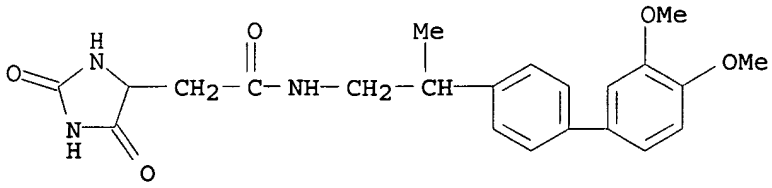
RN 669014-34-0 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(2,3-dihydro-5-benzofuranyl)phenyl]propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



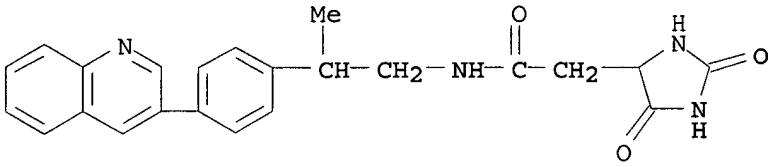
RN 669014-35-1 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-[3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]propyl]- (9CI) (CA INDEX NAME)



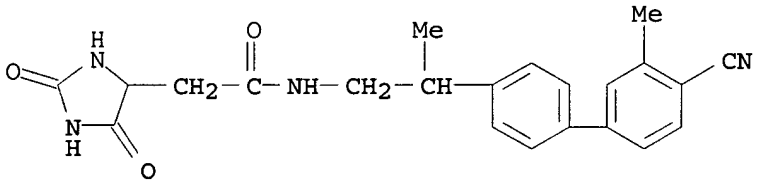
RN 669014-36-2 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3',4'-dimethoxy[1,1'-biphenyl]-4-yl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



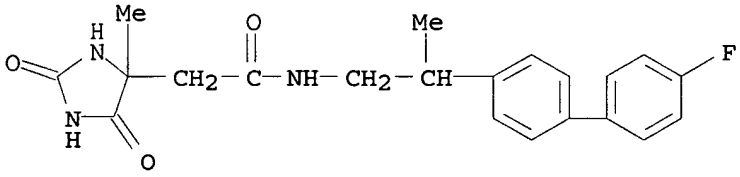
RN 669014-37-3 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-[4-(3-quinolinyl)phenyl]propyl]-(9CI) (CA INDEX NAME)



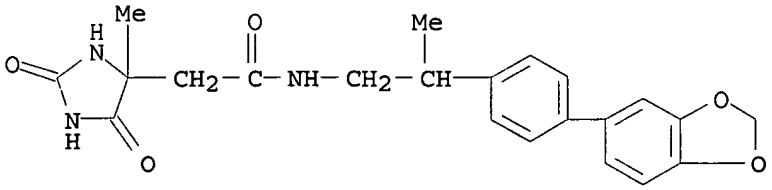
RN 669014-38-4 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-cyano-3'-methyl[1,1'-biphenyl]-4-yl)propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



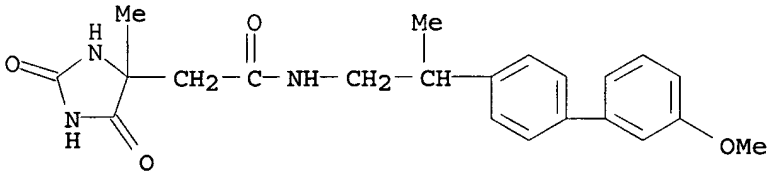
RN 669014-53-3 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-fluoro[1,1'-biphenyl]-4-yl)propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



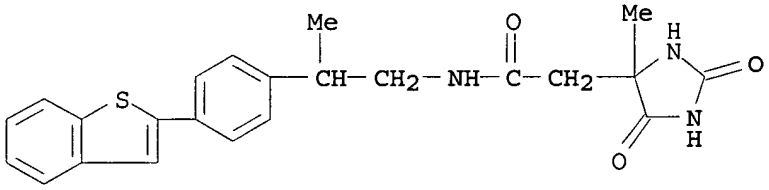
RN 669014-54-4 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(1,3-benzodioxol-5-yl)phenyl]propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



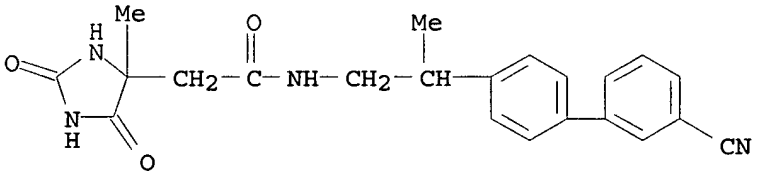
RN 669014-55-5 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3'-methoxy[1,1'-biphenyl]-4-yl)propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



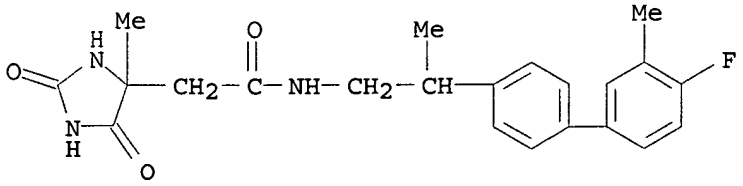
RN 669014-56-6 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4-benzo[b]thien-2-ylphenyl)propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



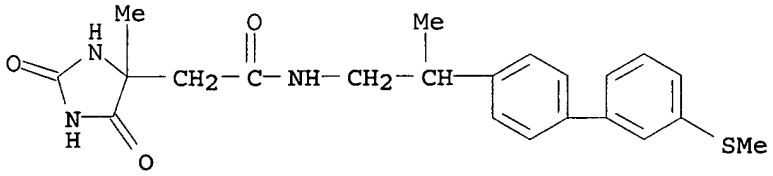
RN 669014-57-7 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3'-cyano[1,1'-biphenyl]-4-yl)propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



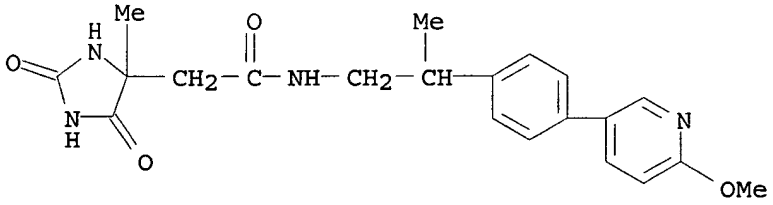
RN 669014-58-8 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-fluoro-3'-methyl[1,1'-biphenyl]-4-yl)propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



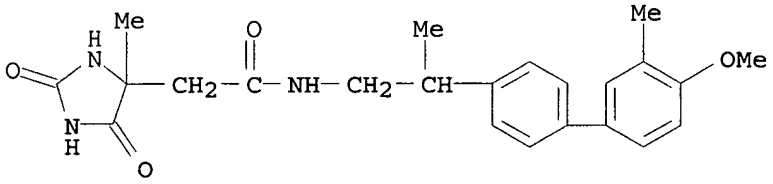
RN 669014-59-9 CAPLUS
CN 4-Imidazolidineacetamide, 4-methyl-N-[2-[3'-(methylthio)[1,1'-biphenyl]-4-yl]propyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



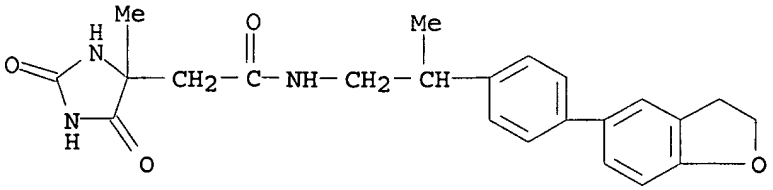
RN 669014-60-2 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(6-methoxy-3-pyridinyl)phenyl]propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



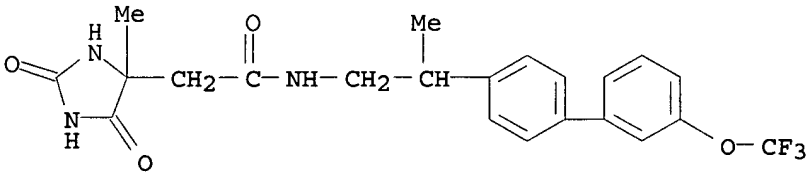
RN 669014-61-3 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(4'-methoxy-3'-methyl[1,1'-biphenyl]-4-yl)propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



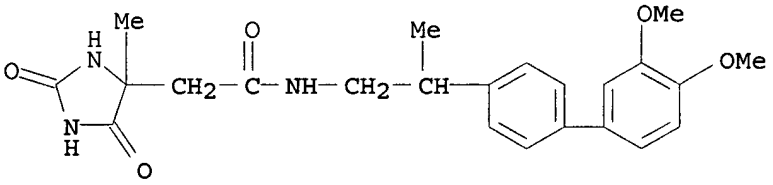
RN 669014-62-4 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(2,3-dihydro-5-benzofuranyl)phenyl]propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



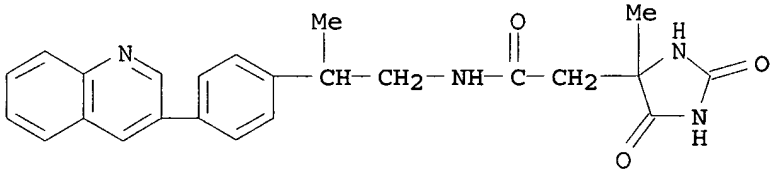
RN 669014-63-5 CAPLUS
CN 4-Imidazolidineacetamide, 4-methyl-2,5-dioxo-N-[2-[3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]propyl]- (9CI) (CA INDEX NAME)



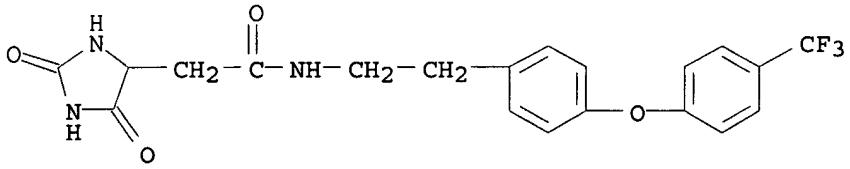
RN 669014-64-6 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-(3',4'-dimethoxy[1,1'-biphenyl]-4-yl)propyl]-4-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



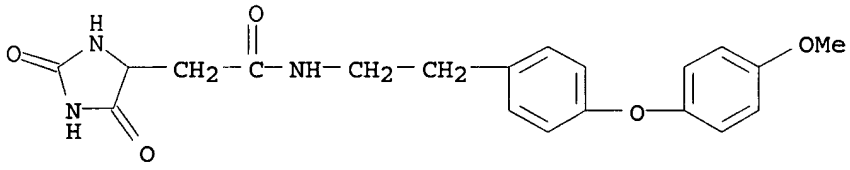
RN 669014-65-7 CAPLUS
CN 4-Imidazolidineacetamide, 4-methyl-2,5-dioxo-N-[2-[4-(3-quinolinyl)phenyl]propyl]- (9CI) (CA INDEX NAME)



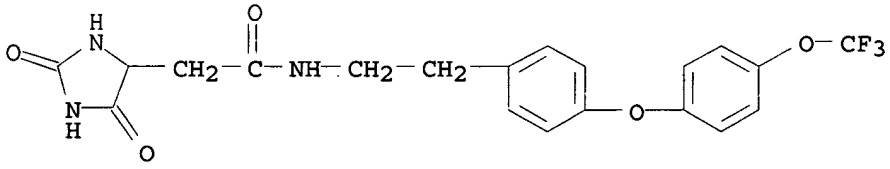
RN 669014-83-9 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-[4-[4-(trifluoromethyl)phenoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)



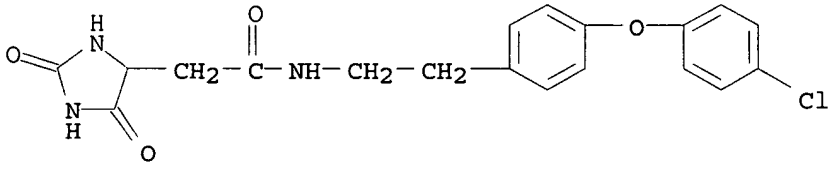
RN 669014-84-0 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(4-methoxyphenoxy)phenyl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



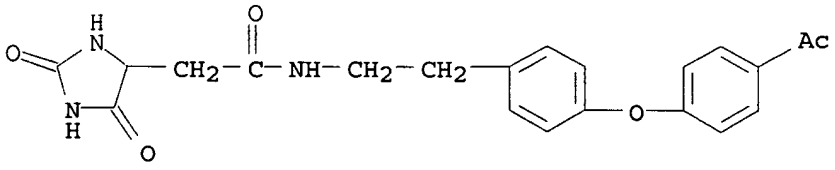
RN 669014-85-1 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-[4-[4-(trifluoromethoxy)phenoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)



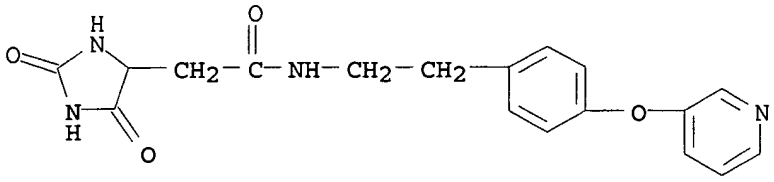
RN 669014-86-2 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(4-chlorophenoxy)phenyl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



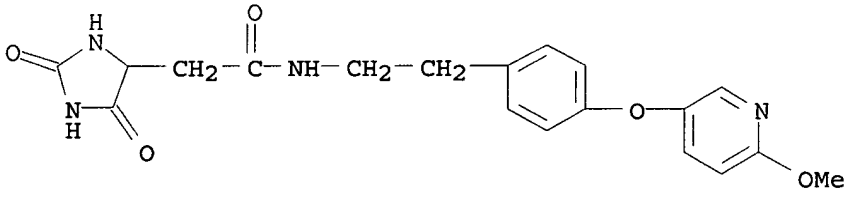
RN 669014-87-3 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(4-acetylphenoxy)phenyl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



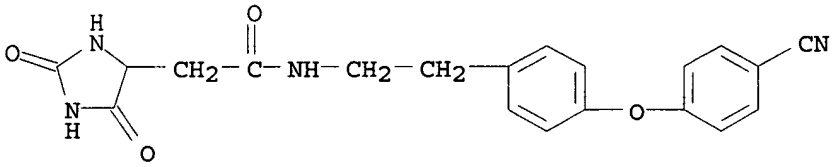
RN 669014-88-4 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-[2-[4-(3-pyridinyloxy)phenyl]ethyl]-
(9CI) (CA INDEX NAME)



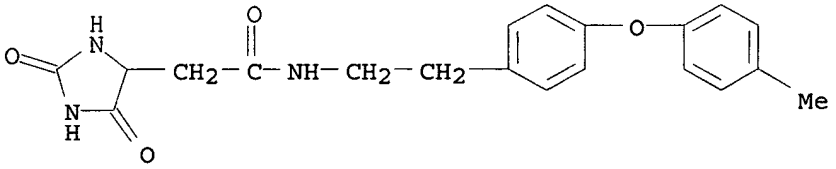
RN 669014-89-5 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-[(6-methoxy-3-
pyridinyl)oxy]phenyl]ethyl]-2,5-dioxo- (9CI) (CA INDEX NAME)



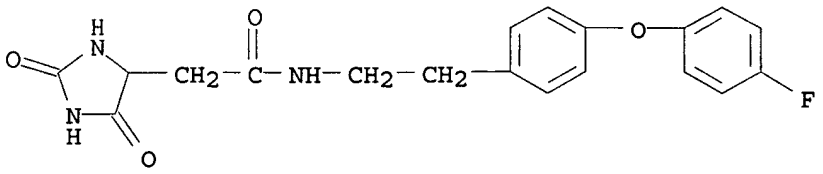
RN 669014-90-8 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(4-cyanophenoxy)phenyl]ethyl]-2,5-dioxo-
(9CI) (CA INDEX NAME)



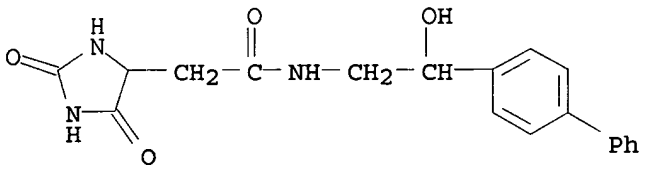
RN 669014-91-9 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(4-methylphenoxy)phenyl]ethyl]-2,5-dioxo-
(9CI) (CA INDEX NAME)



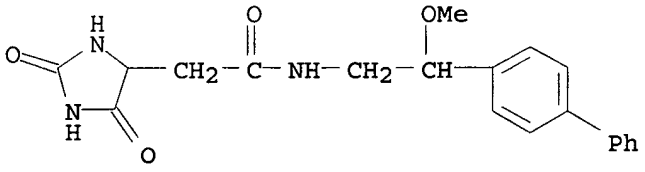
RN 669014-92-0 CAPLUS
CN 4-Imidazolidineacetamide, N-[2-[4-(4-fluorophenoxy)phenyl]ethyl]-2,5-dioxo-
(9CI) (CA INDEX NAME)



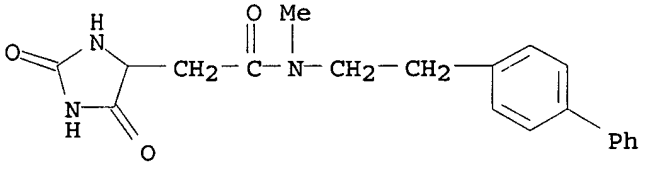
RN 669014-93-1 CAPLUS
CN 4-Imidazolidineacetamide, N-(2-[1,1'-biphenyl]-4-yl-2-hydroxyethyl)-2,5-dioxo- (9CI) (CA INDEX NAME)



RN 669014-94-2 CAPLUS
CN 4-Imidazolidineacetamide, N-(2-[1,1'-biphenyl]-4-yl-2-methoxyethyl)-2,5-dioxo- (9CI) (CA INDEX NAME)



RN 669014-95-3 CAPLUS
CN 4-Imidazolidineacetamide, N-(2-[1,1'-biphenyl]-4-ylethyl)-N-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



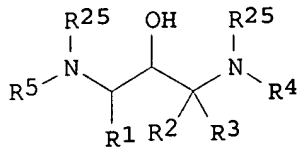
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:376819 CAPLUS
DOCUMENT NUMBER: 138:385173
TITLE: Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease
INVENTOR(S): Varghese, John; Maillard, Michel; Jagodzinska, Barbara; Beck, James P.; Gailunas, Andrea; Fang, Larry; Sealy, Jennifer; Tenbrink, Ruth; Freskos, John; Mickelson, John; Samala, Lakshman; Hom, Roy
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn

	Company
SOURCE:	PCT Int. Appl., 1243 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003040096	A2	20030515	WO 2002-US36072		20021108
WO 2003040096	A3	20040506			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2466284	AA	20030515	CA 2002-2466284		20021108
WO 2003040096	A2	20030515	WO 2002-XA36072		20021108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2004171881	A1	20040902	US 2002-291318		20021108
EP 1453789	A2	20040908	EP 2002-793909		20021108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
BR 2002014035	A	20050426	BR 2002-14035		20021108
JP 2005520791	T2	20050714	JP 2003-542142		20021108
CN 1759095	A	20060412	CN 2002-826786		20021108
ZA 2004003578	A	20051010	ZA 2004-3578		20040511
NO 2004002359	A	20040806	NO 2004-2359		20040607
PRIORITY APPLN. INFO.:			US 2001-337122P	P	20011108
			US 2001-344086P	P	20011228
			US 2002-345635P	P	20020103
			WO 2002-US36072	W	20021108

OTHER SOURCE(S):	MARPAT 138:385173
GI	



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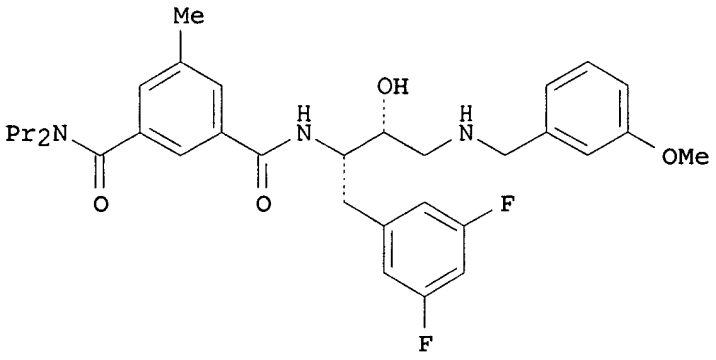


II

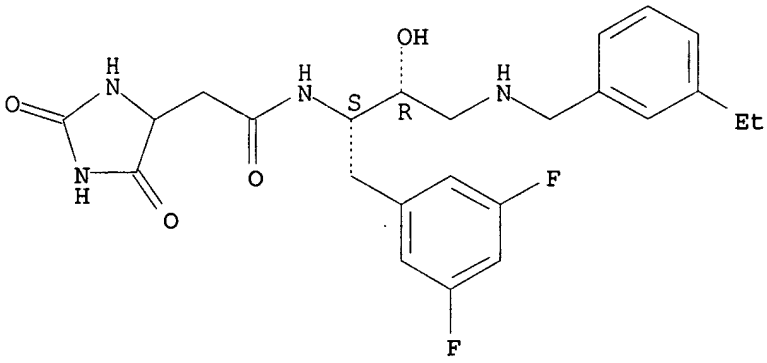
AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, haloalkyl, alkenyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; or R2 and R3 are taken together with the carbon to which they are attached to form a carbocycle of 3-7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of O, S, SO2, (un)substituted NH; R4 = alkyl, haloalkyl, hydroxyalkyl, etc.; R5 = R6X (wherein X = CO, SO2, (un)substituted CH2; R6 = (un)substituted Ph, naphthyl, indanyl, etc.); R25 = H, alkyl, alkoxy, etc.] which have activity as inhibitors of β-secretase and are therefore useful in treating a variety of disorders such as Alzheimer's disease, were prepared E.g., a multi-step synthesis of (1S,2R)-II, starting from (2S)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid, was given. The compds. I showed IC50 of < 20 μM in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 1 of 1-2 series.

IT **527735-74-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

RN 527735-74-6 CAPLUS

CN 4-Imidazolidineacetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-2,5-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:927249 CAPLUS
DOCUMENT NUMBER: 138:14059
TITLE: Preparation of spiro-fused hydantoin derivatives as inhibitors of matrix metalloproteinases
INVENTOR(S): Sheppeck, James E.; Duan, Jingwu; Xue, Chu-Biao; Wasserman, Zelda
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 350 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096426	A1	20021205	WO 2002-US16381	20020523
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2447475	AA	20021205	CA 2002-2447475	20020523
US 2003130273	A1	20030710	US 2002-155575	20020523
US 6890915	B2	20050510		
EP 1397137	A1	20040317	EP 2002-741724	20020523
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004535411	T2	20041125	JP 2002-592936	20020523
US 2004209874	A1	20041021	US 2004-844219	20040512
US 6906053	B2	20050614		
US 2005171096	A1	20050804	US 2005-93670	20050330

PRIORITY APPLN. INFO.:

US 2001-293571P	P	20010525
US 2002-155575	A3	20020523
WO 2002-US16381	W	20020523
US 2004-844219	A3	20040512

OTHER SOURCE(S): MARPAT 138:14059
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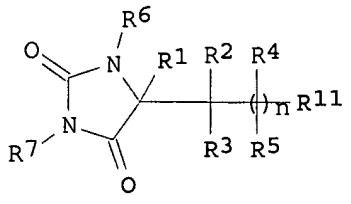

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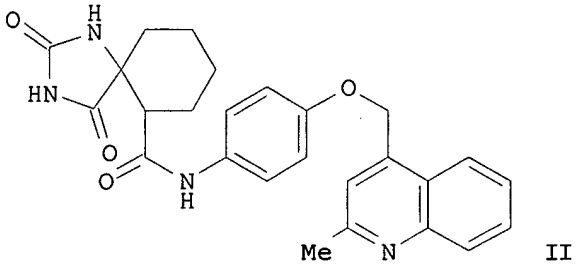


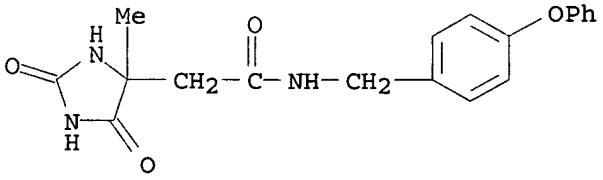
II

AB Title compds. I [R11 = W-U-X-Y-Z-Ua-Xa-Ya-Za; W = alkyl, alkenylene, alkynylene; U = absent, amino, CO, alkyl, carboxy, etc.; X = absent, alk(en/yn)ylene; Y = absent, O, amino, SO0-2, CO; Z = (hetero)cycle; Ua = absent, O, amino, CO, alkyl, carboxy, etc.; Xa = absent, alk(en/yn)ylene; Ya = absent, O, amino, SO0-2, CO; Za = (hetero)cycle; R1-2 together with the carbon atoms to which they are attached, combine to form a 3-8 membered carbocyclic or heterocyclic ring; R3 = H, CHF2, CH2F, CF3, alk(en/yn)ylene, etc.; R4-7 = H, alk(en/yn)yl; n = 0-1] were prepared For instance, 2-(ethylcarboxy)cyclohexanone was treated with ammonium carbonate and potassium cyanide (EtOHaq, 50°, 24 h) to afford the corresponding hydantoin ester which was hydrolyzed to the carboxylic acid and coupled to 4-[(2-methyl-4-quinolinyl)methoxy]aniline•2HCl (DMSO, PyBOP) to give II which was isolated as the trifluoroacetate. I are useful as inhibitors of matrix metallproteinases (MMP), TNF-α converting enzyme (TACE), aggrecanase, or a combination thereof.

IT **477583-00-9P**, 2-(4-Methyl-2,5-dioxo-4-imidazolidinyl)-N-(4-phenoxybenzyl)acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(hydantion derivs. as inhibitors of matrix metalloproteinases)

RN 477583-00-9 CAPLUS

CN 4-Imidazolidineacetamide, 4-methyl-2,5-dioxo-N-[(4-phenoxyphenyl)methyl]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

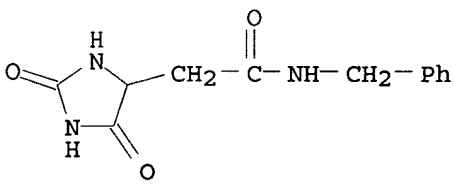
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:640897 CAPLUS
DOCUMENT NUMBER: 137:337840
TITLE: Use of Statistical Design of Experiments in the Optimization of Amide Synthesis Utilizing Polystyrene-Supported N-Hydroxybenzotriazole Resin
AUTHOR(S): Gooding, Owen W.; Vo, Lanchi; Bhattacharyya, Sukanta; Labadie, Jeff W.
CORPORATE SOURCE: Argonaut Technologies, Foster City, CA, 94404, USA
SOURCE: Journal of Combinatorial Chemistry (2002), 4(6), 576-583
CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:337840

AB Statistical process optimization is used to determine appropriate reagents, order of addition, and stoichiometry for amidation reactions of amines and carboxylic acids using a resin-bound hydroxybenzotriazole coupling reagent. Previous reactions used the expensive coupling reagent PyBOP and carboxylic acids in excess and required the premixing of reagents, both of which are not amenable either to automated synthesis or to the preparation of combinatorial libraries. The less expensive coupling reagent diisopropyl carbodiimide (DIC) is used instead of PyBOP with 4-(dimethylamino)pyridine as a catalyst. Using these reagents, it is important that the acid be added first; neither the time of addition nor the amount of acid is important if sufficient DIC (optimally 4.4 equivalent) is used. A mixture of DMF and methylene chloride is the optimal solvent; the fraction of DMF is minimized to maximize the amount of acid coupled to the resin-bound hydroxybenzotriazole. The type of acid also affects the efficiency of coupling. This routine is used to generate a combinatorial library of amides by coupling of amines and carboxylic acids; the products are isolated by filtration of the hydroxybenzotriazole resin followed by removal of solvent.

IT **473254-15-8P**
RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)
(statistical process optimization for amidation reactions using a resin-bound hydroxybenzotriazole and their use in the preparation of a combinatorial library of amides from amines and carboxylic acids)

RN 473254-15-8 CAPLUS
CN 4-Imidazolidineacetamide, 2,5-dioxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



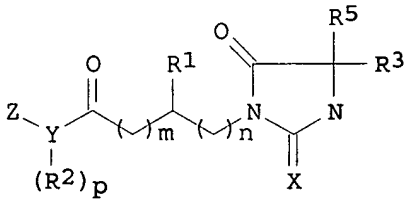
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:543055 CAPLUS
DOCUMENT NUMBER: 129:161842
TITLE: Preparation and biological testing of dipeptide-derived hydantoin and thiohydantoin combinatorial libraries
INVENTOR(S): Meyer, Jean-Philippe; Ostresh, John M.; Houghten, Richard A.
PATENT ASSIGNEE(S): Trega Biosciences, Inc., USA
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9833776	A1	19980806	WO 1997-US22388		19971205
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
US 5859190	A	19990112	US 1997-794363		19970204
AU 9853761	A1	19980825	AU 1998-53761		19971205
PRIORITY APPLN. INFO.:			US 1997-794363	A	19970204
			WO 1997-US22388	W	19971205

OTHER SOURCE(S): MARPAT 129:161842
GI



I

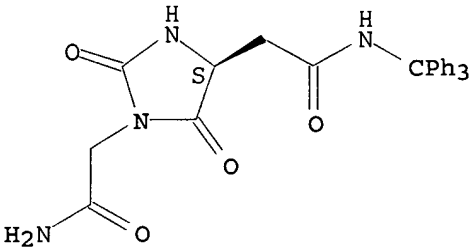
AB The invention provides a rapid approach for combinatorial synthesis and screening of dipeptide-derived hydantoin and thiohydantoin compds. and combinatorial libraries and sublibraries I [R1, R3 independently = H, (un)substituted C1-10 alkyl, (un)substituted C7-16 phenylalkyl, (un)substituted Ph, (un)substituted amino, (un)substituted carboxy, (un)substituted carbamoyl, (un)substituted C3-7 cycloalkyl; R2, R4, R5 independently = H, C1-10 alkyl, C2-10 alkenyl, (un)substituted benzyl, (un)substituted naphthylmethyl; X = O, S; Y = N, p = 1, Z = H, amino resin; Y = O, p = 0, Z = H, hydroxy resin; m = 0-5; n = 0-4]. Relative reaction rates for solid-phase peptide coupling of 139 N-protected amino acid derivs. are also given. Thus, nearly 600 hydantoin and thiohydantoin combinatorial libraries and sublibraries I were prepared and tested for antibacterial activity and opioid receptor binding selectivity.

IT **211253-17-7DP**, combinatorial libraries containing
211253-18-8DP, combinatorial libraries containing
211253-19-9DP, combinatorial libraries containing

211253-67-7DP, combinatorial libraries containing
211253-68-8DP, combinatorial libraries containing
211253-69-9DP, combinatorial libraries containing
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and biol. testing of dipeptide-derived hydantoin and thiohydantoin combinatorial libraries)

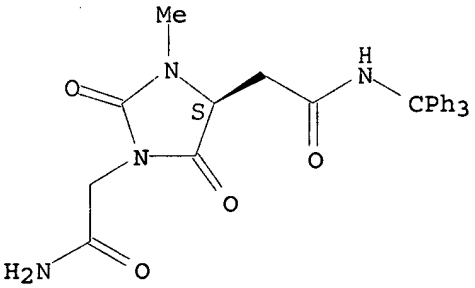
RN 211253-17-7 CAPLUS
CN 1,4-Imidazolidinediacetamide, 2,5-dioxo-N4-(triphenylmethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



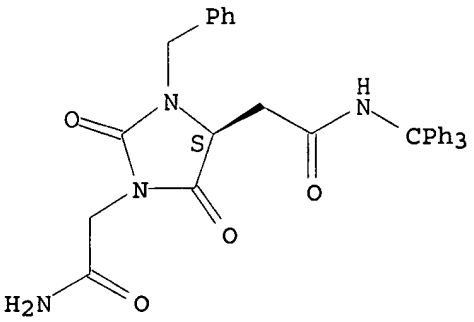
RN 211253-18-8 CAPLUS
CN 1,4-Imidazolidinediacetamide, 3-methyl-2,5-dioxo-N4-(triphenylmethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 211253-19-9 CAPLUS
CN 1,4-Imidazolidinediacetamide, 2,5-dioxo-3-(phenylmethyl)-N4-(triphenylmethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 211253-67-7 CAPLUS
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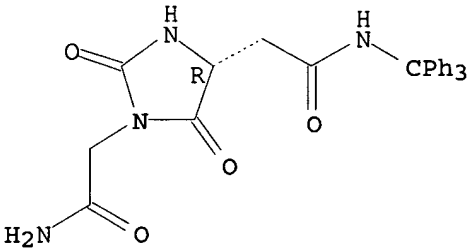
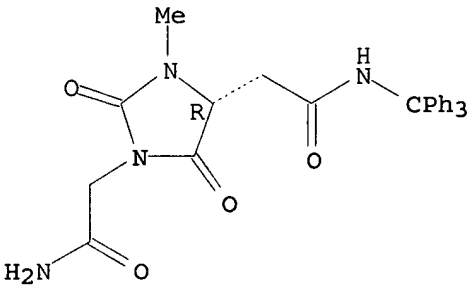
Absolute stereochemistry.



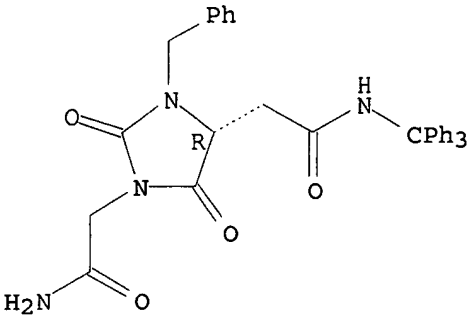
RN 211253-68-8 CAPLUS
CN 1,4-Imidazolidinediacetamide, 3-methyl-2,5-dioxo-N4-(triphenylmethyl)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 211253-69-9 CAPLUS
CN 1,4-Imidazolidinediacetamide, 2,5-dioxo-3-(phenylmethyl)-N4-(triphenylmethyl)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

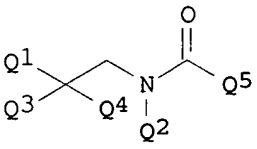


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:388199 CAPLUS
DOCUMENT NUMBER: 125:58489
TITLE: Preparation of N-acylated 2-heterocycloethylamines as nonpeptide antagonists of SP and NKA
INVENTOR(S): Russell, Keith
PATENT ASSIGNEE(S): Zeneca Limited, UK; Astrazeneca AB
SOURCE: Eur. Pat. Appl., 40 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 709375	A2	19960501	EP 1995-307496		19951020
EP 709375	A3	19980826			
EP 709375	B1	20050518			
R: CH, DE, ES, FR, GB, IT, LI					
JP 08208605	A2	19960813	JP 1995-275847		19951024
US 5710169	A	19980120	US 1995-547512		19951024
US 5998444	A	19991207	US 1997-979995		19971126
US 6147083	A	20001114	US 1999-384444		19990827
PRIORITY APPLN. INFO.:			GB 1994-21411	A	19941025
			GB 1995-12367	A	19950617
			US 1995-547512	A3	19951024
			US 1997-979995	A3	19971126

OTHER SOURCE(S): CASREACT 125:58489; MARPAT 125:58489
GI



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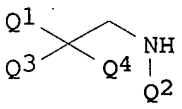


II

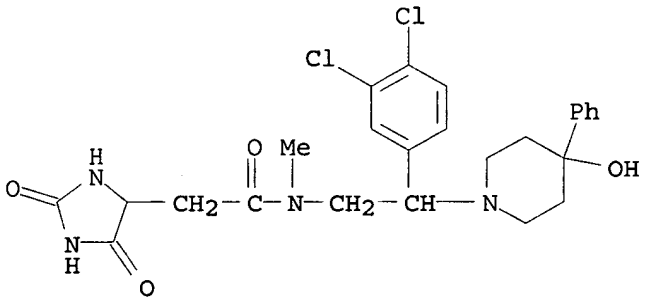
AB The title compds. [I; Q1 = (substituted) piperidino, piperazino, pyrrolidino, etc.; Q2, Q3 = H, C1-3 alkyl; Q4 = (substituted) Ph, thienyl, imidazolyl, etc.; Q5 = (substituted) C1-8 alkyl, aryl, formyl, etc.] and

its salts, useful for the treatment of asthma, were prepared by acylation of amine II with the corresponding acid or acid chloride. Thus, acylation of the piperidinoethylamine II [Q1 = 4-hydroxy-4-phenylpiperidino; Q2 = Me; Q3 = H; Q4 = 3,4-Cl2C6H3] with 2-MeOC6H4CH2COOH in the presence of 1,1'-carbonyldiimidazole in THF followed by treatment with HCl afforded I.HCl [Q5 = 2-MeOC6H4CH2]. In general, compds. I showed Ki of ≤ 1 μM against SP receptor binding and Ki ≤ 10 μM against neurokinin A (NKA) receptor binding.

IT **178166-64-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-acylated 2-heterocycloethylamines as nonpeptide antagonists of SP and NKA)

RN 178166-64-8 CAPLUS

CN 4-Imidazolidineacetamide, N-[2-(3,4-dichlorophenyl)-2-(4-hydroxy-4-phenyl-1-piperidinyl)ethyl]-N-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)



L9 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1949:6377 CAPLUS
DOCUMENT NUMBER: 43:6377
ORIGINAL REFERENCE NO.: 43:1352c-i,1353a-b
TITLE: Diethyl N-benzyl-DL-aspartate and related compounds
AUTHOR(S): McMillan, Freeman H.; Albertson, Noel F.
SOURCE: Journal of the American Chemical Society (1948), 70, 3778-81
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB (:CHCO)2O (49 g.), 107 g. PhCH2NH2, and 200 ml. H2O, refluxed 25 hrs., 119 g. 35% NaOH added, the mixture refluxed an addnl. 24 hrs., poured into ice and excess HCl, the residue refluxed 4 hrs. with 1000 ml. 2% EtOH-HCl, and the product covered with 600 ml. C6H6 and treated with excess NaOH, give 20% di-Et N-benzyl-DL-aspartate (I), b0.5 136°, n25D 1.4931. Crude EtO2CCH2CHClCO2Et (41.7 g.), 42.8 g. PhCH2NH2, and 200 ml. C6H6, refluxed 1 hr., give 47% I. Hydrolysis of 5 ml. I with 100 ml. concentrated HCl (refluxed 5 hrs.) gives N-benzyl-DL-aspartic acid, m. 194-7° (decomposition). EtO2CCOCH2CO2Et (18.8 g.) and 10.7 g. PhCH2NH2 in 125 ml. AcOEt, shaken with H and Pt oxide, give presumably N,N'-dibenzylmalamide, m. 144-5°. (:CHCO)2O (1 mole) and 2 moles H2NCH2CO2H in 400 ml. H2O, refluxed 20 hrs., the solution treated with 4.6 moles 40% NaOH and 200 ml. H2O, the mixture refluxed an addnl. 20 hrs., the product poured into 700 ml. concentrated HCl and concentrated to dryness, and the residue in 1 l. EtOH saturated with HCl and refluxed 3 hrs., give 20% di-Et N-(carbethoxymethyl)-DL-

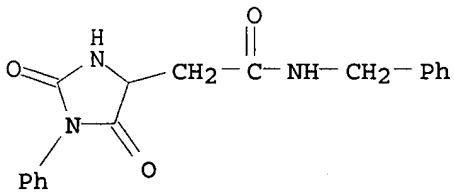
aspartate, b0.3 130-40°, n25D 1.4429; refluxed 8 hrs. with BzCl in C6H6 it yields the Bz derivative, very viscous oil, b. 170°/5 + 10-4 mm. (bath temperature). Di-Et fumarate (II) (17.2 g.) and 21.9 g. PhCH2NH2, heated 45 min. at 250°, give 3 g. N,',N'',N'''-tetrabenzoyldiketopiperazinediacetamide(?) (III), m. 294-6°; if the reactants are heated 4 hrs. at 150-60° and the product extracted with 600 ml. ether, there results a residue of 18 g. of Nα,N,N'-tribenzyl-DL-aspartamide (IV), m. 146-8°; the ether yields 28 g. N-benzyl-α-benzylaminosuccinimide (V), m. 61.2-2.5°, and 25 g. of an oil (VI). IV, heated 10 min. at 250°, yields III. V yields a HCl salt, m. 193-5°, and a NO derivative, m. 129.5-30.5°. V (1.94 g.) and 30 ml. 10% EtOH-KOH, refluxed 4 hrs., give 81% α-benzylamino-N-benzylsuccinamic acid (VII), m. 215° (decomposition); IV yields 50% VII and VI yields 1/3 its weight of VII. II (43 g.) and 80.5 g. PhCH2NH2, heated 4 hrs. at 150-60°, and the crude product refluxed 2 hrs. with 1 l. 10% EtOH-KOH, give 57% VII; with 2 mols. PhCH2NH2 the yield is 45%. VII (6.24 g.) in 100 ml. AcOH, shaken with H at 60° in the presence of 0.3 g. C containing 10% PdCl2, gives 90% α-amino-N-benzylsuccinamic acid (VIII), m. 265° (decomposition). VII (15.6 g.) in 100 ml. EtOH, treated with HCl until the solution is saturated at its b.p. and refluxed 0.5 hr., gives 90% Et α-benzylamino-N-benzylsuccinamate-HCl, m. 154° (decomposition). VII (3 g.) in 25 ml. H2O and 5 ml. HCl, treated dropwise with 0.8 g. NaNO2 in 5 ml. H2O, gives N-benzyl-α-hydroxysuccinamic acid, m. 113°. V (3.2 g.) in 100 ml. AcOH, reduced at 50° with H and 5% Pd-C and the residue refluxed 20 min. with Ac2O, gives 1.3 g. α-acetamido-N-benzylsuccinimide (IX), m. 174-5.6° (corrected); IX results also on shaking VIII and Ac2O in AcOH (6 hrs.). Addition of 36 g. AcNHCH(CO2Et)2, 31.5 g. ClCH2CONH-CH2Ph, and 0.5 g. NaI to 3.8 g. Na in 300 ml. EtOH and keeping the solution 48 hrs. at room temperature give 22 g. IX; there results also

16.9 g. α-acetamido-N-benzyl-α-carbethoxysuccinimide (X), m. 125-6°. IX or X, refluxed 3 hrs. with 10% Na2CO3, gives α-acetamido-N-benzylsuccinamic acid, m. 153-5°; heated above its m.p., it yields IX; VII results on refluxing 2 hrs. with 10% EtOH-KOH. VIII (4 g.), 3 g. NH4SCN, 18 ml. Ac2O, and 2 ml. AcOH, warmed 1.5 hrs. on the steam bath, give 4.1 g. 1-acetyl-N-benzyl-2-thio-5-hydantoinacetamide, m. 162-4°; VIII and PhSCN give α-(3-phenylureido)-N-benzylsuccinamic acid, m. 180-1.5°; refluxed 45 min. with 12% HCl it yields N-benzyl-3-phenyl-5-hydantoinacetamide, m. 166-7° (decomposition); refluxed 2 hrs. with HCl, this gives 3-phenyl-5-hydantoinacetic acid. DL-Aspartic acid results in 53% yield from ClCH2CO2Et and AcNHCH(CO2Et)2, followed by hydrolysis.

IT **858208-03-4**, 5-Hydantoinacetamide, N-benzyl-3-phenyl-
(preparation of)

RN 858208-03-4 CAPLUS

CN 5-Hydantoinacetamide, N-benzyl-3-phenyl- (5CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FULL ESTIMATED COST                                    48.80     389.59

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                    -6.75      -6.75

STN INTERNATIONAL LOGOFF AT 18:03:57 ON 18 APR 2006
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